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L1 1 SEA FILE=HCAPLUS ABB=ON PLU=ON US2003-649762/APPS

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L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1999:640697 HCAPLUS  
DOCUMENT NUMBER: 131:267045  
TITLE: Peptidomimetic antagonists for treatment of CD11/CD18  
adhesion receptor-mediated disorders  
INVENTOR(S): Burdick, Daniel J.  
PATENT ASSIGNEE(S): Genentech, Inc., USA  
SOURCE: PCT Int. Appl., 230 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9949856	A2	19991007	WO 1999-US6410	19990324
WO 9949856	A3	19991118		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325986	AA	19991007	CA 1999-2325986	19990324
AU 9931137	A1	19991018	AU 1999-31137	19990324
AU 764524	B2	20030821		
EP 1063982	A2	20010103	EP 1999-912869	19990324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 200101587	A2	20010828	HU 2001-1587	19990324
BR 9909418	A	20010925	BR 1999-9418	19990324
NZ 506779	A	20030829	NZ 1999-506779	19990324
ZA 2000004653	A	20011211	ZA 2000-4653	20000905
NO 2000004800	A	20001124	NO 2000-4800	20000926
US 2005203135	A1	20050915	US 2003-649762	20030826 <--
PRIORITY APPLN. INFO.:			US 1998-79732P	P 19980327
			WO 1999-US6410	W 19990324
			US 2000-646330	B1 20000914

OTHER SOURCE(S): MARPAT 131:267045  
ED Entered STN: 08 Oct 1999  
AB Peptidomimetic compds. (Markush included) that are useful for treating Mac-1- or LFA-1-mediated disorders, e.g. inflammatory disorders, allergies, and autoimmune diseases, are provided.  
IC ICM A61K031-00  
CC 1-7 (Pharmacology)

Section cross-reference(s): 34, 63

- ST CD11 CD18 adhesion receptor disorder peptidomimetic; Mac1 LFA1 disorder treatment peptidomimetic prepn
- IT CD antigens
  - CD antigens
  - RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(CD11; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Cell adhesion molecules
  - RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(ICAM-1 (intercellular adhesion mol. 1); peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Cell adhesion
  - Cell proliferation  
(T cell; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT T cell (lymphocyte)
  - (adhesion; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Integrins
  - Integrins
  - RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(antigens CD11; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Integrins
  - RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(antigens Mac-1 (macrophage 1); peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Immunity
  - (disorder; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Drug delivery systems
  - (inter-pulmonary; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Drug delivery systems
  - (nasal; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Drug delivery systems
  - (oral; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Anti-inflammatory agents
  - Antiasthmatics
  - Antirheumatic agents
  - Immunosuppressants
  - Lymphocyte
  - Psoriasis
  - Transplant rejection  
(peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)
- IT Cell adhesion molecules
  - LFA-1 (antigen)
  - RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

IT T cell (lymphocyte)  
(proliferation; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

IT Multiple sclerosis  
(therapeutic agents; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

IT Drug delivery systems  
(topical; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

IT Drug delivery systems  
(transdermal; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

IT Integrins  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
( $\alpha 4 \beta 1$ ; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

IT Integrins  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
( $\beta 2$ ; peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

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L2 1 SEA FILE=WPIX ABB=ON PLU=ON US2003-649762/APPS

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L2 ANSWER 1 OF 1 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2000-038359 [03] WPIX  
 DOC. NO. CPI: C2000-009748 [03]  
 TITLE: Method of treating immune or inflammatory response or disorder mediated through CD11/CD18 family of cellular adhesion molecules  
 DERWENT CLASS: B02; B03; B05  
 INVENTOR: BUNDICK D J; BURDICK D J; GADEK T R; MARSTERS J C; MCDOWELL R S; OARE D; REYNOLDS M; STANLEY M S; STENLEY M S; WEESE K J; GEDECK T R  
 PATENT ASSIGNEE: (BURD-I) BURDICK D J; (GETH-C) GENENTECH INC  
 COUNTRY COUNT: 85

PATENT INFORMATION:

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WO 9949856	A2	19991007	(200003)*	EN	230[5]	
AU 9931137	A	19991018	(200010)	EN		
EP 1063982	A2	20010103	(200102)	EN		
NO 2000004800	A	20001124	(200103)	NO		A61K000-00
CN 1295471	A	20010516	(200146)	ZH		A61K031-195
HU 2001001587	A2	20010828	(200157)	HU		
BR 9909418	A	20010925	(200161)	PT		
KR 2001034708	A	20010425	(200164)	KO		A61K031-559
ZA 2000004653	A	20020227	(200223)	EN	243	
JP 2002509881	W	20020402	(200225)	JA	422	A61K031-198
AU 764524	B	20030821	(200359)	EN		
MX 2000009117	A1	20020401	(200363)	ES		
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US 20050203135	A1	20050915	(200561)	EN		
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IL 138297	A	20060611	(200668)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9949856	A2	WO 1999-US6410	19990324
US 20050203135	A1 Provisional	US 1998-79732P	19980327
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AU 764524	B	AU 1999-31137	19990324
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IL 138297	A	IL 1999-138297	19990324

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AU 764524	B Previous Publ	AU 9931137 A
AU 9931137	A Based on	WO 9949856 A
EP 1063982	A2 Based on	WO 9949856 A
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BR 9909418	A Based on	WO 9949856 A
JP 2002509881	W Based on	WO 9949856 A
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PRIORITY APPLN. INFO: US 1998-79732P 19980327  
 WO 1999-US6410 19990324  
 US 2000-646330 20000914  
**US 2003-649762 20030826**

## INT. PATENT CLASSIF.:

MAIN: A61K; A61K031-198; A61K031-559  
 SECONDARY: A61K031-27; A61K031-275; A61K031-405; A61K031-42;  
 A61K031-426; A61P011-06; A61P017-06; A61P025-00;  
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 A61K031-341; A61K031-381; A61K031-40; A61K031-404;  
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 C07C0265-08 [I,A]; C07C0271-00 [I,C]; C07C0271-10 [I,A];  
 C07C0271-28 [I,A]; C07C0275-00 [I,C]; C07C0275-24 [I,A];  
 C07C0307-00 [I,C]; C07C0307-04 [I,A]; C07C0311-00 [I,C];  
 C07C0311-01 [I,A]; C07C0317-00 [I,C]; C07C0317-44 [I,A];  
 C07C0323-00 [I,C]; C07C0323-50 [I,A]; C07D0207-00 [I,C];  
 C07D0207-33 [I,A]; C07D0209-00 [I,C]; C07D0209-08 [I,A];  
 C07D0211-00 [I,C]; C07D0211-60 [I,A]; C07D0213-00 [I,C];  
 C07D0213-34 [I,A]; C07D0213-78 [I,A]; C07D0233-00 [I,C];  
 C07D0233-64 [I,A]; C07D0295-00 [I,C]; C07D0295-08 [I,A];  
 C07D0307-00 [I,C]; C07D0307-20 [I,A]; C07D0317-00 [I,C];  
 C07D0317-18 [I,A]; C07D0333-00 [I,C]; C07D0333-10 [I,A]

## IPC RECLASSIF.:

A61K0031-166 [I,A]; A61K0031-166 [I,C]; A61K0031-18 [I,A]  
 ; A61K0031-18 [I,C]; A61K0031-341 [I,A]; A61K0031-341  
 [I,C]; A61K0031-343 [I,A]; A61K0031-343 [I,C];  
 A61K0031-357 [I,C]; A61K0031-36 [I,A]; A61K0031-381 [I,A]  
 ; A61K0031-381 [I,C]; A61K0031-40 [I,A]; A61K0031-40  
 [I,C]; A61K0031-403 [I,C]; A61K0031-404 [I,A];  
 A61K0031-415 [I,A]; A61K0031-415 [I,C]; A61K0031-4164  
 [I,A]; A61K0031-4164 [I,C]; A61K0031-4192 [I,A];  
 A61K0031-4192 [I,C]; A61K0031-433 [I,A]; A61K0031-433  
 [I,C]; A61K0031-445 [I,A]; A61K0031-445 [I,C];  
 A61K0031-4458 [I,A]; A61K0031-4458 [I,C]

## BASIC ABSTRACT:

WO 1999049856 A2 UPAB: 20060115

NOVELTY - Leukocyte integrin antagonists (I) are used for treating an immune or inflammatory response or disorder mediated through the CD11/CD18 family of cellular adhesion molecules.

DETAILED DESCRIPTION - A method of treating or ameliorating an immune or inflammatory response or disorder mediated through the CD11/CD18 family of cellular adhesion molecules comprises administering a compound of formula (I):

D = optionally substituted mono-, bi- or tricyclic saturated, unsaturated or aromatic ring, with 5-7 atoms in each ring (C, or 1-4 N, O or S), where C or S ring atoms are optionally oxidized;

L = L3-L2-L1, L4 L3-L2-L1 or L5-L4-L3-L2-L1;

L1 = O, S(O)s, C(=O), CR1R1', het, NRn or N;

L2 = O, S(O)s, C(=O), C(=N-O-Ro), CR1R1', CR1, het, NRn or N;

L3 = O, S(O)s, C(=O), C(=N-O Ro), CR1R1', CR1, het, NRn or N;

L4 = absent or O, S(O)s, C(=O), C(=N-O-Ro), CR1R1', CR1, het, NRn

or N;

L5 = absent or O, S(O)s, C(=O), CR1R1', CR1, NRn or N; with

provisos;

R1, R1' = Ra, Rc or U-Q-V-W etc.;

s = 0-2;

B' = a group of formula (i) or (ii);

S' = 5-7 membered, unsaturated, partially saturated or aromatic fused hetero- or homocyclic ring unsaturated;

G = H or 1-6C alkyl; or

G+T = 3-6C cycloalkyl optionally substituted by V-W;  
 T = naturally occurring alpha-amino acid side chain or U-Q-V-W;  
 U = 1-6C alkyl, 0-6C alkyl-Q, 2-6C alkenyl-Q or 2-6C alkynyl-Q,  
 each optionally substituted;  
 Q = absent or O, S(O)s, SO<sub>2</sub>-N(Rn), N(Rn), N(Rn)-C(=O), N(Rn)-C(=O)  
 N(Rn), N(Rn)-C(=O)-O, N(Rn)-SO<sub>2</sub>, -C(=O)- or -P(O)O- etc.;  
 het = mono- or bicyclic optionally substituted 5-7, 9 or  
 10-membered heterocyclic ring, containing 1-4 heteroatoms;  
 V = absent or 1-6C alkyl, 3-8C cycloalkyl, 0-6C alkyl-(6-10C)aryl  
 or 0-6C aryl-het, where alkyl, aryl or het are optionally substituted;  
 W = H, ORo, NH-C(=O)-O-Rc, NH-C(=O)-Rc, NH-SO<sub>2</sub>-Rs,  
 NH-SO<sub>2</sub>-NH-C(=O)-Rc, C(=O)-NH-C(=O)-O-Rc, C(=O)-NH-C(=O)-Rc,  
 SO<sub>2</sub>-NH-C(=O)-O-Rc, SO<sub>2</sub>-NH-C(=O)-Rc, O-C(=O)-Rc, O-C(=O)-NH-C(=O)-Rc etc.;  
 R = C(=O)-Rz, C(=O)H, CH<sub>2</sub>OH or CH<sub>2</sub>O C(=O)-(1-6C)alkyl;  
 Ra = Ra' or Ra substituted by 1-3 Ra';  
 Ra' = H, halo, CN, isocyanate, carboxy, carboxy-(1-11C)alkyl, NH<sub>2</sub>,  
 amino-(1-8C)alkyl, aminocarbonyl, carbamoyloxy, formyl, formyloxy, azido,  
 NO<sub>2</sub>, imidazolyl, OH, 1-6C alkoxy, SH, sulfonamido, het, phenoxy, tosyl,  
 morpholino, morpholinyl, piperazinyl, piperidinyl, pyrrolinyl, indolyl  
 etc.;  
 Rc = H, 1-10C alkyl, 2-10C alkenyl, 2-10C alkynyl, 3-11C  
 cycloalkyl, 3-10C cycloalkenyl, 1-6C alkyl-(6-12C)aryl, 6-10C  
 aryl-(1-6C)alkyl, 1-6C alkyl-het, het-(1-6C)alkyl, 6-12C aryl or het;  
 Rn = Rc, NH-C(=O)-O-Rc, NH-C(=O)-Rc, NH-C(=O)-NHRc,  
 NH-SO<sub>2</sub>-NH-C(=O)-Rc, C(=O)-O-Rc, C(=O)-Rc, C(=O)-NHRc, C(=O)-NH-C(=O)-ORc,  
 C(=O)NH-C(=O)-Rc, SO<sub>2</sub>-N(Rc)<sub>2</sub>, SO<sub>2</sub>-NH-C(=O)-O-Rc, SO<sub>2</sub>-NHC(=O)-O-Rc or  
 SO<sub>2</sub>-NH-C(=O)-Rc;  
 Ro = H; or 1-6C alkyl, 1-6C alkylcarbonyl, 2-6C alkenyl, 2-6C  
 alkynyl, 3-8C cycloalkyl or benzoyl, where alkyl and aryl are optionally  
 substituted;  
 n = 0-3;  
 Rp = OH, halo, CN, isocyanate, ORc, SORc, NO<sub>2</sub>, CF<sub>3</sub>, Rc,  
 NRnC(=O)-O-Rc, NRnC(=O) Rc, 0-6C alkyl-SO<sub>2</sub>-Rc, C(=O)-Rc, O C(=O)-Rc,  
 C(=O)-O-Rc;  
 Rz = OH, 1-11C alkoxy, 3-12C cycloalkoxy, 8-12C aralkoxy, 8-12C  
 arylalkoxy, 6-10C aryloxy, 3-10C alkylcarbonyloxyalkyloxy, 3-10C  
 alkoxyalkylcarbonyloxyalkyloxy, 3-10C alkoxyalkylcarbonyloxyalkyloxy, 5-10C  
 cycloalkylcarbonyloxyalkyloxy, 5-10C cycloalkoxyalkylcarbonyloxyalkyloxy, 5-10C  
 cycloalkoxyalkylcarbonyloxyalkyloxy, 8-12C aryloxyalkylcarbonyloxyalkyloxy, 8-12C  
 aryloxyalkylcarbonyloxyalkyloxy, 8-12C arylcarbonyloxyalkyloxy, 5-10C  
 alkoxyalkylcarbonyloxyalkyloxy

USE - For treating immune disorders including rejection of or by a  
 transplanted graft, psoriasis, rheumatoid arthritis, asthma and multiple  
 sclerosis (claimed), also e.g. inflammatory bowel disease, adult  
 respiratory distress syndrome, dermatitis, meningitis, encephalitis,  
 uveitis, conditions involving infiltration of T-cells and chronic  
 inflammatory responses, skin hypersensitivity reactions, atherosclerosis,  
 autoimmune diseases, pernicious anemia, central nervous system  
 inflammatory disorder, autoimmune hemolytic anemia, myasthenia gravis,  
 antigen-antibody complex mediated diseases, human immune deficiency virus  
 (HIV) infection, hemorrhagic shock, ischemia/reperfusion injury, bypass  
 surgery, burns, stroke, vasculitis, and cerebral edema.

## MANUAL CODE:

CPI: B06-H; B07-H; B10-C03; B10-C04; B10-D03; B14-A01;  
 B14-A01A5; B14-A02B1; B14-C03; B14-C09B; B14-E10C;  
 B14-F01E; B14-F03; B14-F07; B14-G02C; B14-G02D; B14-K01;  
 B14-K01A; B14-N16; B14-N17A; B14-N17C; B14-S01

AN 2000-038359 [03] WPIX



DC B02; B03; B05

IC ICM A61K; A61K031-198; A61K031-559  
 ICS A61K031-27; A61K031-275; A61K031-405; A61K031-42; A61K031-426;  
 A61P011-06; A61P017-06; A61P025-00; A61P029-00; A61P037-02;  
 A61P037-06; A61P043-00; A61K031-341; A61K031-381; A61K031-40;  
 A61K031-404; A61K031-415; A61K031-433; A61K031-445; A61K031-4458

ICA C07D207-34; C07D209-08; C07D209-20; C07D211-60; C07D231-16; C07D249-02;  
 C07D261-18; C07D285-06; C07D307-68; C07D333-24; C07D333-38; C07D333-40;  
 C07D333-54; C07D409-12

IPCI A61K0031-165 [I,A]; A61K0031-185 [I,C]; A61K0031-195 [I,A]; A61K0031-275  
 [I,A]; A61K0031-325 [I,A]; A61K0031-33 [I,A]; A61P0037-00 [I,A];  
 C07C0233-00 [I,C]; C07C0233-87 [I,A]; C07C0233-91 [I,A]; C07C0235-00 [I,C]  
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 C07C0271-00 [I,C]; C07C0271-10 [I,A]; C07C0271-28 [I,A]; C07C0275-00  
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 C07C0311-00 [I,C]; C07C0311-01 [I,A]; C07C0317-00 [I,C]; C07C0317-44  
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 [I,C]; C07D0211-60 [I,A]; C07D0213-00 [I,C]; C07D0213-34 [I,A];  
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 C07D0317-00 [I,C]; C07D0317-18 [I,A]; C07D0333-00 [I,C]; C07D0333-10 [I,A]

IPCR A61K0031-166 [I,A]; A61K0031-166 [I,C]; A61K0031-18 [I,A]; A61K0031-18  
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 A61K0031-343 [I,C]; A61K0031-357 [I,C]; A61K0031-36 [I,A]; A61K0031-381  
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 A61K0031-403 [I,C]; A61K0031-404 [I,A]; A61K0031-415 [I,A]; A61K0031-415  
 [I,C]; A61K0031-4164 [I,A]; A61K0031-4164 [I,C]; A61K0031-4192 [I,A];  
 A61K0031-4192 [I,C]; A61K0031-433 [I,A]; A61K0031-433 [I,C]; A61K0031-445  
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MC CPI: B06-H; B07-H; B10-C03; B10-C04; B10-D03; B14-A01; B14-A01A5;  
 B14-A02B1; B14-C03; B14-C09B; B14-E10C; B14-F01E; B14-F03; B14-F07;  
 B14-G02C; B14-G02D; B14-K01; B14-K01A; B14-N16; B14-N17A; B14-N17C;  
 B14-S01

CMC UPB 20060115

M2 \*01\* G011 G012 G013 G100 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332 K0  
 L4 L432 M210 M213 M232 M240 M281 M311 M312 M321 M332 M342 M343  
 M349 M373 M381 M391 M414 M510 M520 M533 M540 M781 P210 P220 P420  
 P421 P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941  
 P943 M905 M904  
 DCN: RA0TQK-K RA0TQK-T RA0TQK-U  
 DCR: 239659-K 239659-T 239659-U

M2 \*02\* G010 G012 G013 G100 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332 K0  
 L4 L432 M280 M311 M312 M321 M322 M332 M342 M343 M349 M373 M381  
 M391 M392 M414 M510 M520 M533 M540 M781 P210 P220 P420 P421 P423  
 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943  
 M905 M904  
 DCN: RA0TQL-K RA0TQL-T RA0TQL-U  
 DCR: 239660-K 239660-T 239660-U

M2 \*03\* G012 G013 G020 G112 G221 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332  
 K0 L4 L432 M280 M311 M312 M321 M332 M342 M343 M349 M373 M381  
 M391 M414 M510 M520 M533 M540 M781 P210 P220 P420 P421 P423 P431  
 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943 M905  
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 DCR: 239661-K 239661-T 239661-U

M2 \*04\* G010 G012 G013 G100 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332 K0  
L4 L432 M280 M311 M312 M321 M322 M331 M332 M340 M342 M343 M349  
M373 M381 M391 M392 M414 M510 M520 M533 M540 M781 P210 P220 P420  
P421 P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941  
P943 M905 M904  
DCN: RA0TQN-K RA0TQN-T RA0TQN-U  
DCR: 239662-K 239662-T 239662-U

M2 \*05\* G012 G013 G030 G112 G563 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332  
K0 L4 L432 M280 M311 M312 M321 M332 M342 M343 M349 M373 M381  
M391 M414 M510 M520 M532 M541 M781 P210 P220 P420 P421 P423 P431  
P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943 M905  
M904  
DCN: RA0TQO-K RA0TQO-T RA0TQO-U  
DCR: 239663-K 239663-T 239663-U

M2 \*06\* G012 G013 G100 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332 K0 L4  
L410 L431 L463 M210 M212 M272 M281 M311 M312 M321 M332 M342 M343  
M349 M373 M381 M391 M414 M510 M520 M532 M540 M781 P210 P220 P420  
P421 P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941  
P943 M905 M904  
DCN: RA0TQT-K RA0TQT-T RA0TQT-U  
DCR: 239668-K 239668-T 239668-U

M2 \*07\* G012 G013 G100 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332 K0 L4  
L432 M210 M213 M232 M273 M281 M311 M312 M321 M332 M342 M343 M349  
M373 M381 M391 M414 M510 M520 M532 M540 M781 P210 P220 P420 P421  
P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943  
M905 M904  
DCN: RA0TQU-K RA0TQU-T RA0TQU-U  
DCR: 239669-K 239669-T 239669-U

M2 \*08\* G010 G012 G013 G033 G113 G530 H4 H401 H441 H8 J0 J013 J1 J171 J3  
J332 K0 L4 L432 M1 M113 M280 M311 M312 M321 M332 M342 M343 M349  
M373 M381 M391 M414 M510 M520 M533 M541 M781 P210 P220 P420 P421  
P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943  
M905 M904  
DCN: RA0TQV-K RA0TQV-T RA0TQV-U  
DCR: 239671-K 239671-T 239671-U

M2 \*09\* G010 G012 G013 G100 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332 K0  
L4 L432 M280 M311 M312 M321 M332 M342 M343 M349 M373 M381 M391  
M414 M510 M520 M533 M540 M781 P210 P220 P420 P421 P423 P431 P433  
P446 P523 P714 P732 P812 P814 P820 P822 P941 P943 M905 M904  
DCN: RA0TQW-K RA0TQW-T RA0TQW-U  
DCR: 239672-K 239672-T 239672-U

M2 \*10\* G012 G013 G019 G100 H4 H401 H441 H5 H594 H8 H9 J0 J013 J1 J171  
J3 J332 K0 L4 L432 M210 M211 M271 M281 M311 M312 M321 M332 M342  
M343 M349 M373 M381 M391 M414 M510 M520 M533 M540 M781 P210 P220  
P420 P421 P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822  
P941 P943 M905 M904  
DCN: RA0TQY-K RA0TQY-T RA0TQY-U  
DCR: 239674-K 239674-T 239674-U

M2 \*11\* G012 G013 G019 G100 H4 H401 H441 H8 J0 J014 J1 J171 J2 J231 J3  
J332 K0 L4 L432 M210 M212 M272 M281 M311 M312 M321 M332 M342  
M343 M349 M373 M381 M391 M414 M510 M520 M533 M540 M781 P210 P220  
P420 P421 P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822  
P941 P943 M905 M904  
DCN: RA0TR1-K RA0TR1-T RA0TR1-U  
DCR: 239677-K 239677-T 239677-U

M2 \*12\* G012 G013 G019 G100 H4 H401 H441 H6 H601 H641 H8 J0 J013 J1 J171  
J3 J332 K0 L4 L432 M280 M311 M312 M321 M332 M342 M343 M349 M373

M381 M391 M414 M510 M520 M533 M540 M781 P210 P220 P420 P421 P423  
P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943  
M905 M904  
DCN: RA0TR3-K RA0TR3-T RA0TR3-U  
DCR: 239679-K 239679-T 239679-U

M2 \*13\* G012 G013 G019 G100 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332 K0  
L4 L432 M210 M211 M240 M281 M311 M312 M321 M332 M342 M343 M349  
M373 M381 M391 M414 M510 M520 M533 M540 M781 P210 P220 P420 P421  
P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943  
M905 M904  
DCN: RA0TR9-K RA0TR9-T RA0TR9-U  
DCR: 239684-K 239684-T 239684-U

M2 \*14\* G011 G012 G013 G100 H3 H341 H4 H401 H441 H8 J0 J013 J1 J171 J3  
J332 K0 L4 L432 M280 M311 M312 M321 M332 M342 M343 M349 M373  
M381 M391 M414 M510 M520 M533 M540 M781 P210 P220 P420 P421 P423  
P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943  
M905 M904  
DCN: RA0TRA-K RA0TRA-T RA0TRA-U  
DCR: 239685-K 239685-T 239685-U

M2 \*15\* G012 G013 G019 G100 H4 H401 H441 H8 J0 J013 J1 J171 J3 J332 K0  
L1 L143 L4 L432 M280 M311 M312 M321 M332 M342 M343 M349 M373  
M381 M391 M414 M510 M520 M533 M540 M781 P210 P220 P420 P421 P423  
P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943  
M905 M904  
DCN: RA0TRF-K RA0TRF-T RA0TRF-U  
DCR: 239690-K 239690-T 239690-U

M2 \*16\* G011 G012 G013 G100 H4 H401 H441 H6 H685 H8 J0 J013 J1 J171 J3  
J332 K0 L4 L432 M280 M311 M312 M321 M322 M332 M342 M343 M344  
M349 M353 M373 M381 M391 M414 M510 M520 M533 M540 M781 P210 P220  
P420 P421 P423 P431 P433 P446 P523 P714 P732 P812 P814 P820 P822  
P941 P943 M905 M904  
DCN: RA0TRQ-K RA0TRQ-T RA0TRQ-U  
DCR: 239700-K 239700-T 239700-U

M2 \*17\* G012 G013 G019 G100 H4 H401 H441 H6 H602 H641 H8 J0 J013 J1 J171  
J3 J332 K0 L4 L432 M280 M311 M312 M321 M332 M342 M343 M349 M373  
M381 M391 M414 M510 M520 M533 M540 M781 P210 P220 P420 P421 P423  
P431 P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943  
M905 M904  
DCN: RA0TRR-K RA0TRR-T RA0TRR-U  
DCR: 239701-K 239701-T 239701-U

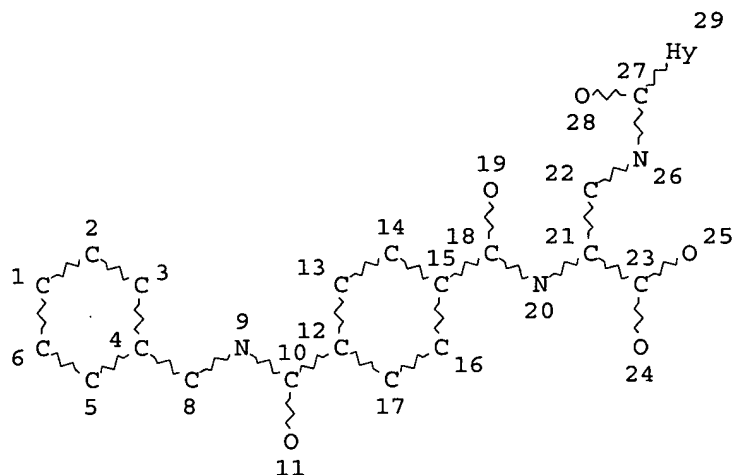
M2 \*18\* G012 G013 G100 H4 H401 H441 H7 H716 H721 H8 J0 J013 J1 J171 J3  
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M342 M343 M349 M373 M381 M391 M414 M510 M520 M532 M540 M781 P210  
P220 P420 P421 P423 P431 P433 P446 P523 P714 P732 P812 P814 P820  
P822 P941 P943 M905 M904  
DCN: RA0TRS-K RA0TRS-T RA0TRS-U  
DCR: 239702-K 239702-T 239702-U

M2 \*19\* G010 G012 G013 G100 H4 H401 H441 H8 J0 J012 J3 J332 J4 J471 K0  
L4 L432 M280 M311 M314 M321 M322 M332 M342 M344 M373 M383 M391  
M392 M414 M510 M520 M533 M540 M781 P210 P220 P420 P421 P423 P431  
P433 P446 P523 P714 P732 P812 P814 P820 P822 P941 P943 M905  
M904  
DCN: RA0TRT-K RA0TRT-T RA0TRT-U  
DCR: 239703-K 239703-T 239703-U

M2 \*20\* G012 G013 G014 G100 H4 H401 H441 H6 H602 H641 H8 J0 J012 J3 J332  
J4 J471 K0 L4 L432 M210 M211 M240 M281 M311 M314 M321 M322 M332  
M342 M344 M373 M383 M391 M392 M414 M510 M520 M533 M540 M781 P210

P220 P420 P421 P423 P431 P433 P446 P523 P714 P732 P812 P814 P820  
P822 P941 P943 M905 M904  
DCN: RA0TRU-K RA0TRU-T RA0TRU-U  
DCR: 239704-K 239704-T 239704-U  
M2 \*21\* D010 D020 D040 F010 F019 F020 F021 F029 G001 G002 G010 G011 G012  
G013 G015 G017 G018 G019 G020 G021 G022 G029 G030 G039 G040 G050  
G100 G111 G112 G113 G221 G299 G553 G563 H401 H481 H498 H521 H541  
H542 H581 H598 H715 H721 H722 H723 H731 J0 J012 J013 J1 J171 J3  
J331 J332 J581 L660 M111 M112 M113 M119 M121 M122 M123 M129 M132  
M135 M139 M150 M210 M211 M212 M213 M214 M215 M216 M220 M221 M222  
M223 M224 M225 M226 M231 M232 M233 M240 M271 M272 M280 M281 M282  
M283 M311 M312 M313 M314 M315 M316 M321 M322 M323 M331 M332 M333  
M340 M342 M343 M349 M372 M373 M381 M383 M391 M412 M413 M414 M510  
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P820 P822 P941 P943 M905 M904  
MCN: 0008-32301-K 0008-32301-T 0008-32301-U

=> d que stat l12  
L10 STR



NODE ATTRIBUTES:

CONNECT IS E1 RC AT 11  
CONNECT IS E1 RC AT 19  
CONNECT IS E1 RC AT 24  
CONNECT IS E1 RC AT 28  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS E4 C E0 N E0 O E0 P E1 S AT 29

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE

L12 61 SEA FILE=REGISTRY SSS FUL L10

100.0% PROCESSED 4981 ITERATIONS  
SEARCH TIME: 00.00.01

61 ANSWERS

=> d que nos l17

L10 STR

L12 61 SEA FILE=REGISTRY SSS FUL L10

L17 ANALYZE PLU=ON L12 1- LC : 4 TERMS

=> d l17 1-

L17 ANALYZE L12 1- LC : 4 TERMS

TERM #	# OCC	# DOC	% DOC LC
1	60	60	98.36 CA
2	60	60	98.36 CAPLUS
3	60	60	98.36 USPATFULL
4	60	60	98.36 USPAT2

\*\*\*\*\* END OF L17\*\*\*

=> d que nos 133

```

L10          STR
L12          61 SEA FILE=REGISTRY SSS FUL L10
L30          QUE ABB=ON PLU=ON AY<1999 OR PY<1999 OR PRY<1999 OR MY
              <1999 OR REVIEW/DT
L32          2 SEA FILE=HCAPLUS ABB=ON PLU=ON L12
L33          2 SEA FILE=HCAPLUS ABB=ON PLU=ON L32 AND L30

```

=> d his 144

(FILE 'USPATFULL, USPAT2' ENTERED AT 09:00:27 ON 01 DEC 2006)

```

L44          6 S L43 AND L31

```

=> d que nos 144

```

L10          STR
L12          61 SEA FILE=REGISTRY SSS FUL L10
L31          QUE ABB=ON PLU=ON AY<1999 OR PY<1999 OR PRY<1999
L43          7 SEA L12
L44          6 SEA L43 AND L31

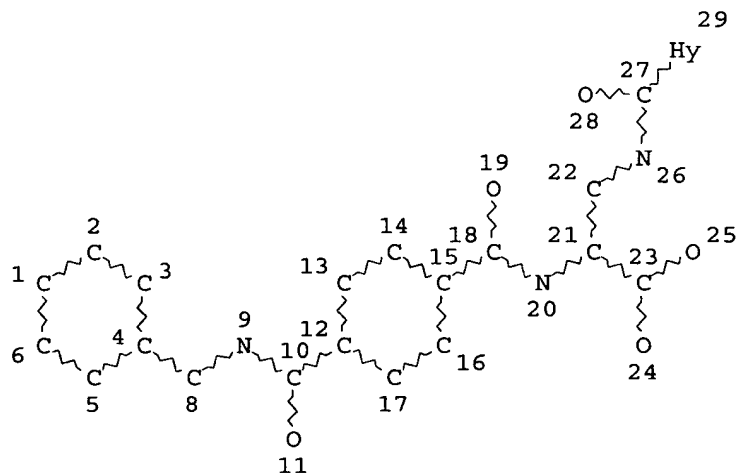
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=> d que stat 146

```

L10          STR

```



#### NODE ATTRIBUTES:

```

CONNECT IS E1 RC AT 11
CONNECT IS E1 RC AT 19
CONNECT IS E1 RC AT 24
CONNECT IS E1 RC AT 28
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E4 C E0 N E0 O E0 P E1 S AT 29

```

#### GRAPH ATTRIBUTES:

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 28

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STEREO ATTRIBUTES: NONE

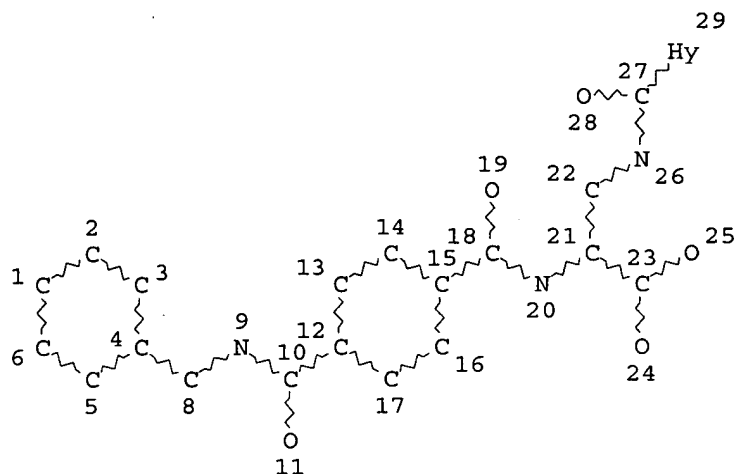
L46 0 SEA FILE=BEILSTEIN SSS FUL L10

100.0% PROCESSED 297 ITERATIONS  
SEARCH TIME: 00.00.02

0 ANSWERS

=&gt; d que stat 148

L10 STR



NODE ATTRIBUTES:

CONNECT IS E1 RC AT 11

CONNECT IS E1 RC AT 19

CONNECT IS E1 RC AT 24

CONNECT IS E1 RC AT 28

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E4 C E0 N E0 O E0 P E1 S AT 29

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 28

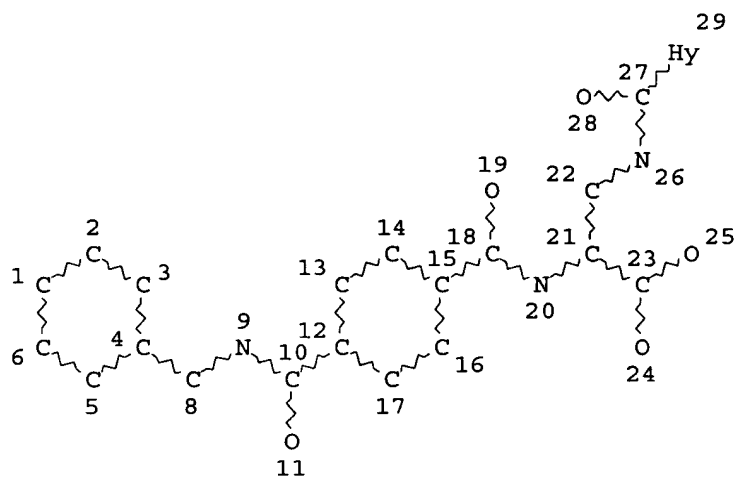
STEREO ATTRIBUTES: NONE

L48 0 SEA FILE=CHEMINFORMRX SSS FUL L10 ( 0 REACTIONS)

100.0% DONE 5 VERIFIED 0 HIT RXNS 0 DOCS  
SEARCH TIME: 00.00.04

=&gt; d que stat 150

L10 STR



## NODE ATTRIBUTES:

CONNECT IS E1 RC AT 11  
 CONNECT IS E1 RC AT 19  
 CONNECT IS E1 RC AT 24  
 CONNECT IS E1 RC AT 28  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS E4 C E0 N E0 O E0 P E1 S AT 29

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 28

## STEREO ATTRIBUTES: NONE

L50 7 SEA FILE=WPIX SSS FUL L10

100.0% PROCESSED 716 ITERATIONS  
 SEARCH TIME: 00.00.03

7 ANSWERS

=> d his 150-161

(FILE 'WPIX' ENTERED AT 09:05:55 ON 01 DEC 2006)

L50 7 S L10 FUL  
 SAVE TEMP L50 NAG762WPIS/A  
 SELECT L50 1- SDCN  
 L51 1 S E13-E19/DCN  
 L52 1 S L50/DCR  
 SELECT L2 1- DCR  
 L53 0 S E20-E99/DCSE

FILE 'STNGUIDE' ENTERED AT 09:08:31 ON 01 DEC 2006

FILE 'WPIX' ENTERED AT 09:11:59 ON 01 DEC 2006

L54 12 S (239704 OR 239703 OR 239702 OR 239701 OR 239700 OR 239690 OR  
 L55 8 S (239671 OR 239669 OR 239668 OR 239663 OR 239662 OR 239661 OR  
 L56 20 S L54 OR L55



FILE 'STNGUIDE' ENTERED AT 09:14:40 ON 01 DEC 2006

FILE 'WPIX' ENTERED AT 09:16:02 ON 01 DEC 2006

```

L57      0 S L56 AND ?THIOPHEN?/CN
          SELECT L2 1- DCN
L58      20 S E100-E119/SDCN
L59      0 S L58 NOT L54-L55
L60      1 S L51 OR L52
L61      1 S L60 AND L31

=> d que nos 161
L10      STR
L31      QUE ABB=ON PLU=ON AY<1999 OR PY<1999 OR PRY<1999
L50      7 SEA FILE=WPIX SSS FUL L10
L51      1 SEA FILE=WPIX ABB=ON PLU=ON (RA100A/DCN OR RA100C/DCN OR
          RA100D/DCN OR RA100F/DCN OR RA1007/DCN OR RA1008/DCN OR
          RA1009/DCN)
L52      1 SEA FILE=WPIX ABB=ON PLU=ON L50/DCR
L60      1 SEA FILE=WPIX ABB=ON PLU=ON L51 OR L52
L61      1 SEA FILE=WPIX ABB=ON PLU=ON L60 AND L31
    
```

=> d his 145

(FILE 'USPATFULL, USPAT2' ENTERED AT 09:00:27 ON 01 DEC 2006)

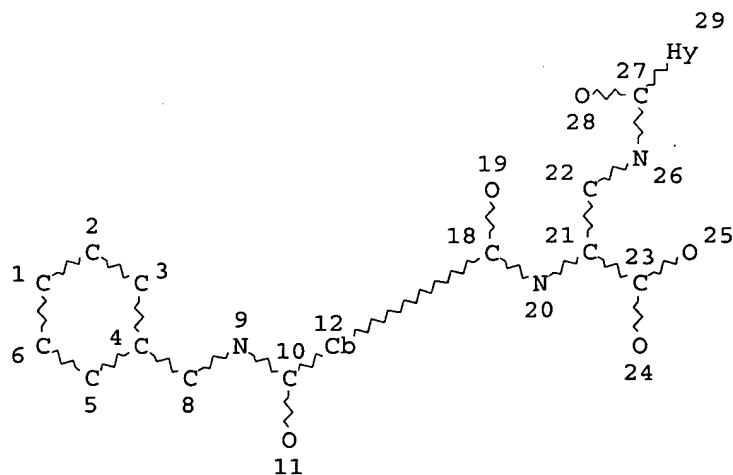
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L45      1 S L43 NOT L44

=> d que nos 145
L10      STR
L12      61 SEA FILE=REGISTRY SSS FUL L10
L31      QUE ABB=ON PLU=ON AY<1999 OR PY<1999 OR PRY<1999
L43      7 SEA L12
L44      6 SEA L43 AND L31
L45      1 SEA L43 NOT L44
    
```

=> d que stat 171

L69 STR



NODE ATTRIBUTES:

CONNECT IS E1 RC AT 11

CONNECT IS E1 RC AT 19  
CONNECT IS E1 RC AT 24  
CONNECT IS E1 RC AT 28  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS E6 C AT 12  
ECOUNT IS E4 C E0 N E0 O E0 P E1 S AT 29

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE  
L71 5 SEA FILE=MARPAT SSS FUL L69

100.0% PROCESSED 21917 ITERATIONS ( 2 INCOMPLETE) 5 ANSWERS  
SEARCH TIME: 00.00.44

=> dup rem l33 l44 l46 l48 l61

L46 HAS NO ANSWERS

L48 HAS NO ANSWERS

DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN, CHEMINFORMRX'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

FILE 'HCAPLUS' ENTERED AT 09:51:16 ON 01 DEC 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 09:51:16 ON 01 DEC 2006

CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 09:51:16 ON 01 DEC 2006

CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIX' ENTERED AT 09:51:16 ON 01 DEC 2006

COPYRIGHT (C) 2006 THE THOMSON CORPORATION

PROCESSING COMPLETED FOR L33

PROCESSING COMPLETED FOR L44

PROCESSING COMPLETED FOR L46

PROCESSING COMPLETED FOR L48

PROCESSING COMPLETED FOR L61

L76 8 DUP REM L33 L44 L46 L48 L61 (1 DUPLICATE REMOVED)

ANSWERS '1-2' FROM FILE HCAPLUS

ANSWERS '3-7' FROM FILE USPATFULL

ANSWER '8' FROM FILE USPAT2

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 09:51:22 ON 01 DEC 2006

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Nov 24, 2006 (20061124/UP).

=&gt; d ibib ed ab hitstr

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, USPAT2' - CONTINUE? (Y)/N:y

L76 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2000:260225 HCAPLUS

DOCUMENT NUMBER: 132:294010

TITLE: Preparation of diaminopropionic acid derivatives as intracellular adhesion molecule-1 (ICAM-1) binding inhibitors

INVENTOR(S): Fotouhi, Nader; Gillespie, Paul; Guthrie, Robert William; Pietranico-Cole, Sherrie Lynn; Yun, Weiya

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021920	A1	20000420	WO 1999-EP7620	19991012 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6331640	B1	20011218	US 1999-407534	19990929 <--
CA 2344058	AA	20000420	CA 1999-2344058	19991012 <--
BR 9914602	A	20010703	BR 1999-14602	19991012 <--
EP 1121342	A1	20010808	EP 1999-953772	19991012 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101038	T2	20010921	TR 2001-200101038	19991012 <--
JP 2002527416	T2	20020827	JP 2000-575829	19991012 <--
JP 3720709	B2	20051130		
AU 766468	B2	20031016	AU 2000-10349	19991012 <--
ZA 2001002608	A	20020930	ZA 2001-2608	20010329 <--
US 2002052512	A1	20020502	US 2001-879700	20010612 <--
US 2004006236	A1	20040108	US 2003-349289	20030122
US 6803384	B2	20041012		
US 2005080119	A1	20050414	US 2004-945650	20040921 <--
PRIORITY APPLN. INFO.:				
			US 1998-104120P	P 19981013 <--
			US 1999-407534	A3 19990929
			WO 1999-EP7620	W 19991012
			US 2001-879700	B3 20010612
			US 2003-349289	A3 20030122

OTHER SOURCE(S): MARPAT 132:294010

ED Entered STN: 21 Apr 2000

AB Diaminopropionic acid derivs. I [R1 = substituted 1-naphthyl, 4-indolyl, 4-benzimidazolyl, 4-benzodiazolyl, 4-benzotriazolyl, or phenyl; R2 = CHR3NHCO (R3 = H, carboxy, alkyl), CH2CH2CO, 1,2-cyclopropanediylcarbonyl,

OCH<sub>2</sub>CO, CH:CHCHR<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>CH(OH), CONHCHR<sub>3</sub>, or CH<sub>2</sub>NH-5,1-tetrazolediyl; U, V, W = H, halo, alkyl provided that U and V are not both hydrogen; X = CO, phenylalkylene, sulfonyl; Y = alkylene which may be substituted by amino or cycloalkyl, alkenylene, alkylenethio; Z = H, alkylthio, CO<sub>2</sub>H, CONH<sub>2</sub>, 1-adamantyl, diphenylmethyl, 3-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-2-pyrazinyl, hydroxy, phenylmethoxy, 2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]phenyl, [(2,6-dichlorophenyl)methoxy], Ph, (un)substituted cycloalkyl or aryl or fused ring system which may contain 0-3 heteroatoms; m, n = 0, 1] or their pharmaceutically acceptable salts or esters were prepared and are useful for treating rheumatoid arthritis, psoriasis, multiple sclerosis, Crohn's disease, ulcerative colitis, atherosclerosis, restenosis, pancreatitis, transplant rejection, delayed graft function and diseases of ischemia reperfusion injury, including acute myocardial infarction and stroke. Thus, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-(3-methoxybenzoylamino)-L-alanine was prepared by the solid-phase method and showed IC<sub>50</sub> = 1.2 nM in the LFA-1 (lymphocyte function-associated antigen-1)/ICAM-1 protein-protein assay.

IT 245463-52-9P 264275-37-8P 264275-38-9P  
264275-39-0P 264275-40-3P 264275-41-4P  
264275-42-5P 264275-43-6P

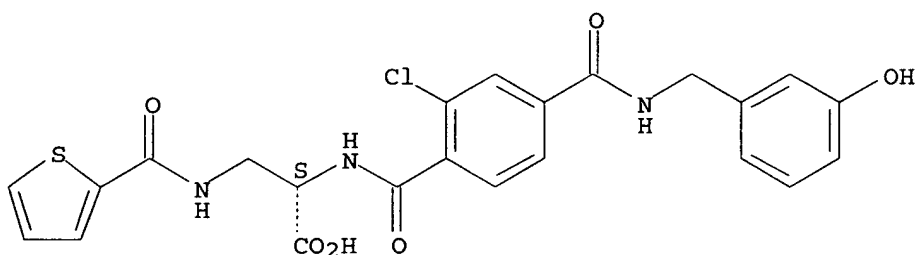
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-52-9 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

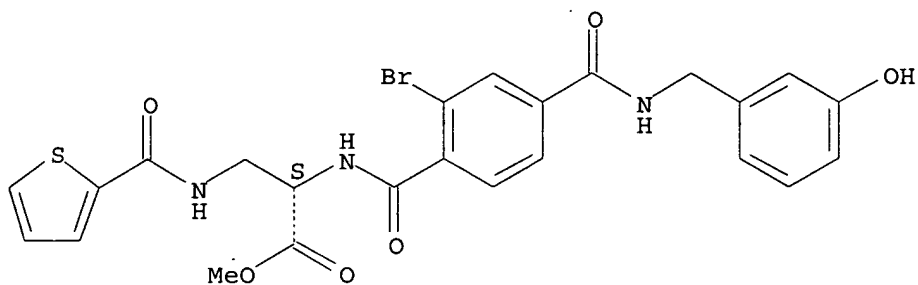
Absolute stereochemistry.



RN 264275-37-8 HCAPLUS

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

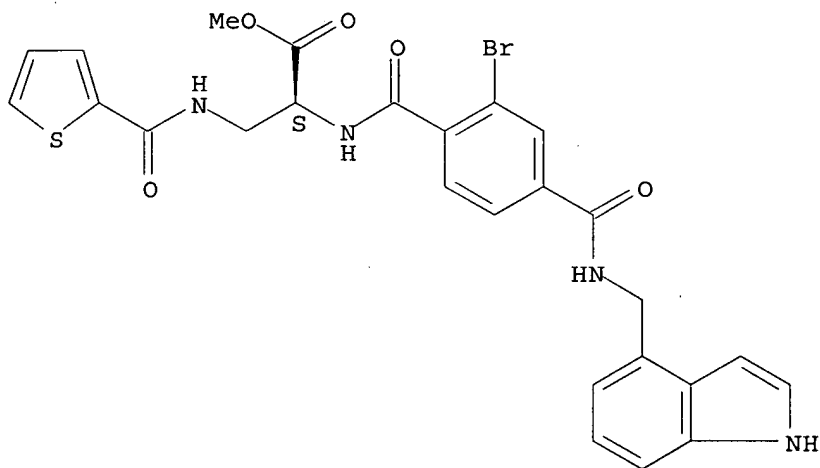
Absolute stereochemistry.



RN 264275-38-9 HCAPLUS

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

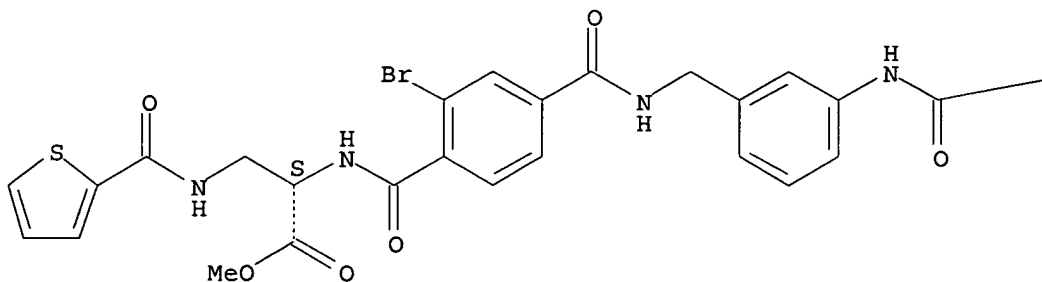


RN 264275-39-0 HCAPLUS

CN L-Alanine, N-[2-bromo-4-[[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



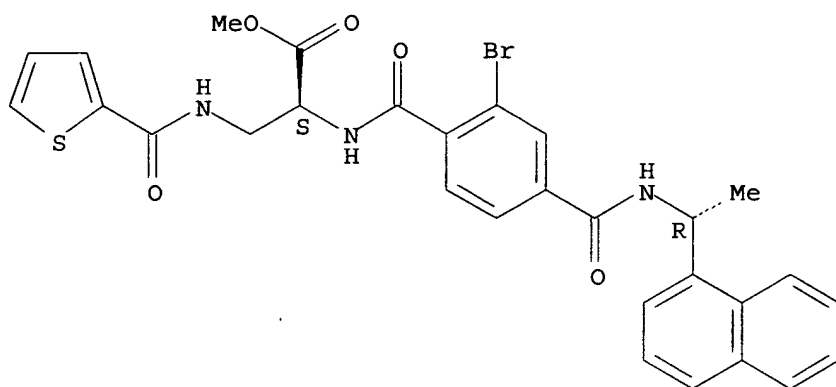
PAGE 1-B

—OBU-t

RN 264275-40-3 HCAPLUS

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

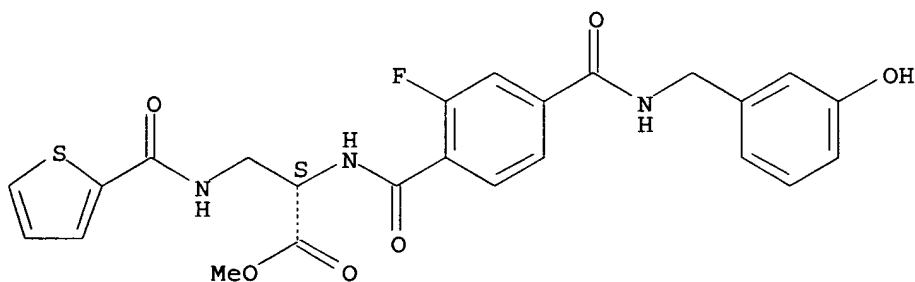
Absolute stereochemistry.



RN 264275-41-4 HCAPLUS

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

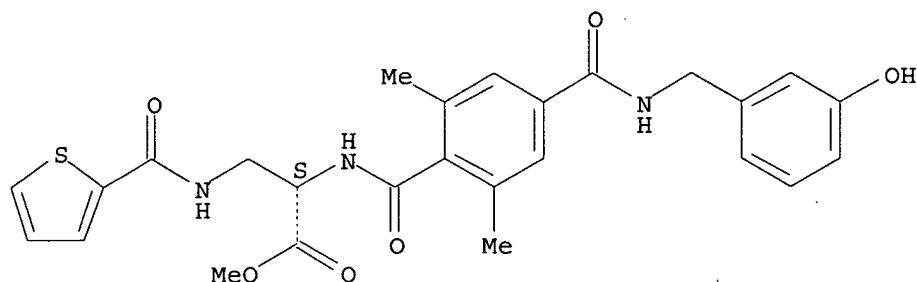
Absolute stereochemistry.



RN 264275-42-5 HCAPLUS

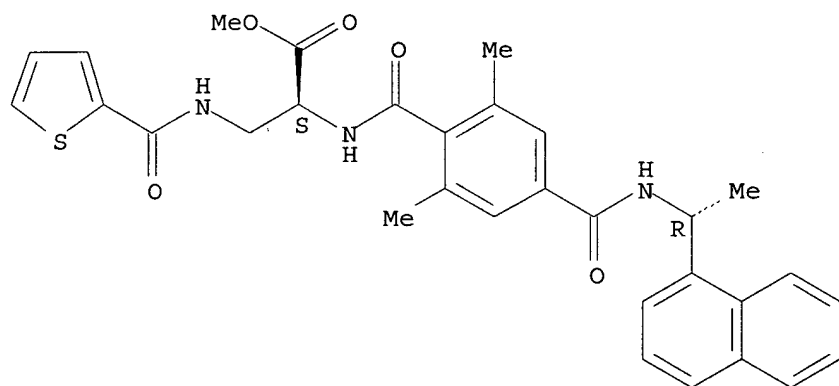
CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-43-6 HCAPLUS  
 CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

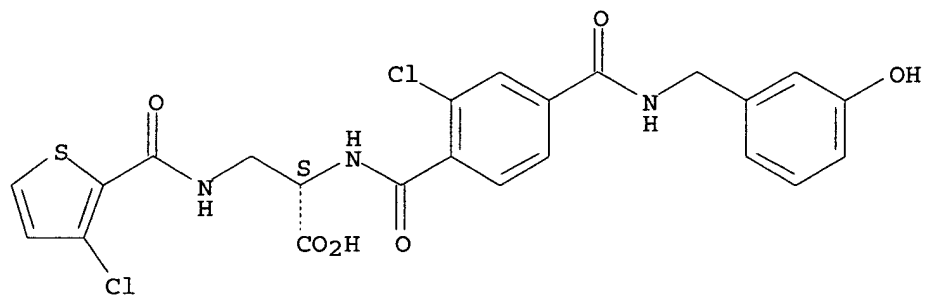


IT 245463-46-1P 245463-49-4P 245463-53-0P  
245463-54-1P 245463-55-2P 264273-97-4P  
264273-98-5P 264273-99-6P 264274-93-3P  
264274-94-4P 264275-36-7P 264275-46-9P  
264275-47-0P 264275-48-1P 264275-49-2P  
264275-53-8P 264275-54-9P 264275-56-1P  
264275-58-3P 264275-59-4P 264275-60-7P  
264275-61-8P 264275-62-9P 264275-63-0P  
264275-64-1P 264275-66-3P 264275-67-4P  
264275-68-5P 264275-70-9P 264275-71-0P  
264275-74-3P 264275-75-4P 264275-78-7P  
264275-85-6P 264275-86-7P 264275-87-8P  
264275-88-9P 264275-89-0P 264275-90-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-46-1 HCAPLUS  
 CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

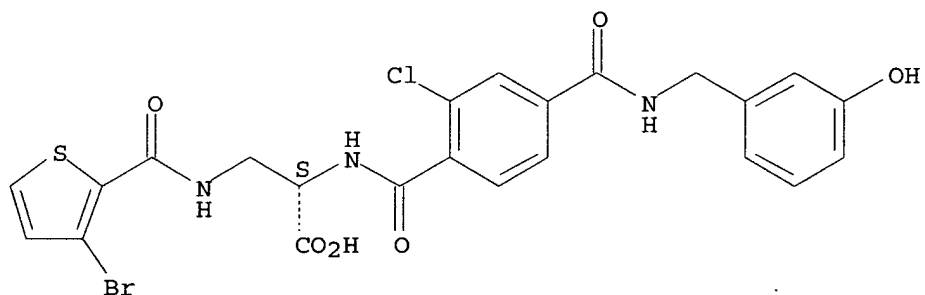
Absolute stereochemistry.



RN 245463-49-4 HCAPLUS

CN L-Alanine, 3-[[ (3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[ (3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

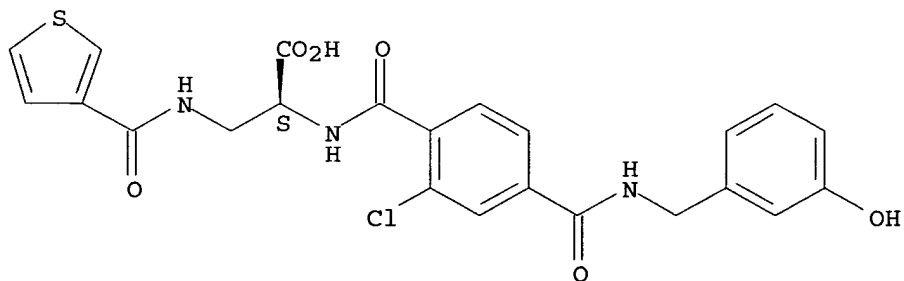
Absolute stereochemistry.



RN 245463-53-0 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[ (3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[ (3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

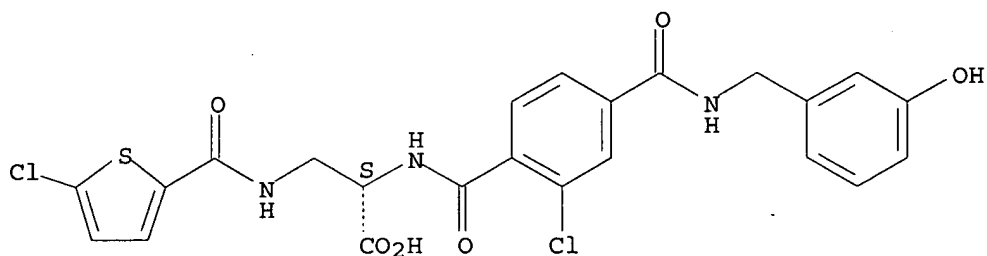


RN 245463-54-1 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[ (3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[ (5-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

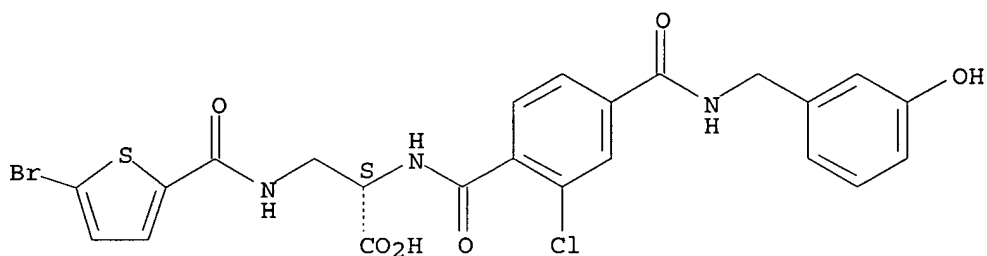




RN 245463-55-2 HCAPLUS

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

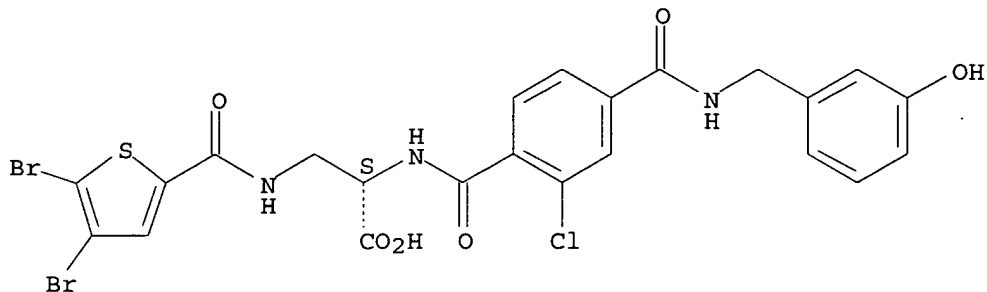
Absolute stereochemistry.



RN 264273-97-4 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

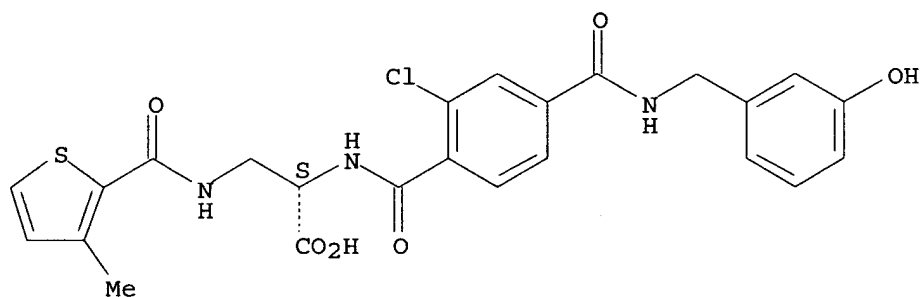
Absolute stereochemistry.



RN 264273-98-5 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

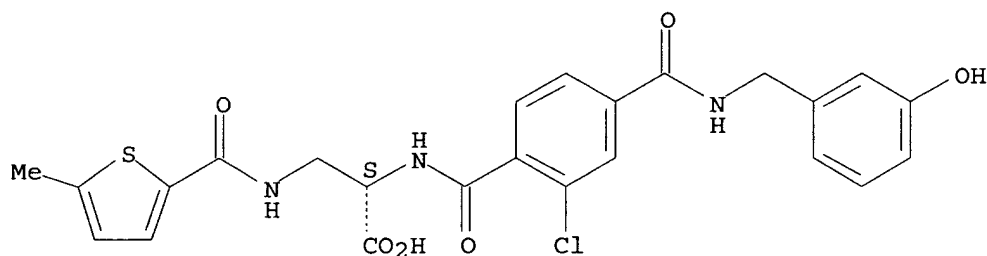
Absolute stereochemistry.



RN 264273-99-6 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

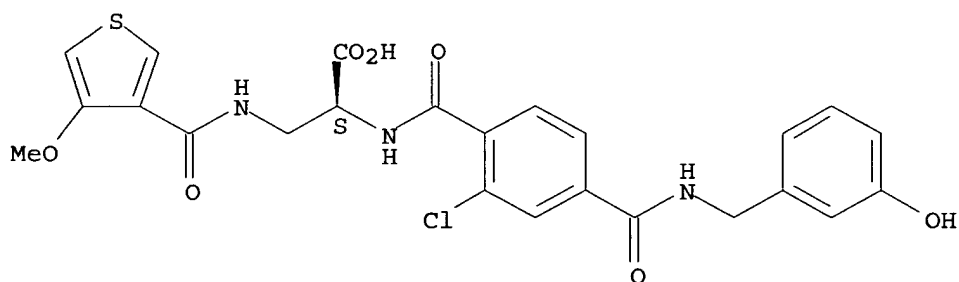
Absolute stereochemistry.



RN 264274-93-3 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

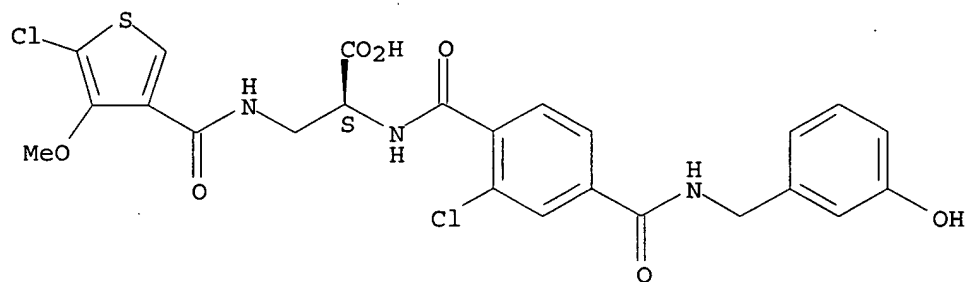
Absolute stereochemistry.



RN 264274-94-4 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

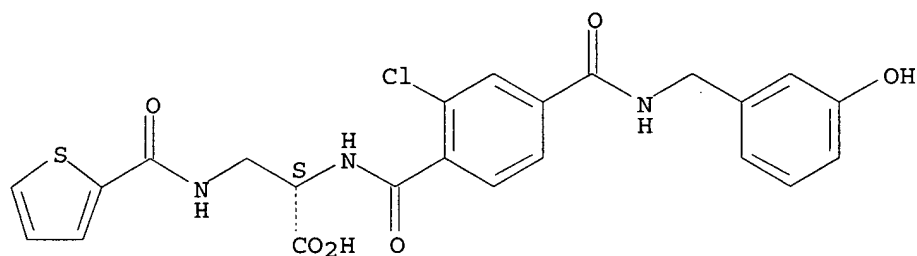
Absolute stereochemistry.



RN 264275-36-7 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

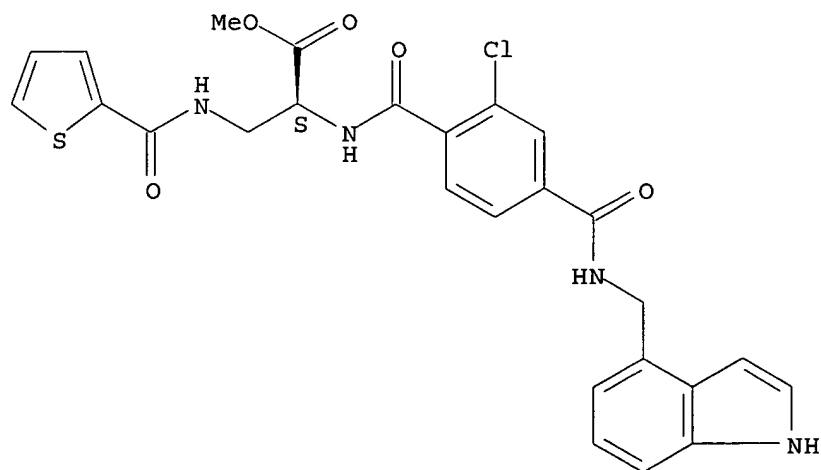


● Na

RN 264275-46-9 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

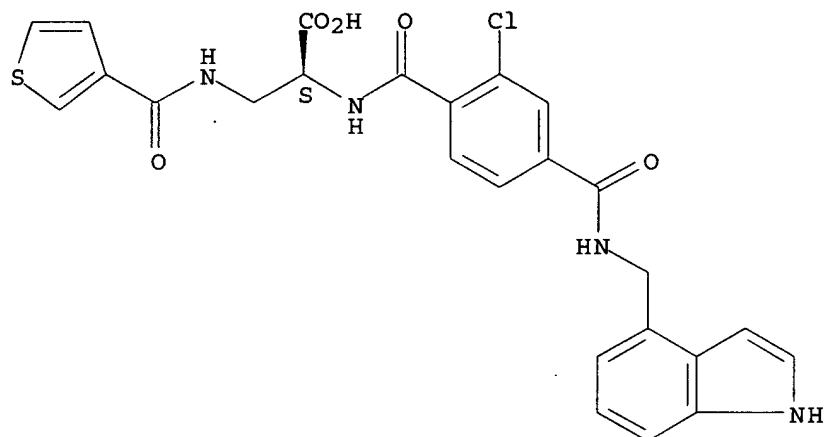
Absolute stereochemistry.



RN 264275-47-0 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

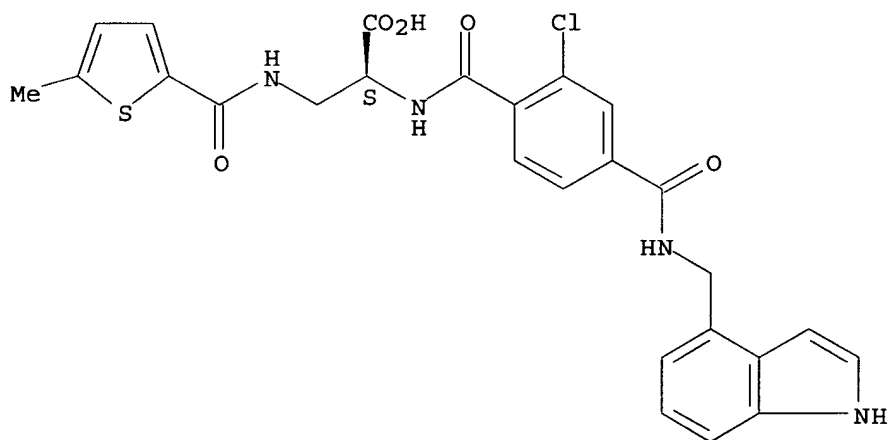
Absolute stereochemistry.



RN 264275-48-1 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[[[5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

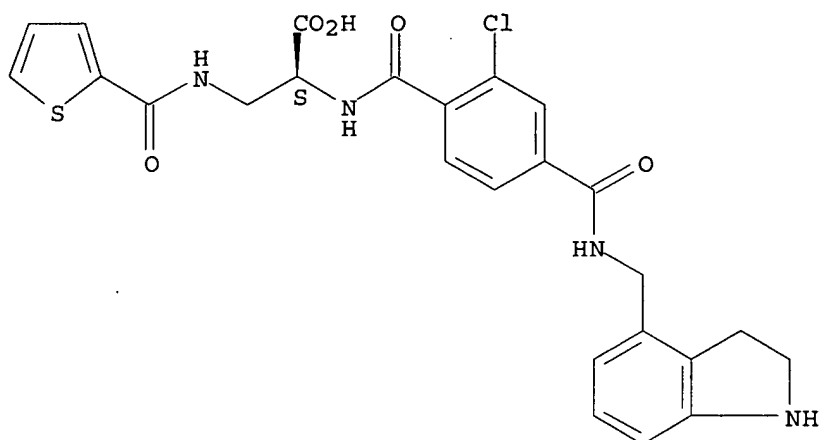
Absolute stereochemistry.



RN 264275-49-2 HCAPLUS

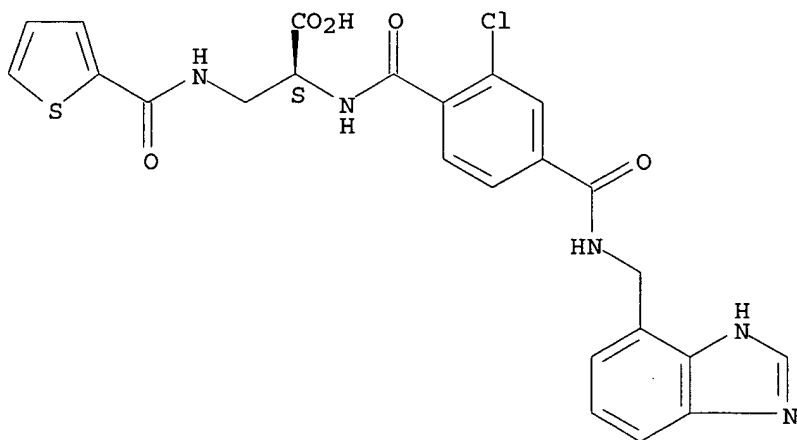
CN L-Alanine, N-[2-chloro-4-[[[(2,3-dihydro-1H-indol-4-yl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



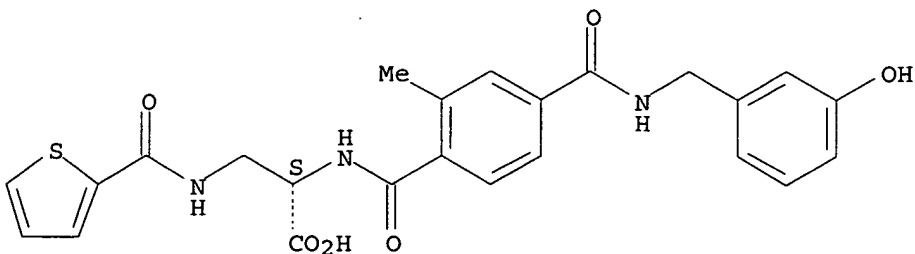
RN 264275-53-8 HCAPLUS  
 CN L-Alanine, N-[4-[[[(1H-benzimidazol-4-ylmethyl)amino]carbonyl]-2-chlorobenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-54-9 HCAPLUS  
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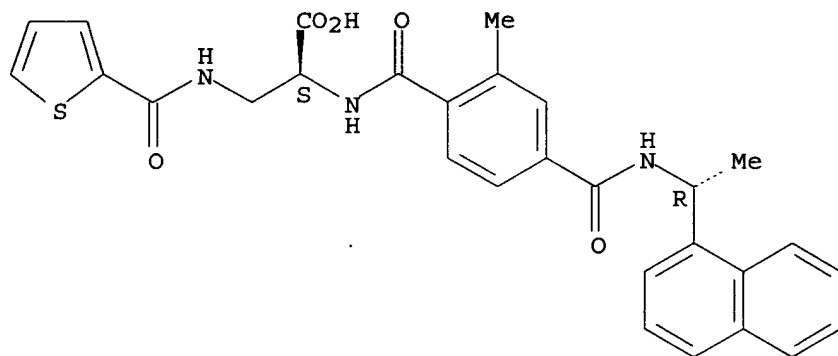
Absolute stereochemistry.



RN 264275-56-1 HCAPLUS

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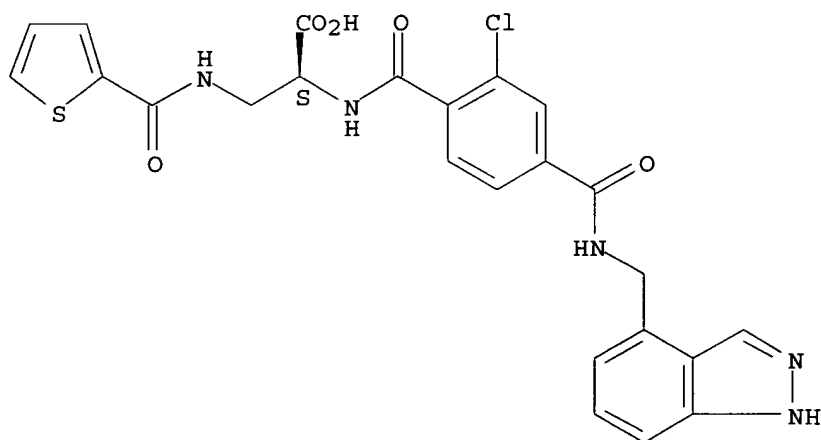
Absolute stereochemistry.



RN 264275-58-3 HCAPLUS

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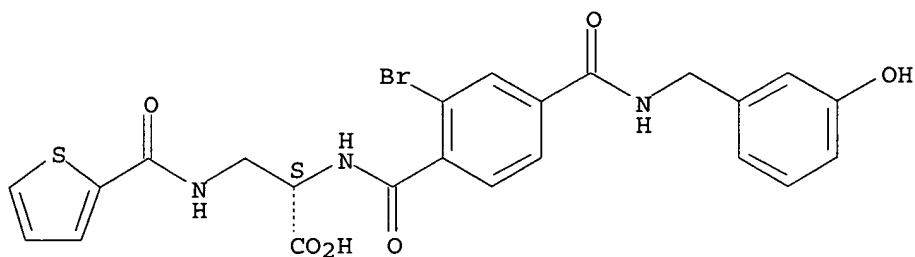
Absolute stereochemistry.



RN 264275-59-4 HCAPLUS

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

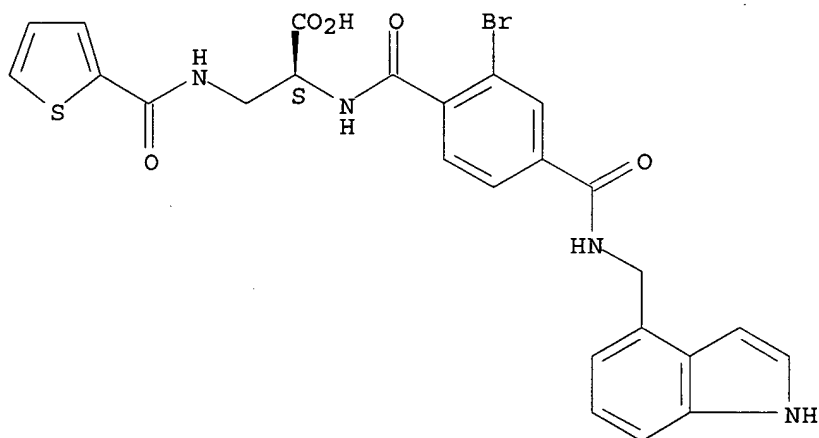
Absolute stereochemistry.



RN 264275-60-7 HCAPLUS

CN L-Alanine, N-[2-bromo-4-[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

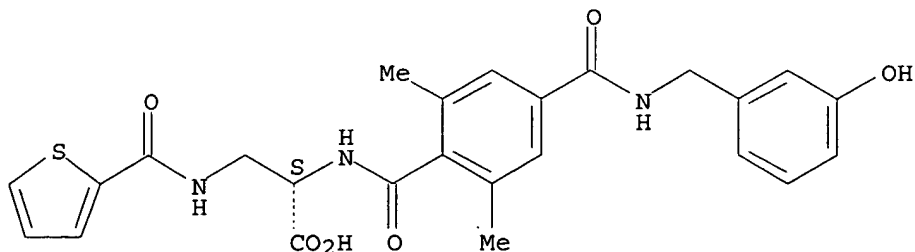
Absolute stereochemistry.



RN 264275-61-8 HCAPLUS

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-  
dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

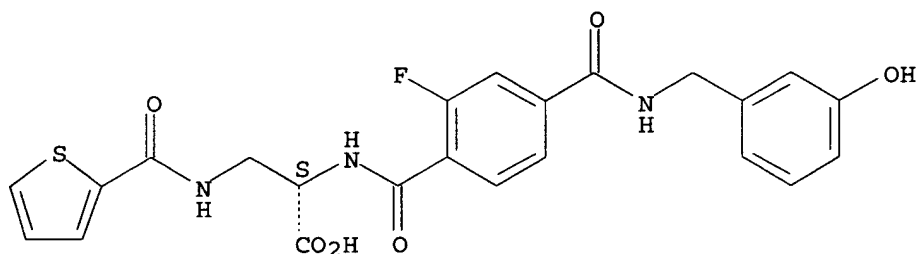
Absolute stereochemistry.



RN 264275-62-9 HCAPLUS

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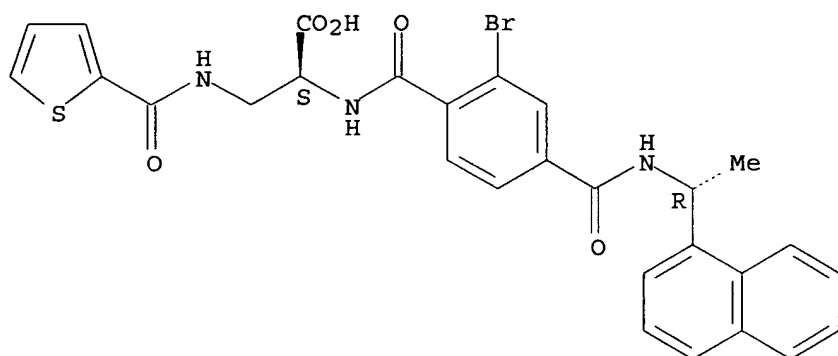
Absolute stereochemistry.



RN 264275-63-0 HCAPLUS

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

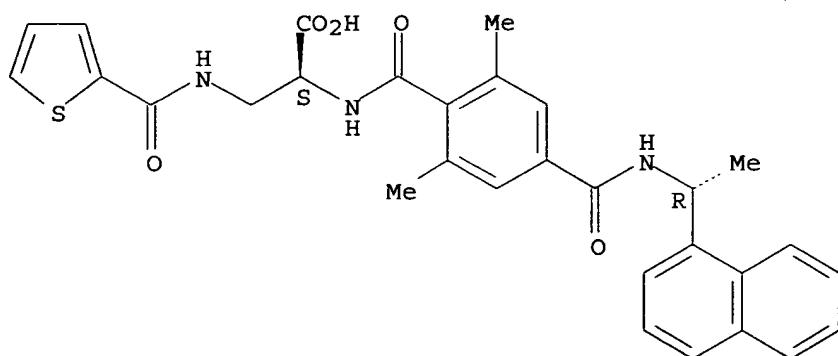
Absolute stereochemistry.



RN 264275-64-1 HCAPLUS

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-66-3 HCAPLUS

CN L-Alanine, N-[4-[[[(3-aminophenyl)methyl]amino]carbonyl]-2-bromobenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

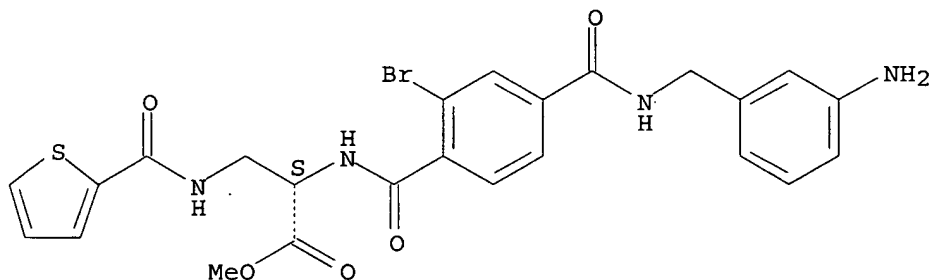


CM 1

CRN 264275-65-2

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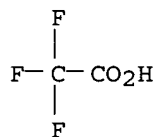
Absolute stereochemistry.



CM 2

CRN 76-05-1

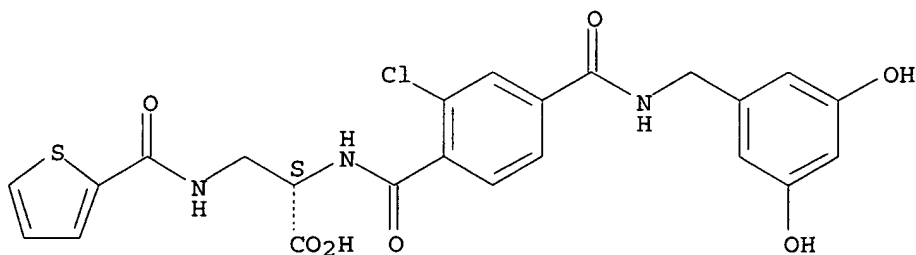
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RN 264275-67-4 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

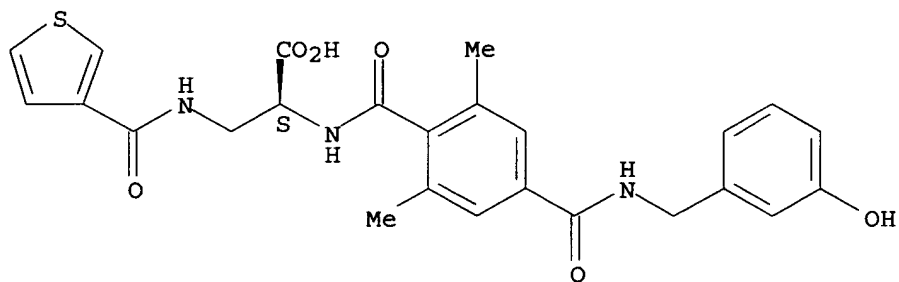
Absolute stereochemistry.



RN 264275-68-5 HCAPLUS

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

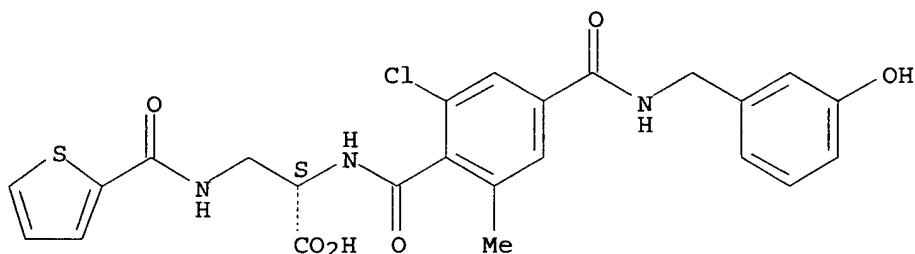
Absolute stereochemistry.



RN 264275-70-9 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

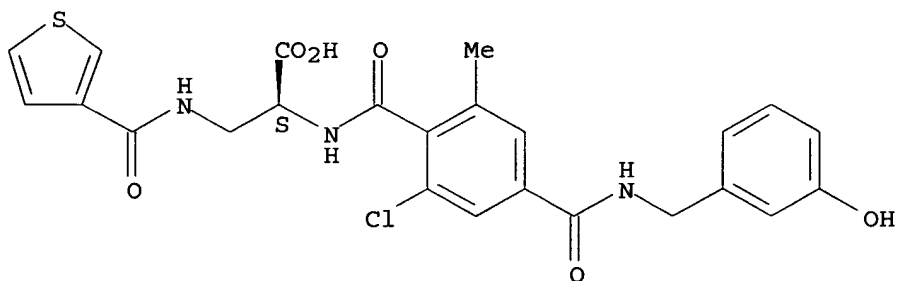
Absolute stereochemistry.



RN 264275-71-0 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

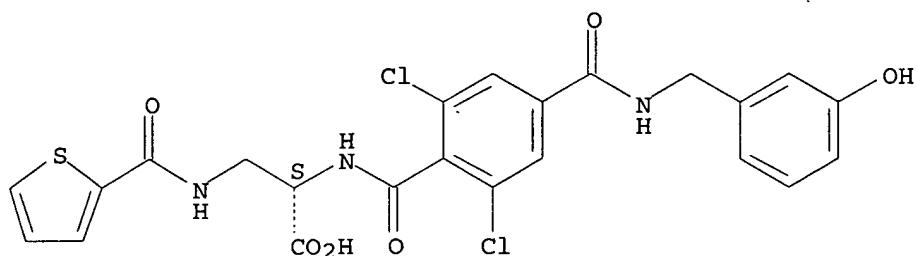
Absolute stereochemistry.



RN 264275-74-3 HCAPLUS

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

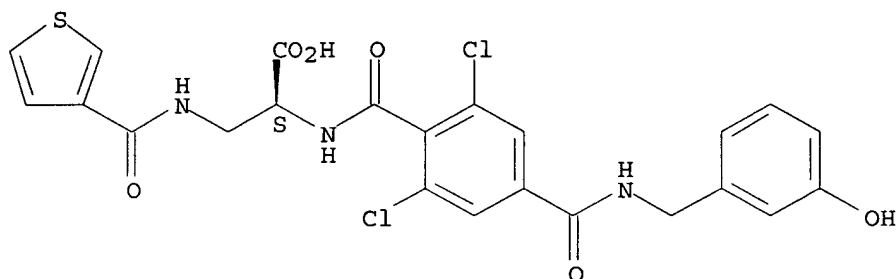
Absolute stereochemistry.



RN 264275-75-4 HCAPLUS

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

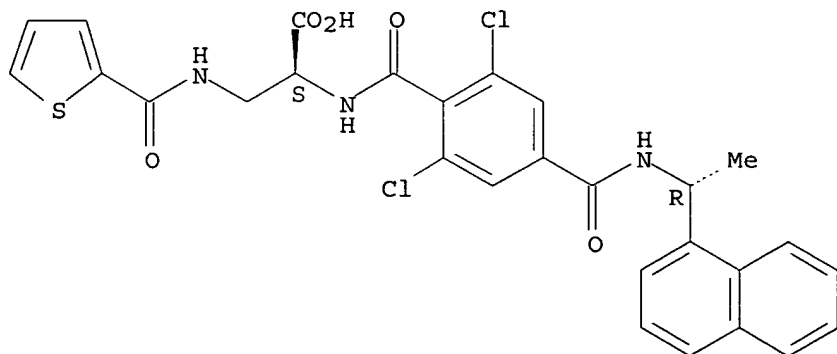
Absolute stereochemistry.



RN 264275-78-7 HCAPLUS

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

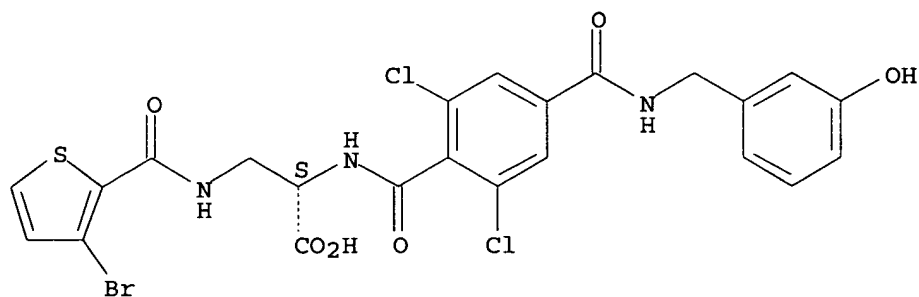
Absolute stereochemistry.



RN 264275-85-6 HCAPLUS

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]]- (9CI) (CA INDEX NAME)

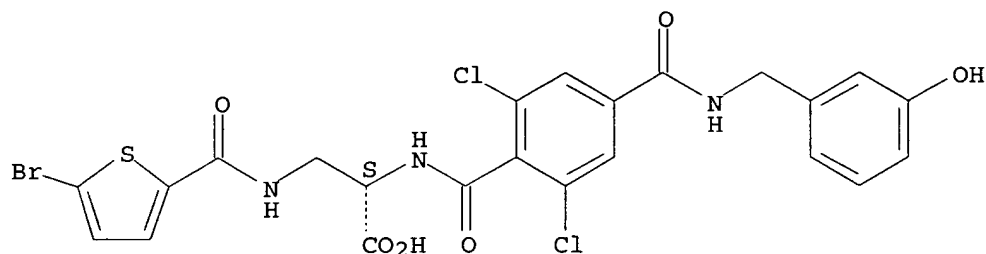
Absolute stereochemistry.



RN 264275-86-7 HCAPLUS

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

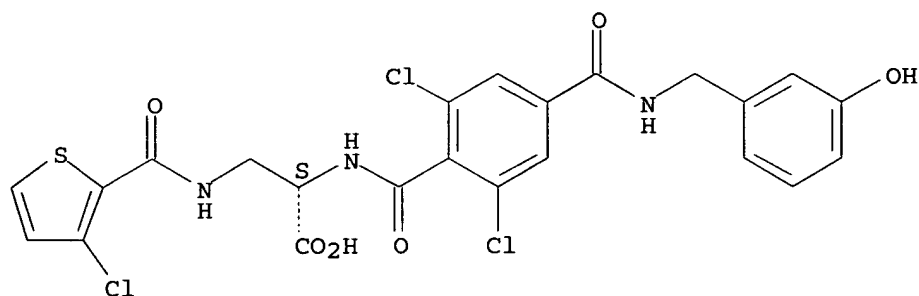
Absolute stereochemistry.



RN 264275-87-8 HCAPLUS

CN L-Alanine, 3-[[[(3-chloro-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-88-9 HCAPLUS

CN L-Alanine, 3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

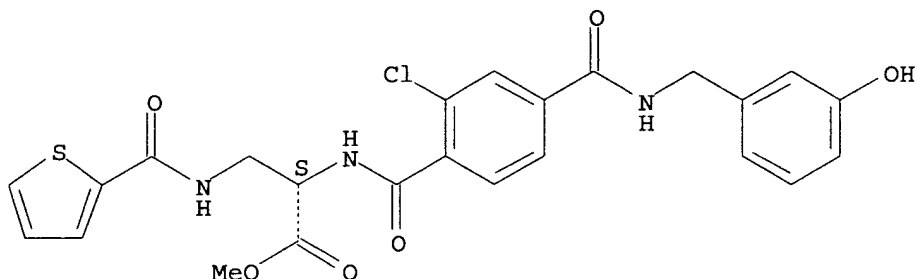
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Page 37

RN 264276-44-0 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

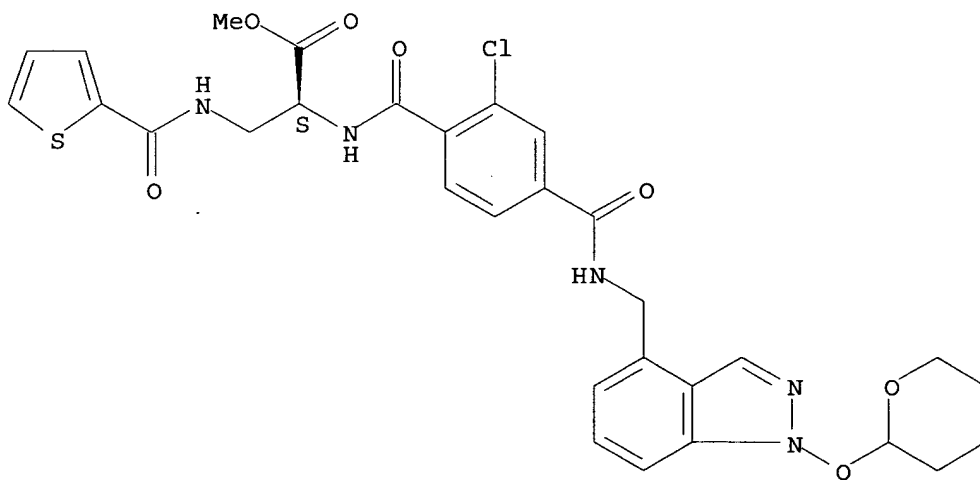
Absolute stereochemistry.



RN 264276-49-5 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

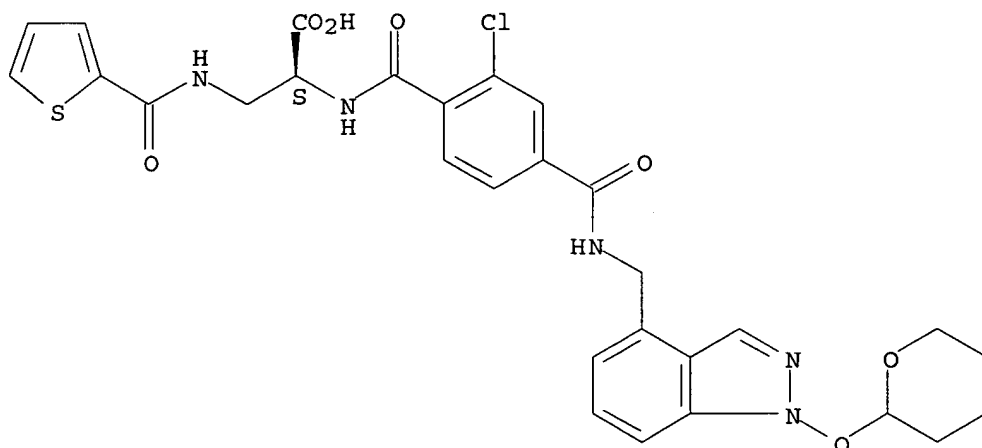
Absolute stereochemistry.



RN 264276-50-8 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

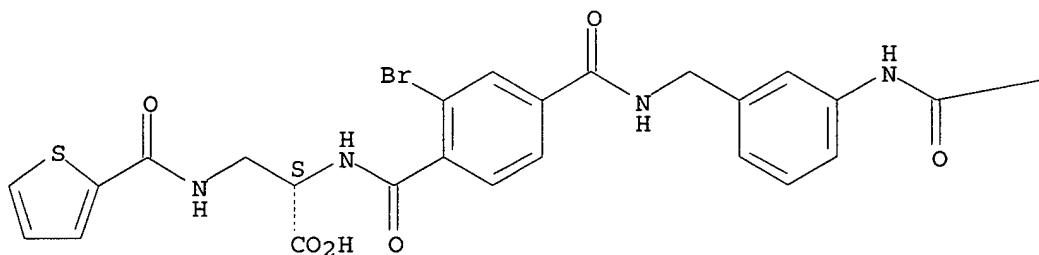
Absolute stereochemistry.



RN 264276-51-9 HCAPLUS  
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Absolute stereochemistry.

PAGE 1-A

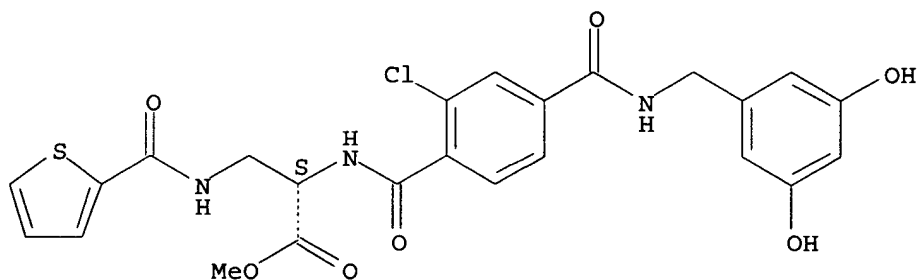


PAGE 1-B

—OBu-t

RN 264276-54-2 HCAPLUS  
 CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

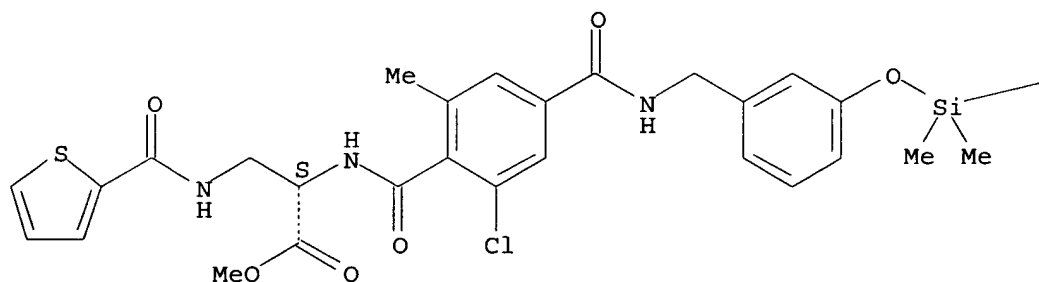


RN 264276-55-3 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

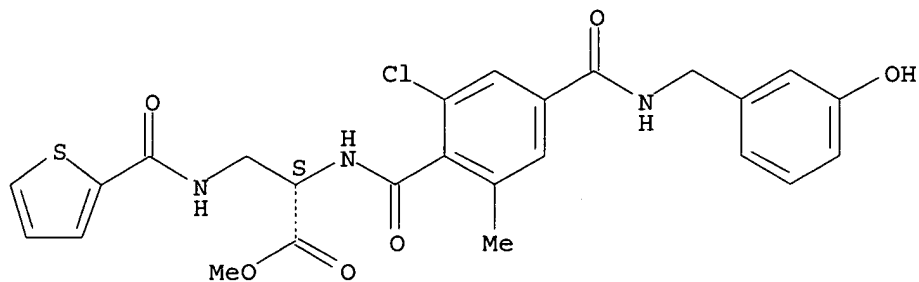
—Bu-t

RN 264276-56-4 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



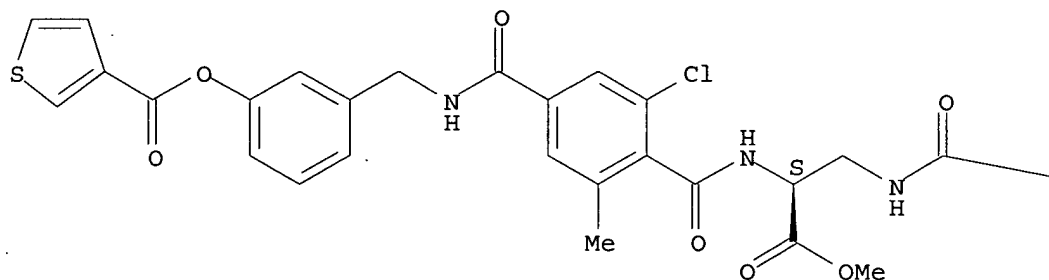


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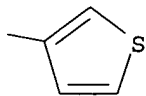
CN 3-Thiophenecarboxylic acid, 3-[[[3-chloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]-5-methylbenzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

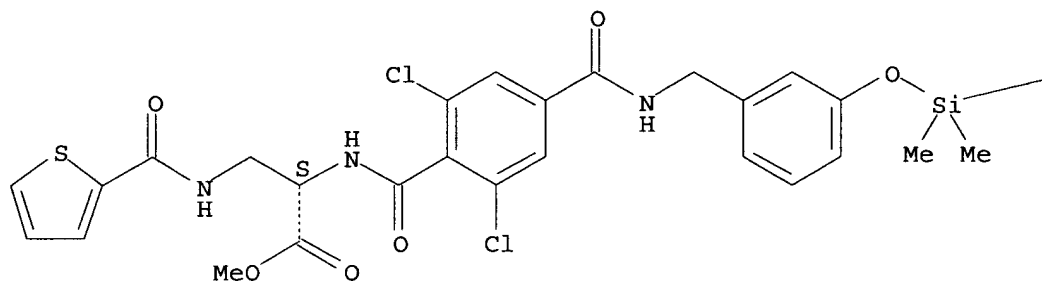


RN 264276-61-1 HCAPLUS

CN L-Alanine, N-[2,6-dichloro-4-[[[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

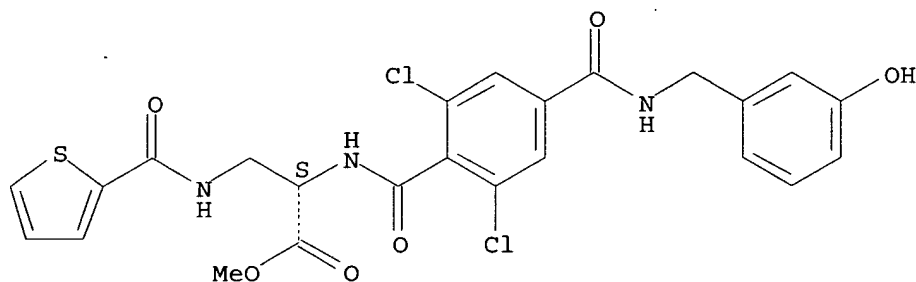


PAGE 1-B

—Bu-t

RN 264276-62-2 HCAPLUS  
 CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

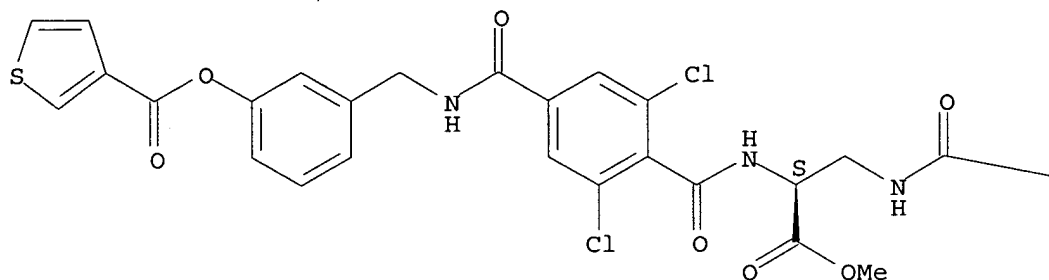
Absolute stereochemistry.



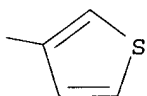
RN 264276-63-3 HCAPLUS  
 CN 3-Thiophenecarboxylic acid, 3-[[[3,5-dichloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[[(3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]benzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



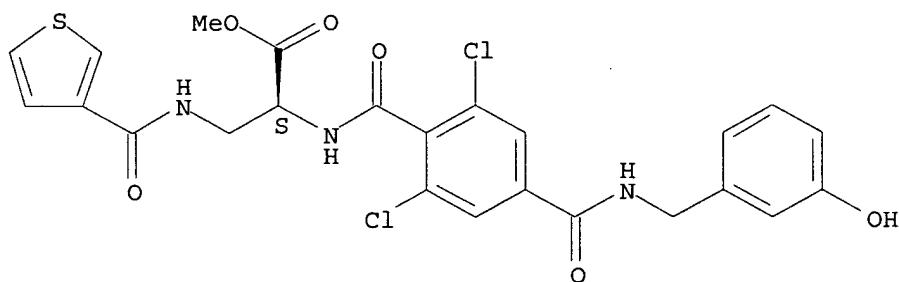
PAGE 1-B



RN 264276-64-4 HCAPLUS

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

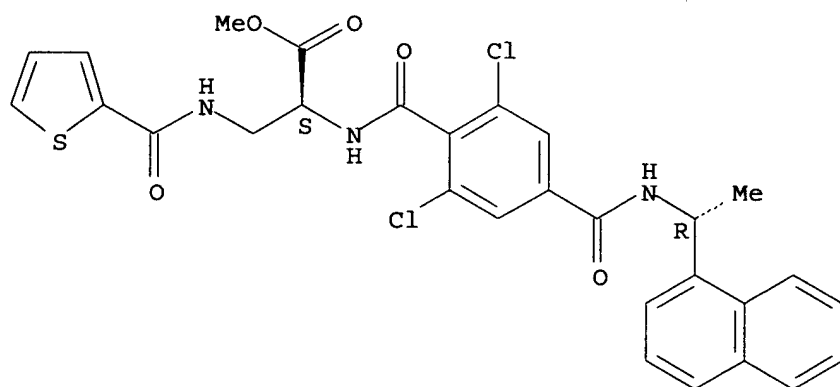
Absolute stereochemistry.



RN 264276-71-3 HCAPLUS

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 1999:640697 HCAPLUS

DOCUMENT NUMBER: 131:267045

TITLE: Peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders

INVENTOR(S): Burdick, Daniel J.

PATENT ASSIGNEE(S): Genentech, Inc., USA

SOURCE: PCT Int. Appl., 230 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9949856	A2	19991007	WO 1999-US6410	19990324 <--
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EP 1063982	A2	20010103	EP 1999-912869	19990324 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			

HU 200101587	A2	20010828	HU 2001-1587	19990324 <--
BR 9909418	A	20010925	BR 1999-9418	19990324 <--
NZ 506779	A	20030829	NZ 1999-506779	19990324 <--
ZA 2000004653	A	20011211	ZA 2000-4653	20000905 <--
NO 2000004800	A	20001124	NO 2000-4800	20000926 <--
US 2005203135	A1	20050915	US 2003-649762	20030826 <--
PRIORITY APPLN. INFO.:			US 1998-79732P	P 19980327 <--
			WO 1999-US6410	W 19990324
			US 2000-646330	B1 20000914

OTHER SOURCE(S): MARPAT 131:267045

ED Entered STN: 08 Oct 1999

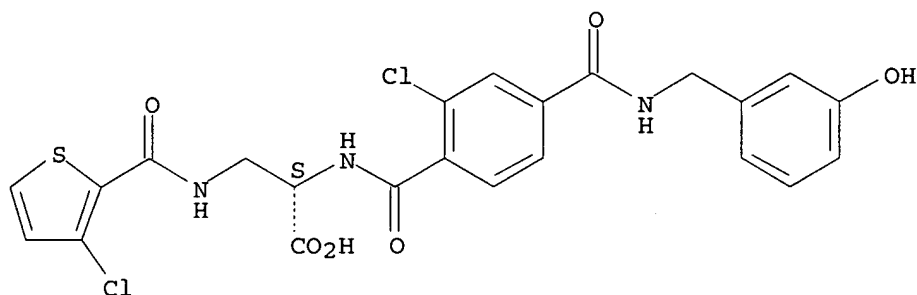
AB Peptidomimetic compds. (Markush included) that are useful for treating Mac-1- or LFA-1-mediated disorders, e.g. inflammatory disorders, allergies, and autoimmune diseases, are provided.

IT 245463-46-1P 245463-49-4P 245463-52-9P  
245463-53-0P 245463-54-1P 245463-55-2PRL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

RN 245463-46-1 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

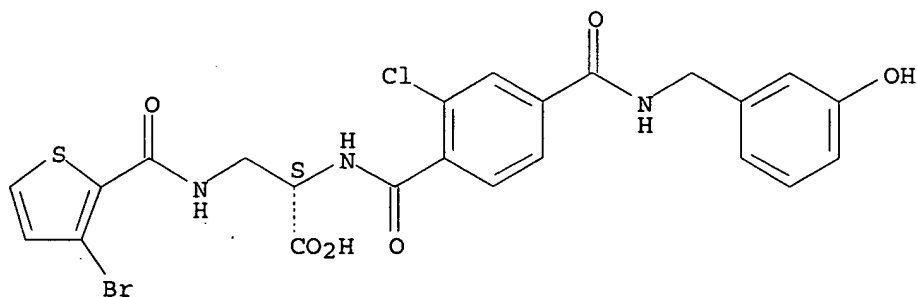
Absolute stereochemistry.



RN 245463-49-4 HCAPLUS

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

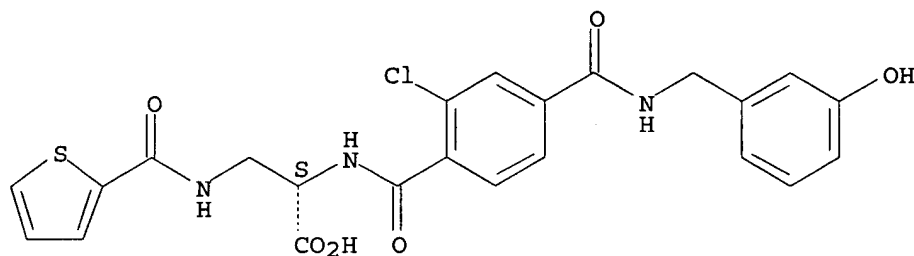
Absolute stereochemistry.



RN 245463-52-9 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]  
]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

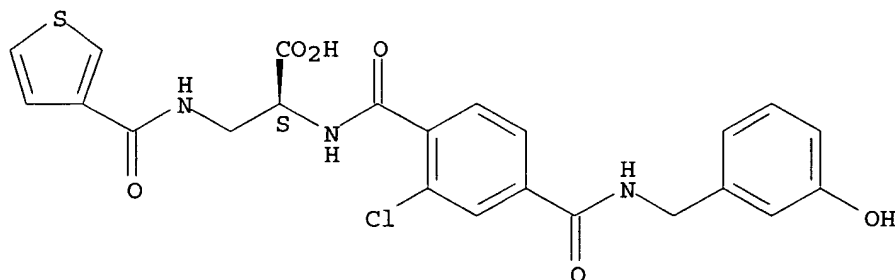
Absolute stereochemistry.



RN 245463-53-0 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]  
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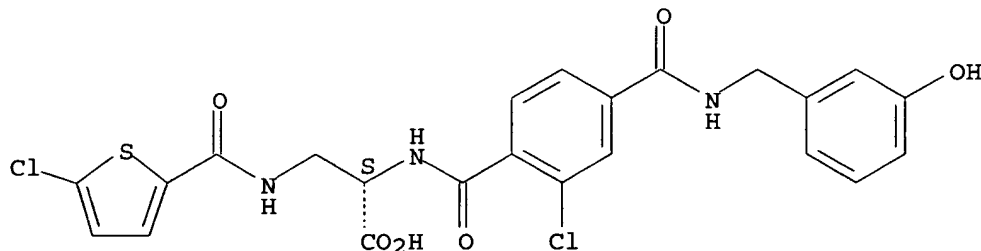
Absolute stereochemistry.



RN 245463-54-1 HCAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]  
]-3-[[[(5-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

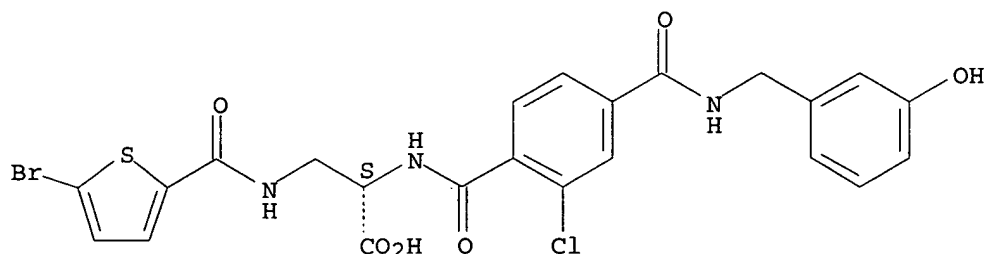
Absolute stereochemistry.



RN 245463-55-2 HCAPLUS

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib ab hitstr 3-8

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, USPAT2' - CONTINUE? (Y)/N:y

L76 ANSWER 3 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2005:234195 USPATFULL

TITLE: Antagonists for treatment of CD/11CD18 adhesion receptor mediated disorders

INVENTOR(S): Burdick, Daniel J., Burlingame, CA, UNITED STATES  
Gadek, Thomas R., Oakland, CA, UNITED STATES  
McDowell, Robert S., San Francisco, CA, UNITED STATES  
Marsters, James C., Oakland, CA, UNITED STATES  
Oare, David, Belmont, CA, UNITED STATES  
Reynolds, Mark, Millbrae, CA, UNITED STATES  
Stanley, Mark S., Pacifica, CA, UNITED STATES  
Weese, Kenneth J., South San Francisco, CA, UNITED STATES

PATENT ASSIGNEE(S): Genentech, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005203135	A1	20050915
APPLICATION INFO.:	US 2003-649762	A1	20030826 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-646330, filed on 14 Sep 2000, ABANDONED A 371 of International Ser. No. WO 1999-US6410, filed on 24 Mar 1999		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-79732P	19980327 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	GENENTECH, INC., 1 DNA WAY, SOUTH SAN FRANCISCO, CA, 94080, US	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6365	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the general structure D-L-B-(AA), for example (A), that are useful for treating Mac-1 or LFA-1-mediated disorders such as inflammatory disorders, allergies, and autoimmune diseases are provided.  
##STR1##

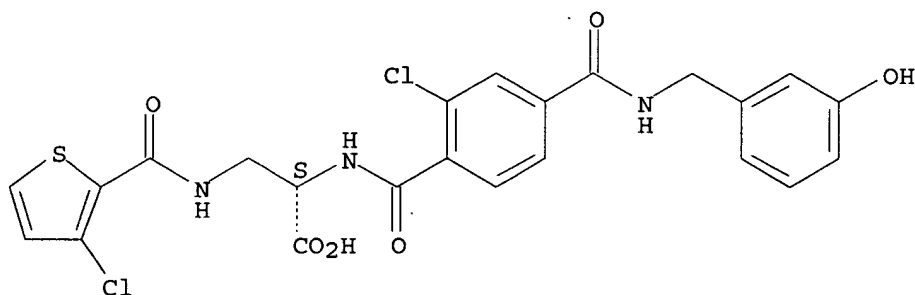
IT 245463-46-1P 245463-49-4P 245463-52-9P  
245463-53-0P 245463-54-1P 245463-55-2P

(peptidomimetic antagonists for treatment of CD11/CD18 adhesion  
receptor-mediated disorders)

RN 245463-46-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

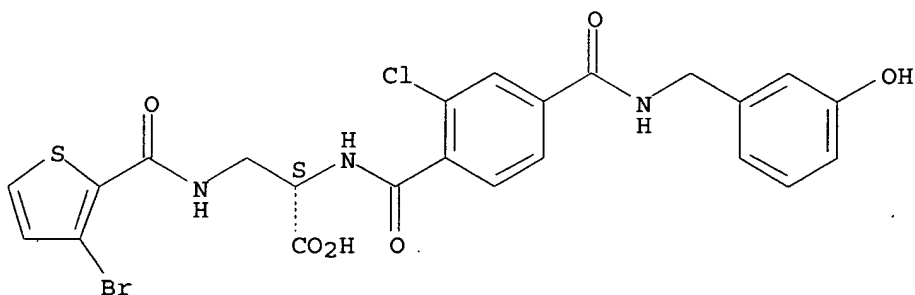
Absolute stereochemistry.



RN 245463-49-4 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

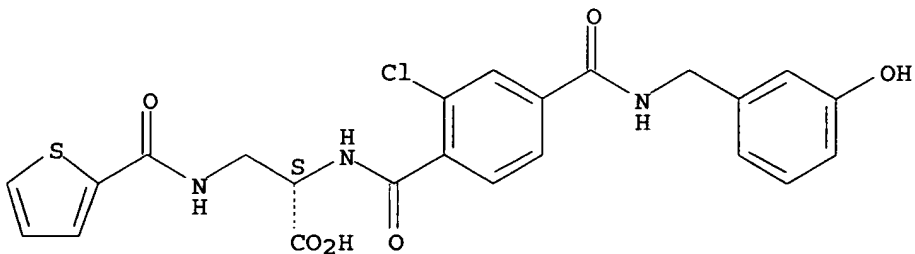
Absolute stereochemistry.



RN 245463-52-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

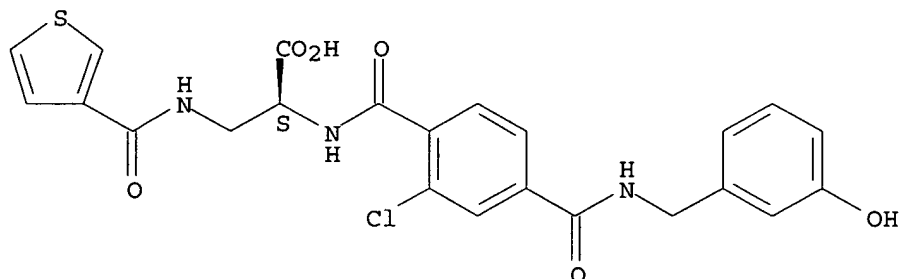




RN 245463-53-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

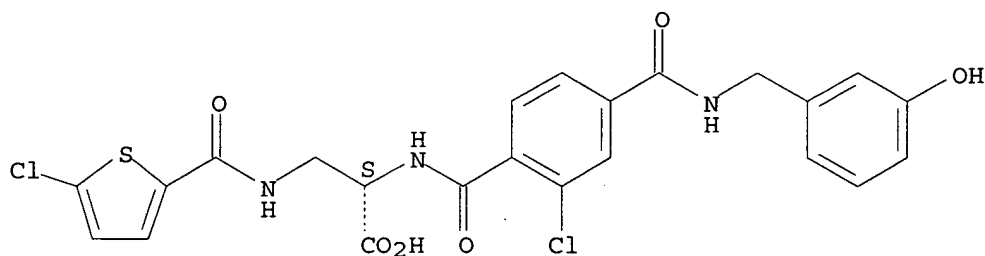
Absolute stereochemistry.



RN 245463-54-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

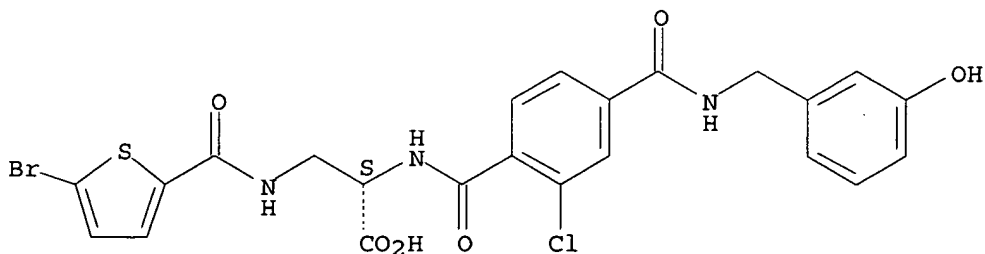
Absolute stereochemistry.



RN 245463-55-2 USPATFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 4 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2005:93440 USPATFULL

TITLE: Diaminopropionic acid derivatives

INVENTOR(S): Fotouhi, Nader, Basking Ridge, NJ, UNITED STATES

Gillespie, Paul, Westfield, NJ, UNITED STATES  
 Guthrie, Robert William, Saddle Brook, NJ, UNITED STATES  
 Pietranico-Cole, Sherrie Lynn, Montclair, NJ, UNITED STATES  
 Yun, Weiya, Warren, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005080119	A1	20050414
APPLICATION INFO.:	US 2004-945650	A1	20040921 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2003-349289, filed on 22 Jan 2003, GRANTED, Pat. No. US 6803384 Division of Ser. No. US 2001-879700, filed on 12 Jun 2001, ABANDONED Division of Ser. No. US 1999-407534, filed on 29 Sep 1999, GRANTED, Pat. No. US 6331640		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-104120P	19981013 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110, US	
NUMBER OF CLAIMS:	42	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7423	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of formula 1a ##STR1## which is useful for treating reperfusion injury, and salts, prodrugs, and related compounds.

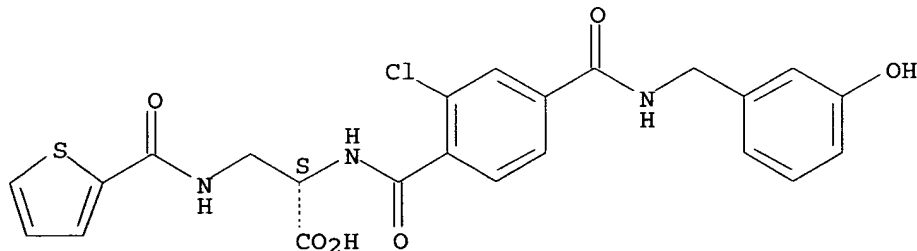
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264275-39-0P 264275-40-3P 264275-41-4P  
264275-42-5P 264275-43-6P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-52-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

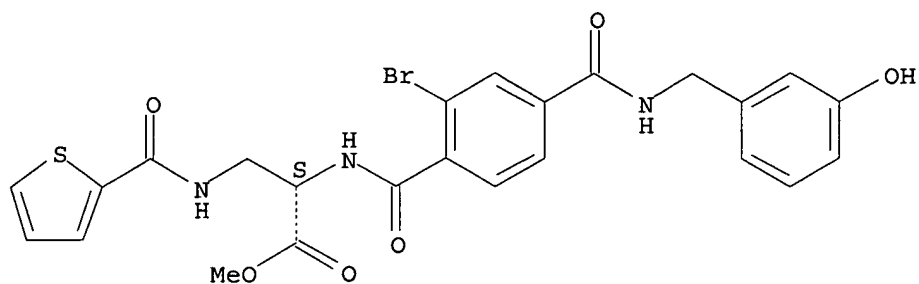
Absolute stereochemistry.



RN 264275-37-8 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

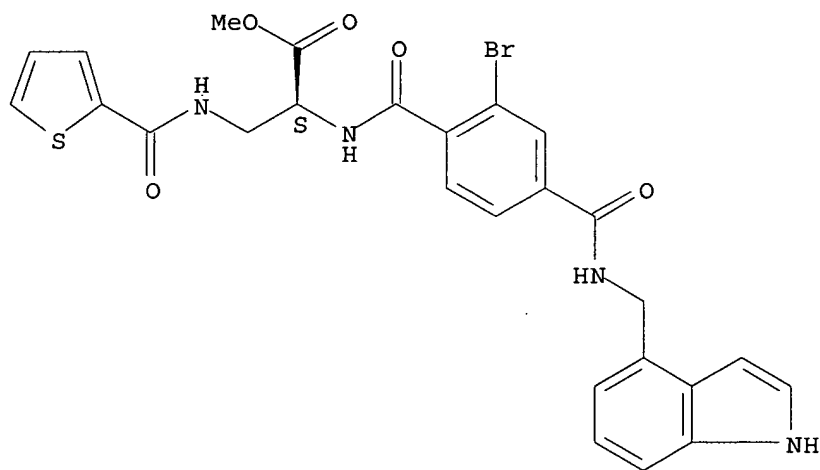
Absolute stereochemistry.



RN 264275-38-9 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

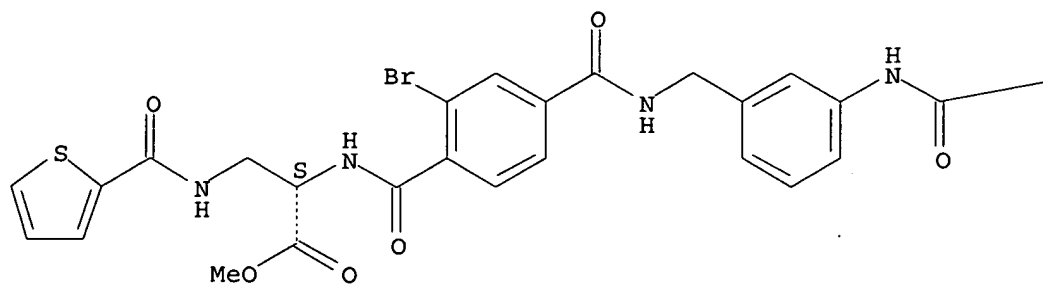


RN 264275-39-0 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



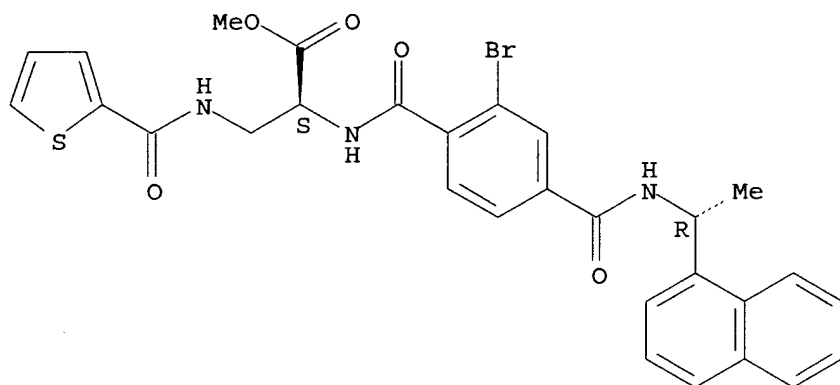
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—OBU-t

RN 264275-40-3 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

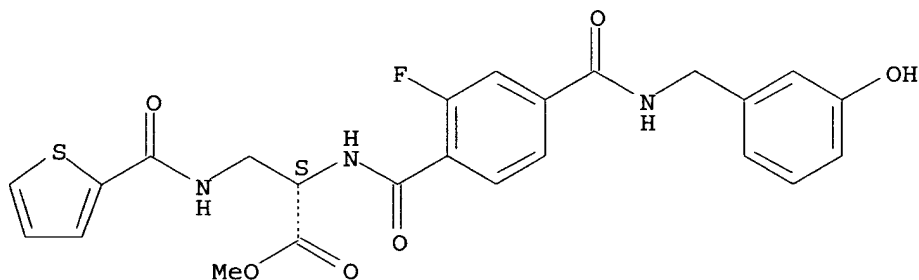
Absolute stereochemistry.



RN 264275-41-4 USPATFULL

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

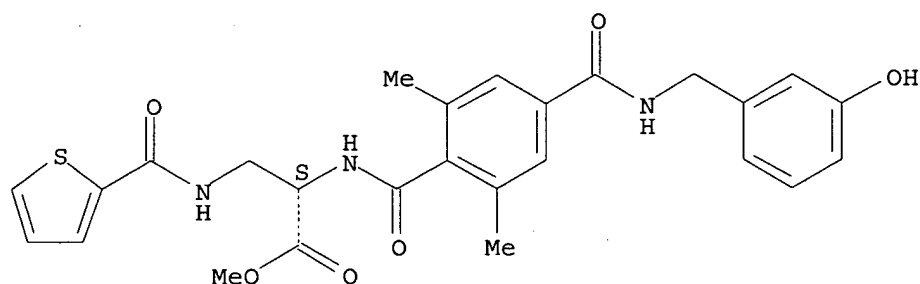
Absolute stereochemistry.



RN 264275-42-5 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

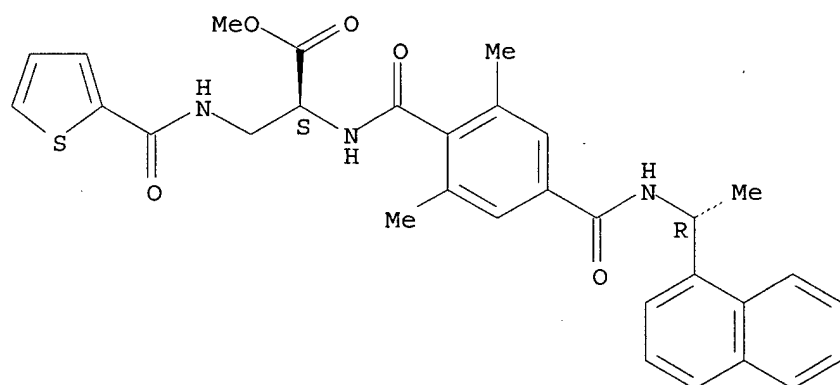
Absolute stereochemistry.



RN 264275-43-6 USPATFULL

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



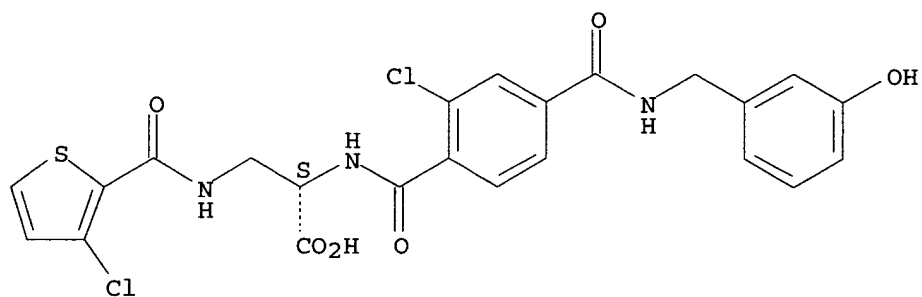
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264275-85-6P 264275-86-7P 264275-87-8P  
264275-88-9P 264275-89-0P 264275-90-3P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-46-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

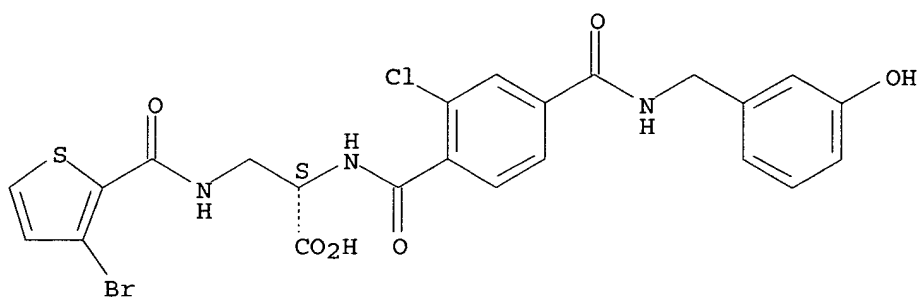
Absolute stereochemistry.



RN 245463-49-4 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

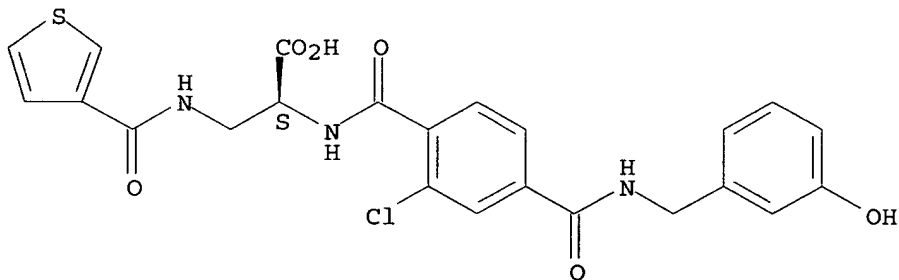
Absolute stereochemistry.



RN 245463-53-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

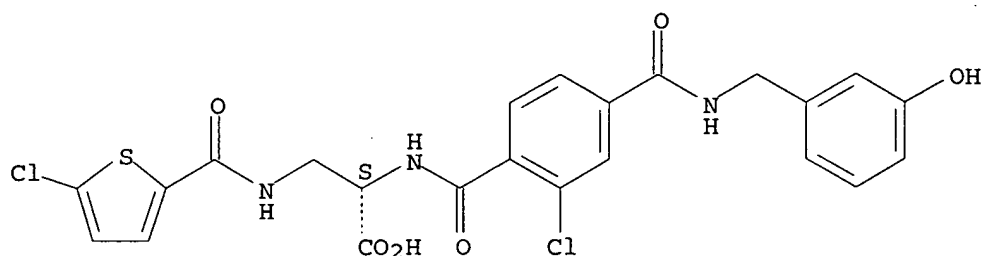
Absolute stereochemistry.



RN 245463-54-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

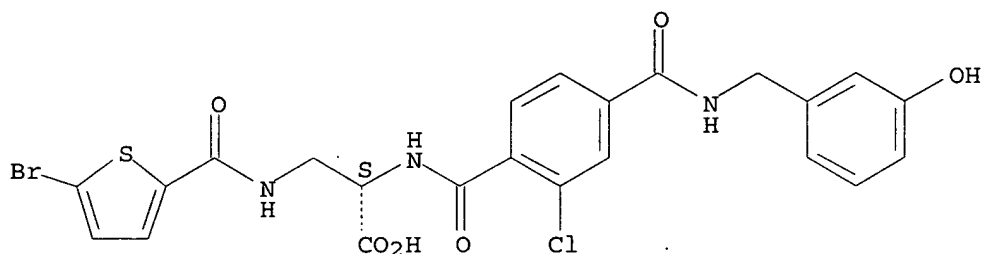
Absolute stereochemistry.



RN 245463-55-2 USPATFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

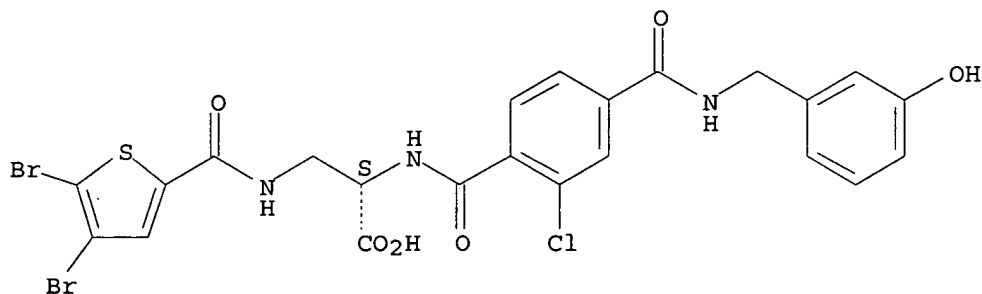
Absolute stereochemistry.



RN 264273-97-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

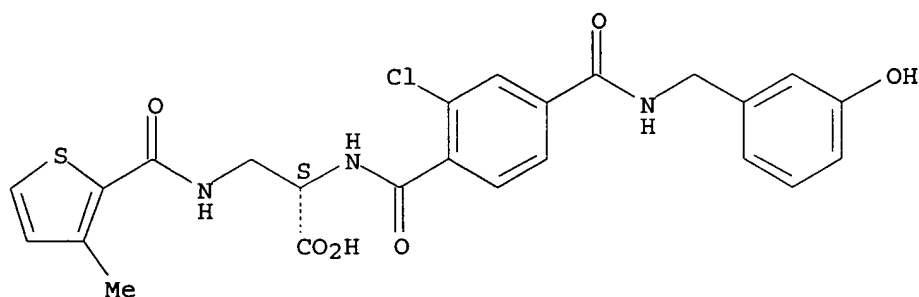
Absolute stereochemistry.



RN 264273-98-5 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

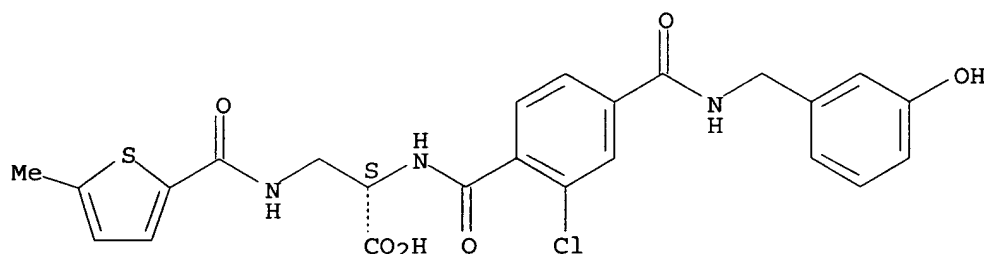
Absolute stereochemistry.



RN 264273-99-6 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

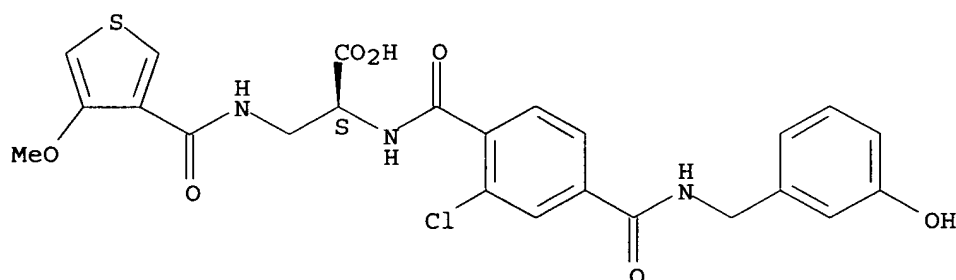
Absolute stereochemistry.



RN 264274-93-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

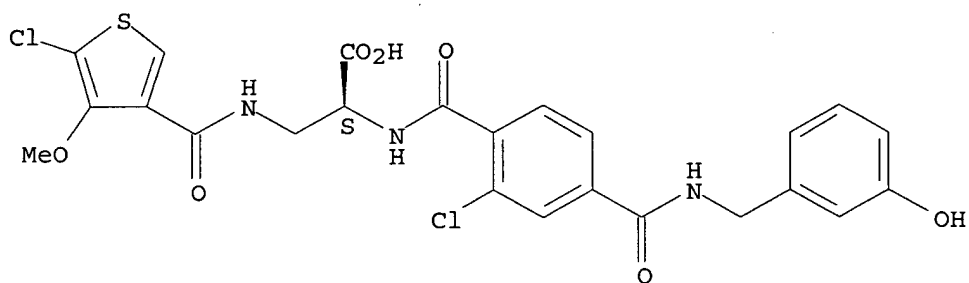


RN 264274-94-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

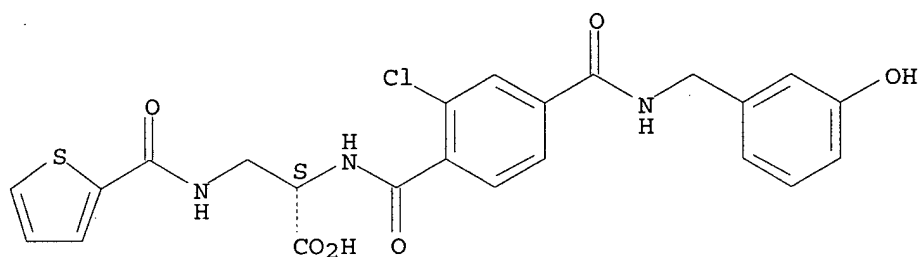




RN 264275-36-7 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

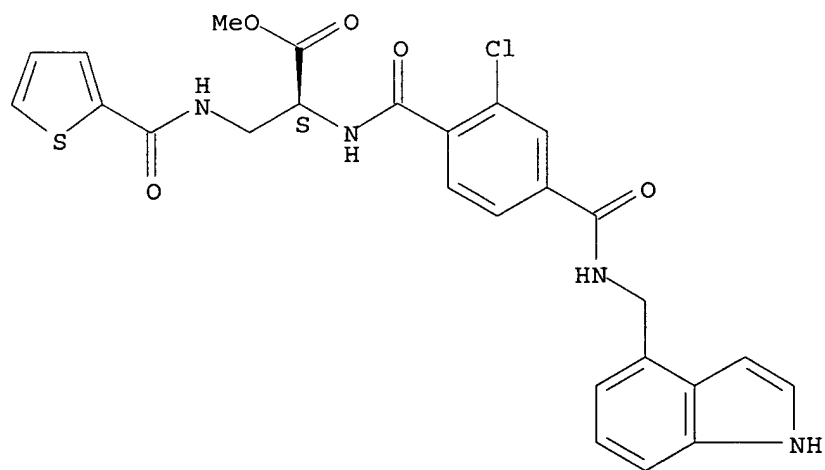


● Na

RN 264275-46-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

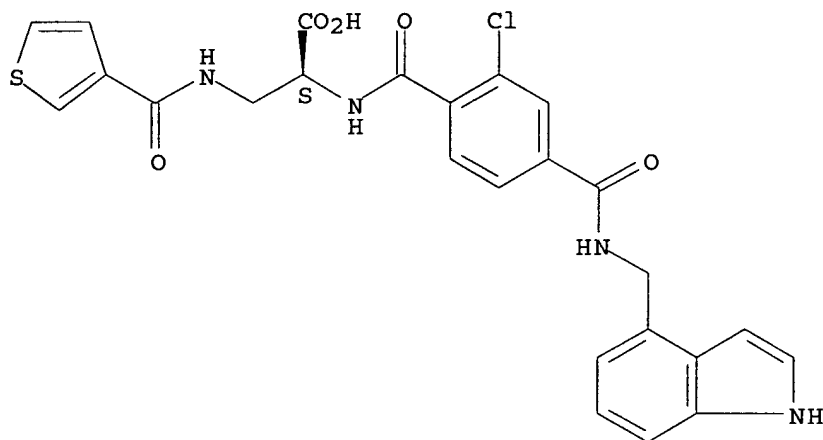
Absolute stereochemistry.



RN 264275-47-0 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

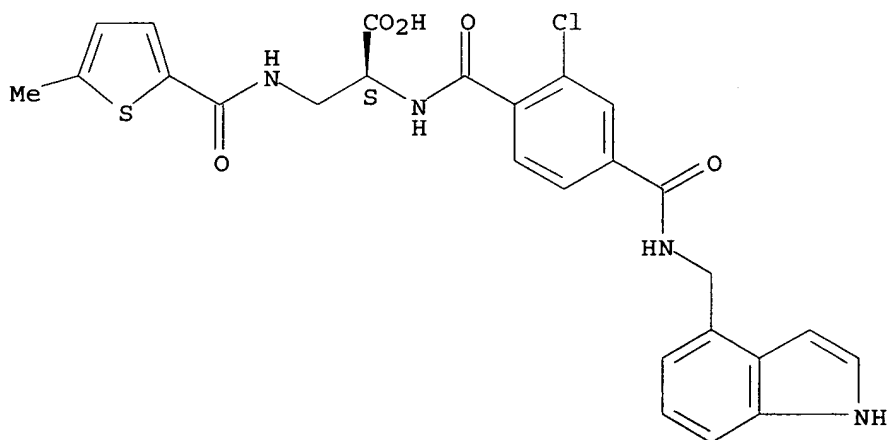
Absolute stereochemistry.



RN 264275-48-1 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[[[5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

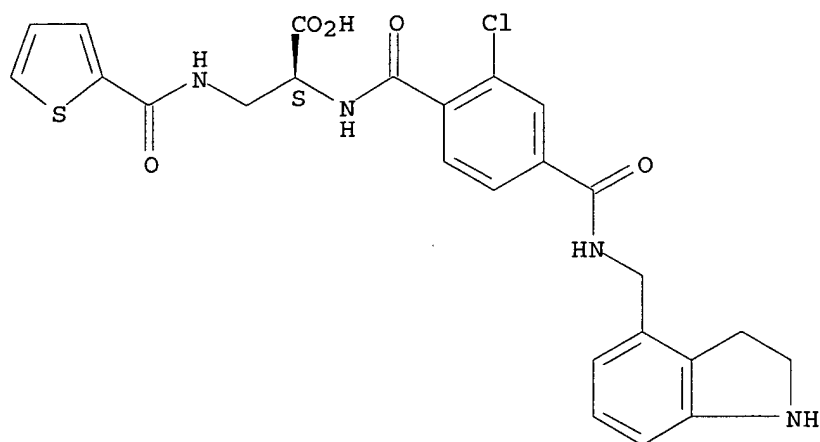
Absolute stereochemistry.



RN 264275-49-2 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(2,3-dihydro-1H-indol-4-yl)methyl]amino]carbonyl]benzoyl]-3-[[[2-thienylcarbonyl)amino]- (9CI)  
(CA INDEX NAME)

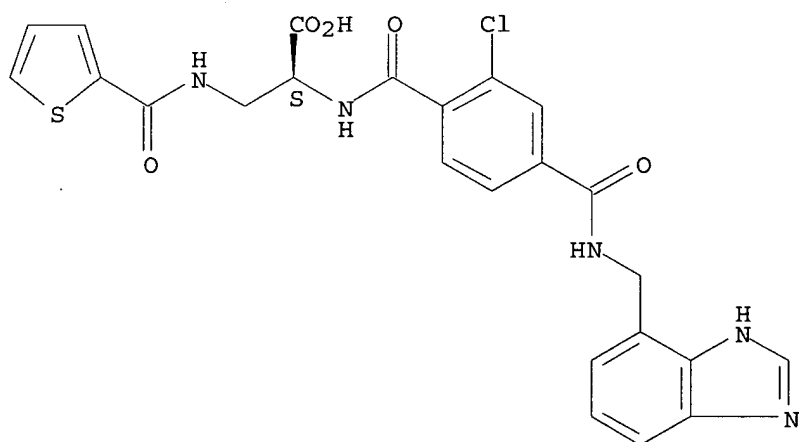
Absolute stereochemistry.



RN 264275-53-8 USPATFULL

CN L-Alanine, N-[4-[[[(1H-benzimidazol-4-ylmethyl)amino]carbonyl]-2-chlorobenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

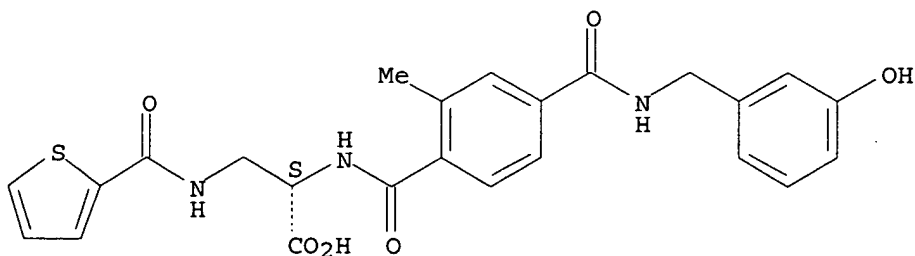
Absolute stereochemistry.



RN 264275-54-9 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

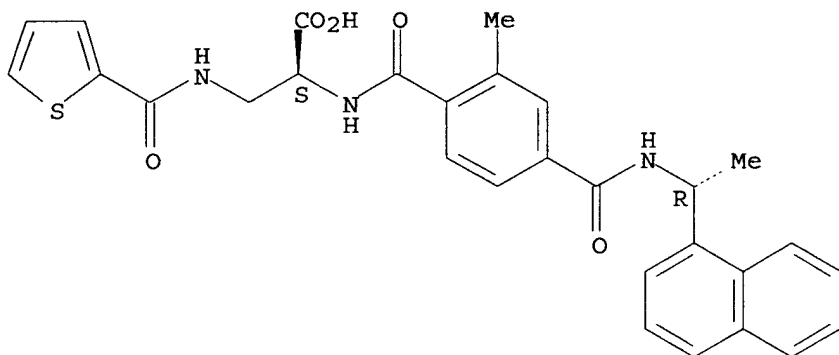
Absolute stereochemistry.



RN 264275-56-1 USPATFULL

CN L-Alanine, N-[2-methyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

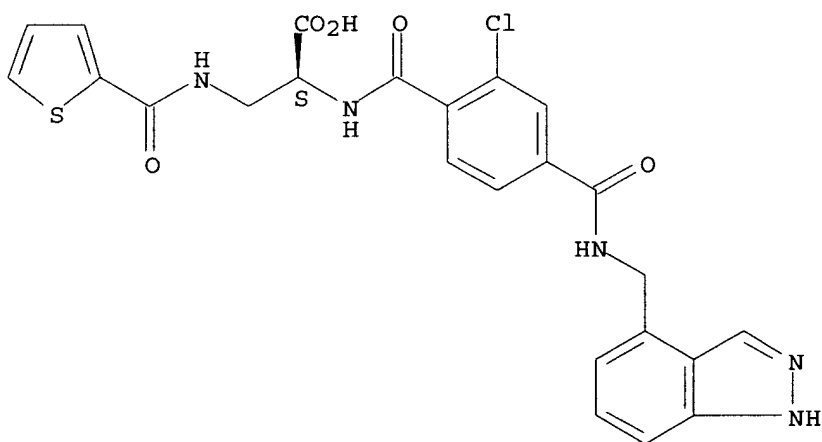
Absolute stereochemistry.



RN 264275-58-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indazol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

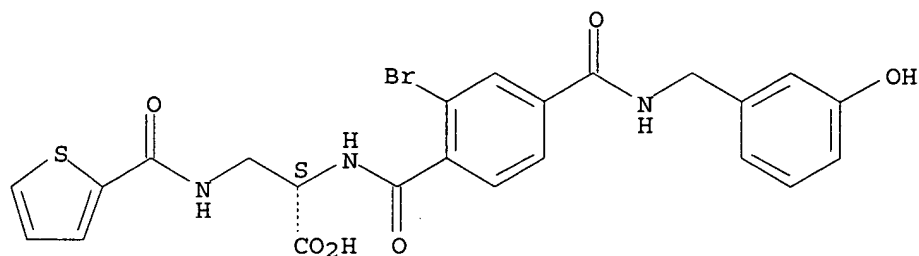
Absolute stereochemistry.



RN 264275-59-4 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

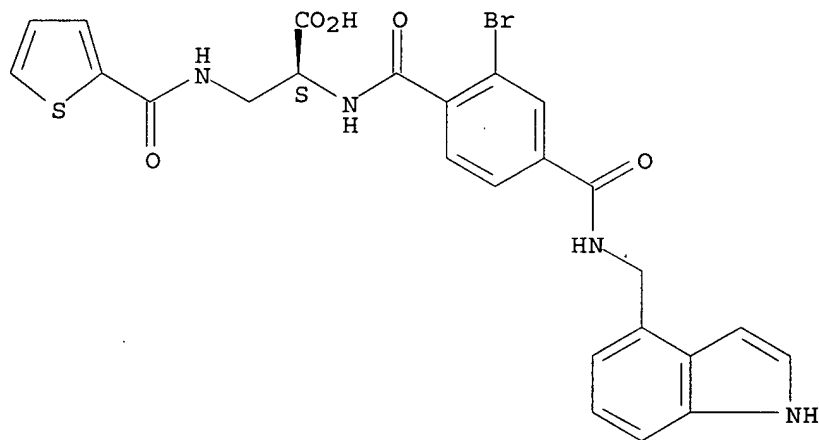
Absolute stereochemistry.



RN 264275-60-7 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

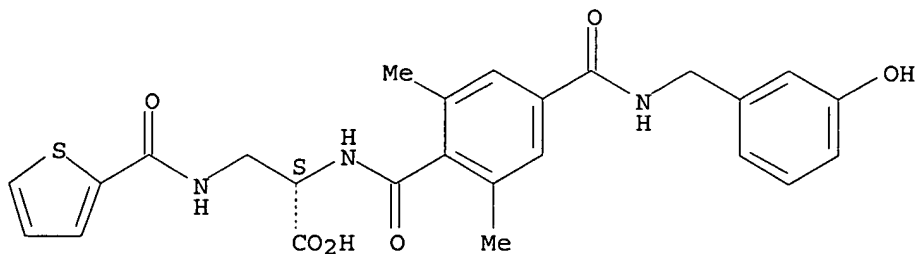
Absolute stereochemistry.



RN 264275-61-8 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

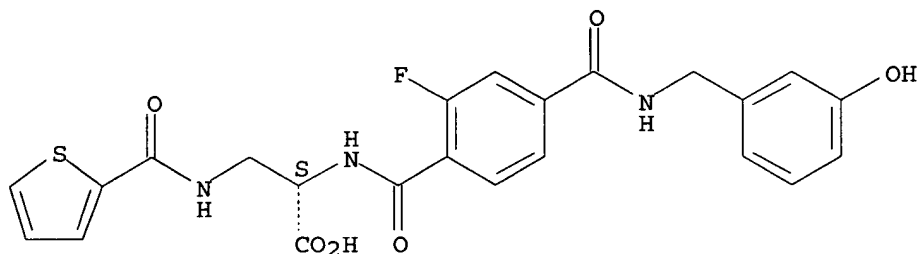
Absolute stereochemistry.



RN 264275-62-9 USPATFULL

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

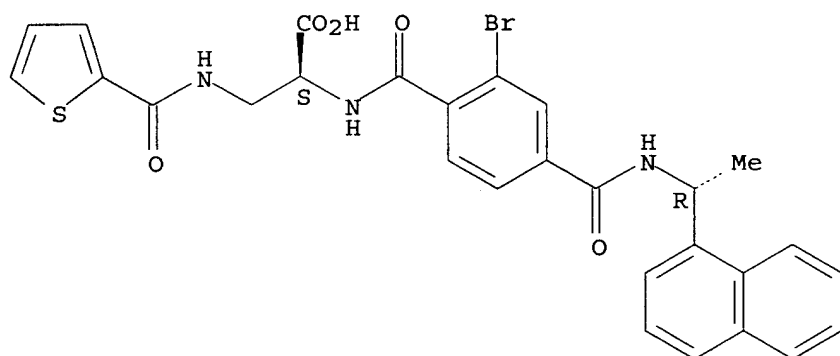
Absolute stereochemistry.



RN 264275-63-0 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

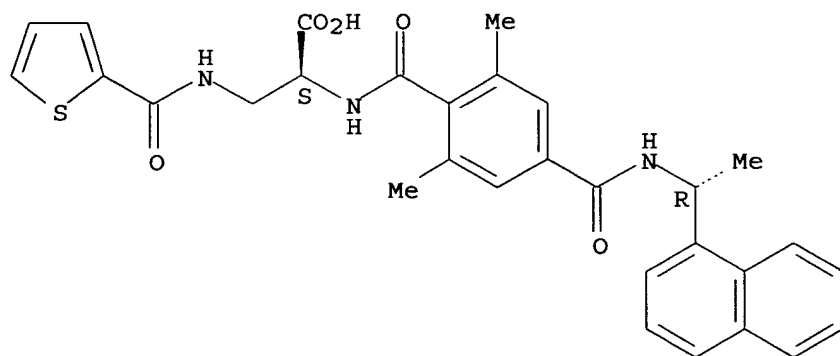
Absolute stereochemistry.



RN 264275-64-1 USPATFULL

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-66-3 USPATFULL

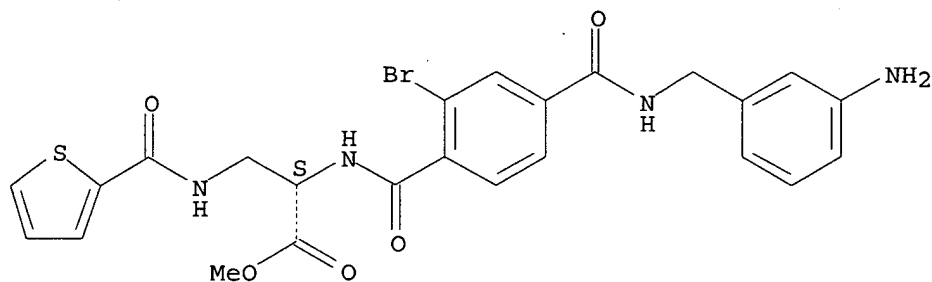
CN L-Alanine, N-[4-[[[(3-aminophenyl)methyl]amino]carbonyl]-2-bromobenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 264275-65-2

CMF C24 H23 Br N4 O5 S

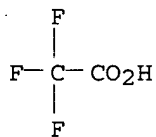
Absolute stereochemistry.



CM 2

CRN 76-05-1

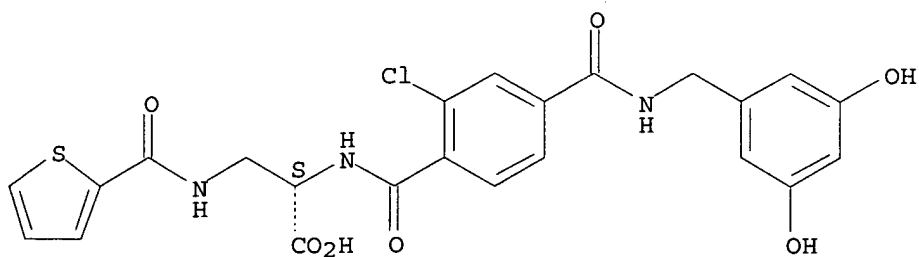
CMF C2 H F3 O2



RN 264275-67-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

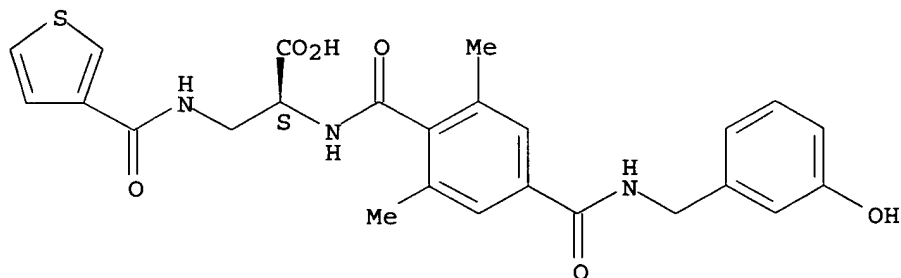
Absolute stereochemistry.



RN 264275-68-5 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

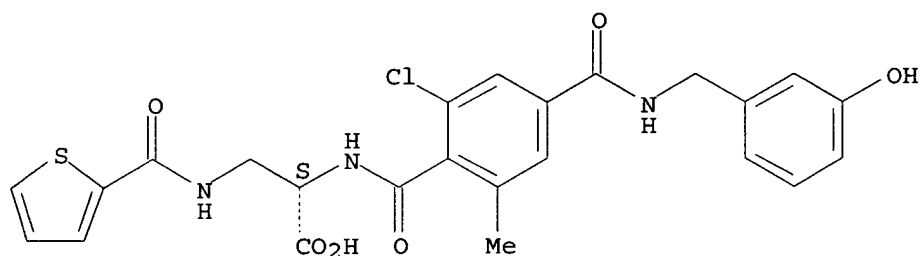
Absolute stereochemistry.



RN 264275-70-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

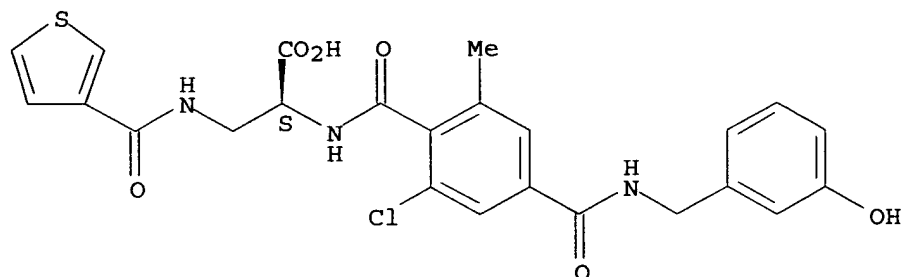
Absolute stereochemistry.



RN 264275-71-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

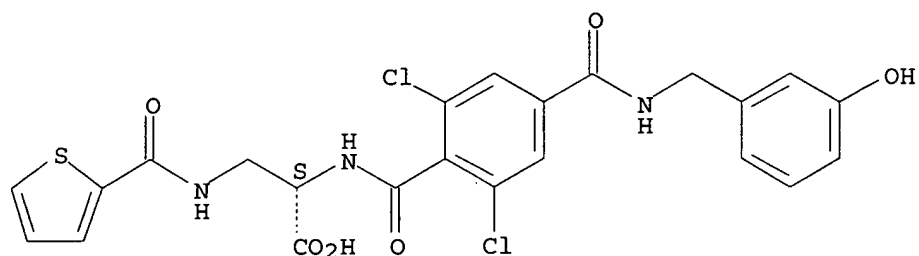


RN 264275-74-3 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

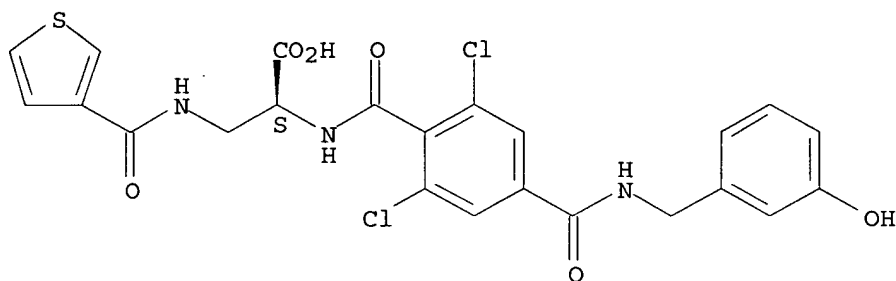




RN 264275-75-4 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

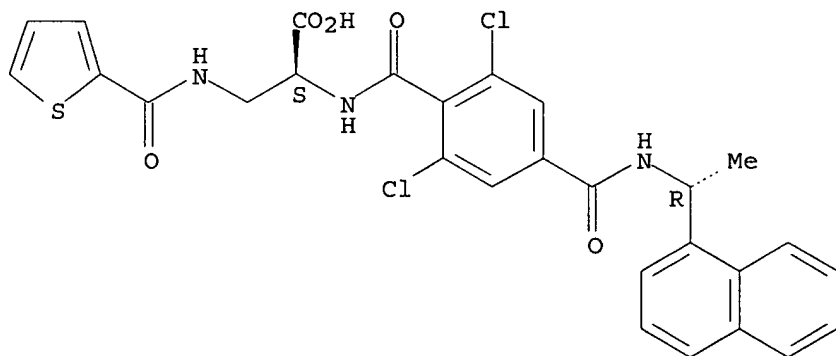
Absolute stereochemistry.



RN 264275-78-7 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

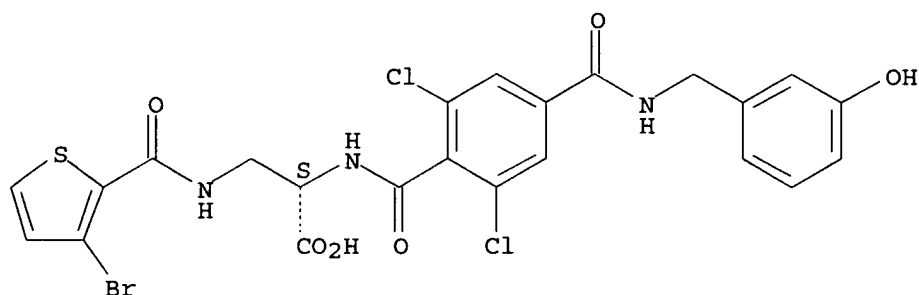
Absolute stereochemistry..



RN 264275-85-6 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

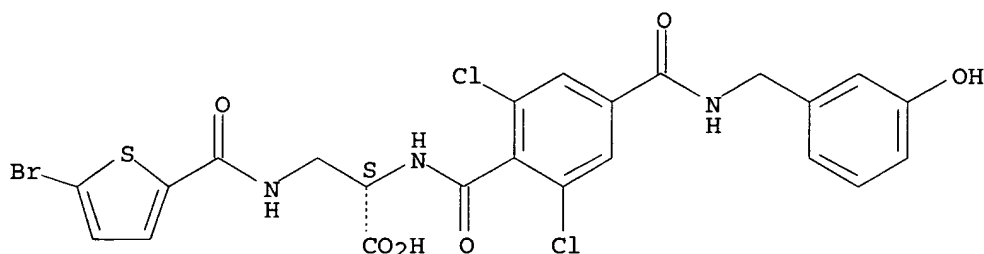
Absolute stereochemistry.



RN 264275-86-7 USPATFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

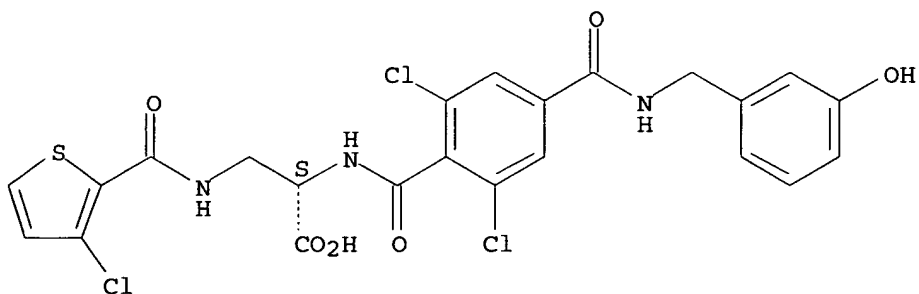
Absolute stereochemistry.



RN 264275-87-8 USPATFULL

CN L-Alanine, 3-[[[(3-chloro-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

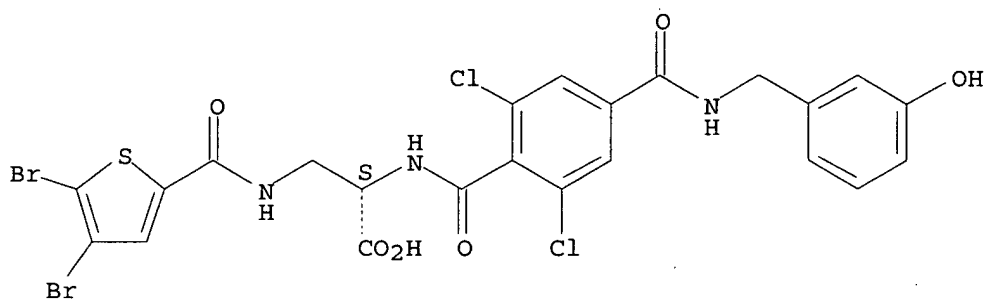
Absolute stereochemistry.



RN 264275-88-9 USPATFULL

CN L-Alanine, 3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

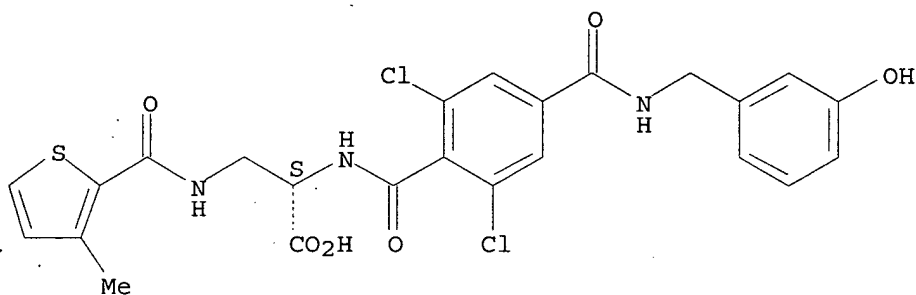
Absolute stereochemistry.



RN 264275-89-0 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

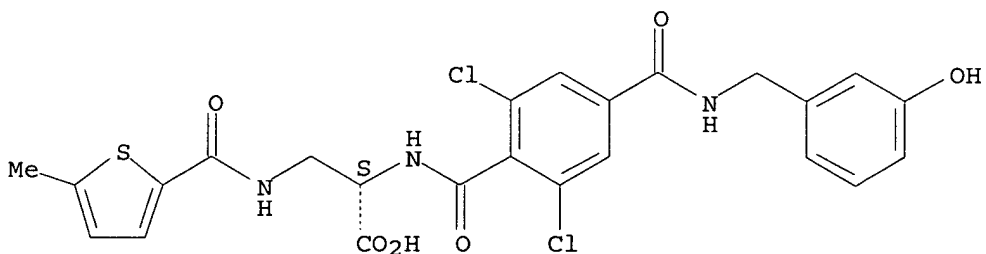
Absolute stereochemistry.



RN 264275-90-3 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 264276-44-0P 264276-49-5P 264276-50-8P  
264276-51-9P 264276-54-2P 264276-55-3P  
264276-56-4P 264276-57-5P 264276-61-1P  
264276-62-2P 264276-63-3P 264276-64-4P  
264276-71-3P

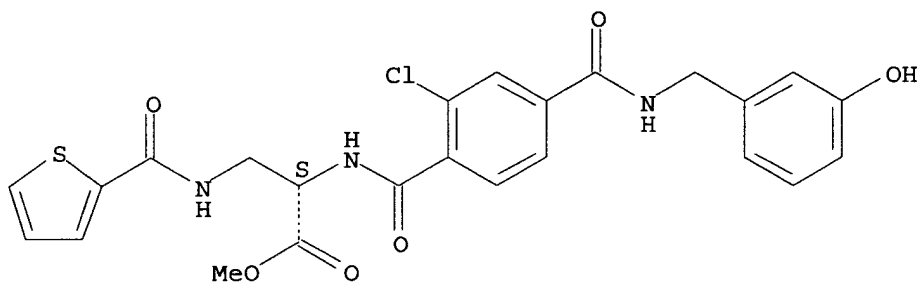
(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264276-44-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl

] -3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

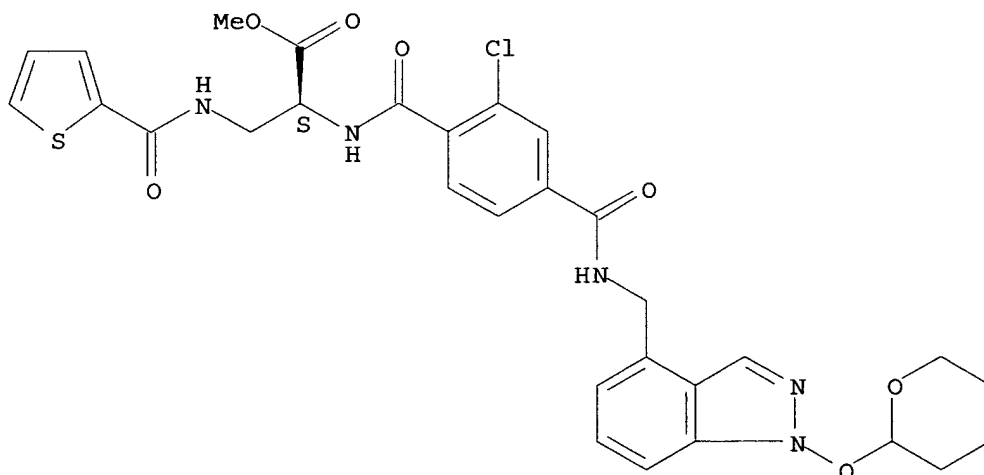
Absolute stereochemistry.



RN 264276-49-5 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

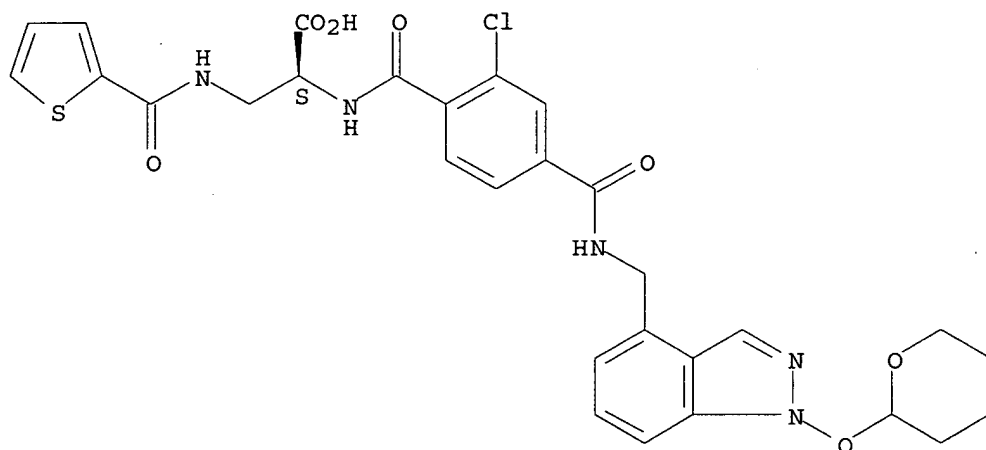
Absolute stereochemistry.



RN 264276-50-8 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

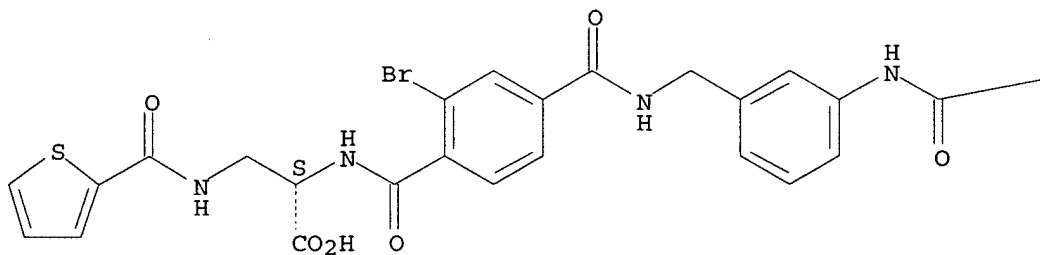
Absolute stereochemistry.



RN 264276-51-9 USPATFULL  
 CN L-Alanine, N-[2-bromo-4-[[[3-[[[(1,1-dimethylethoxy) carbonyl] amino] phenyl] methyl] amino] carbonyl] benzoyl]-3-[(2-thienylcarbonyl) amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

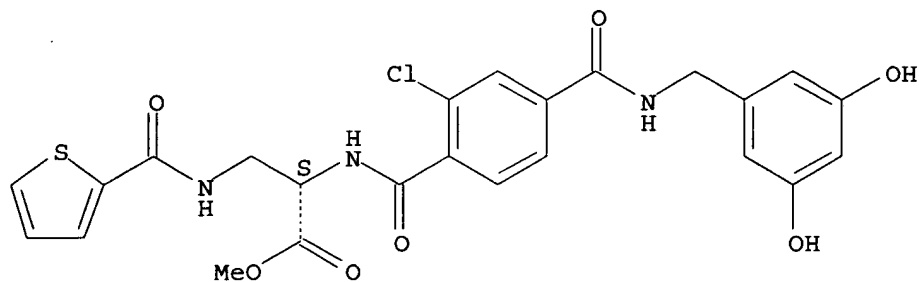


PAGE 1-B

—OBu-t

RN 264276-54-2 USPATFULL  
 CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl) methyl] amino] carbonyl] benzoyl]-3-[(2-thienylcarbonyl) amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

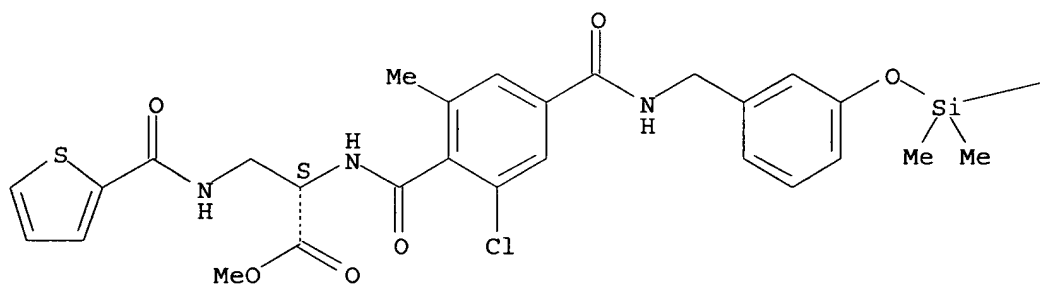


RN 264276-55-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[3-[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



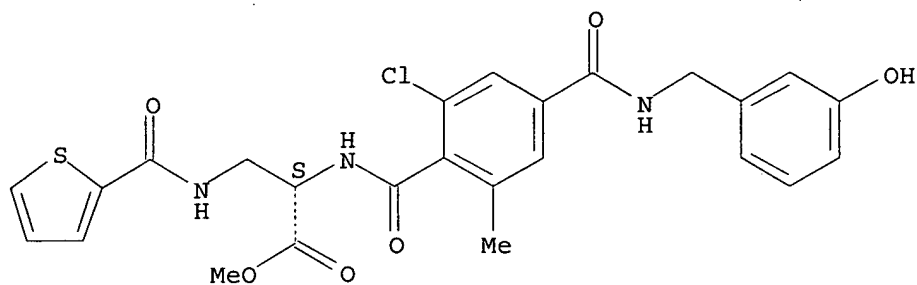
PAGE 1-B

—Bu-t

RN 264276-56-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[3-(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

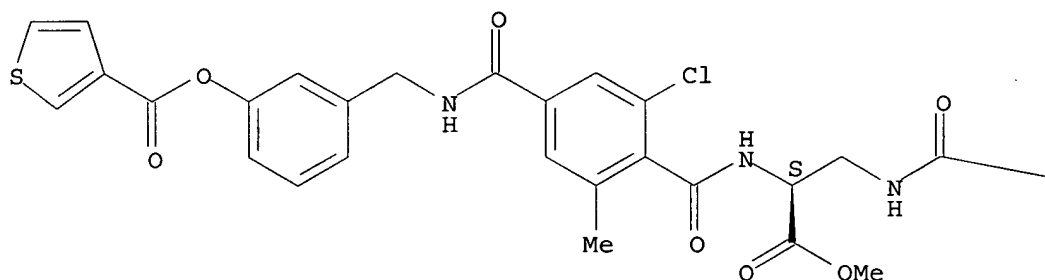


RN 264276-57-5 USPATFULL

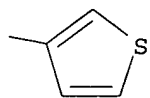
CN 3-Thiophenecarboxylic acid, 3-[[[3-chloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[[3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]-5-methylbenzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

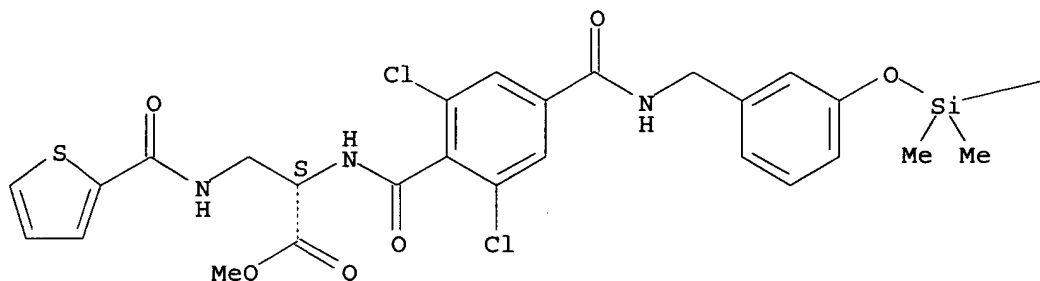


RN 264276-61-1 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



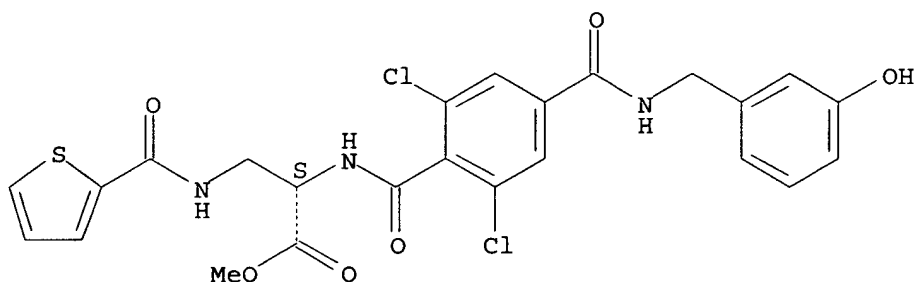
PAGE 1-B

—Bu-t

RN 264276-62-2 USPTFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



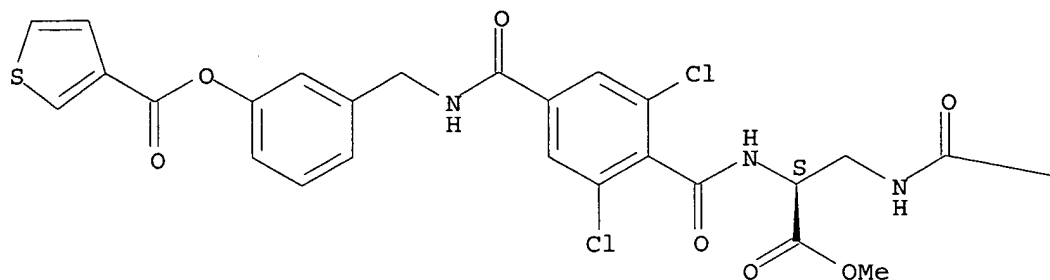
RN 264276-63-3 USPTFULL

CN 3-Thiophenecarboxylic acid, 3-[[[3,5-dichloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[[(3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]benzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

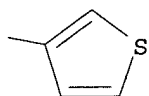
Absolute stereochemistry.



PAGE 1-A



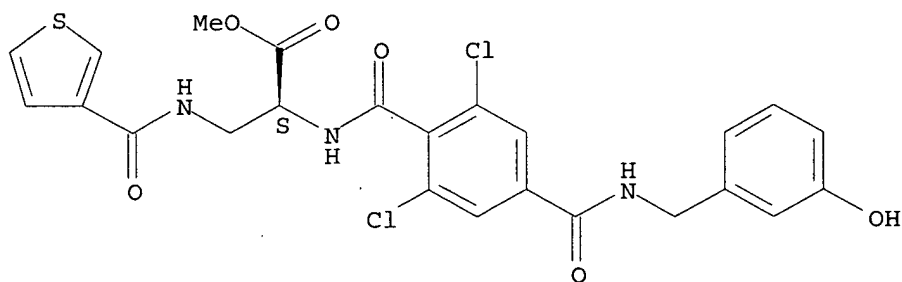
PAGE 1-B



RN 264276-64-4 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

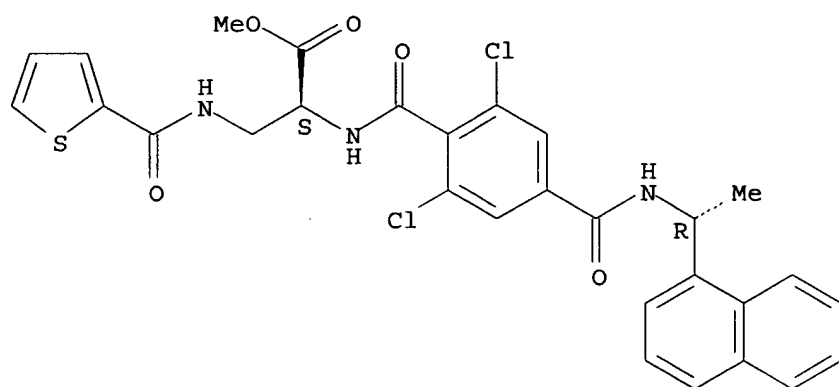
Absolute stereochemistry.



RN 264276-71-3 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 5 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2002:99611 USPATFULL  
 TITLE: Diaminopropionic acid derivatives  
 INVENTOR(S): Fotouhi, Nader, Chatham, NJ, UNITED STATES  
 Gillespie, Paul, Westfield, NJ, UNITED STATES  
 Guthrie, Robert W., Saddle Brook, NJ, UNITED STATES  
 Pietranico-Cole, Sherrie L., Nutley, NJ, UNITED STATES  
 Yun, Weiya, Warren, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002052512	A1	20020502
APPLICATION INFO.:	US 2001-879700	A1	20010612 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-407534, filed on 29 Sep 1999, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-104120P	19981013 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110	
NUMBER OF CLAIMS:	81	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7962	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	A compound of formula 1a ##STR1##	

which is useful for treating reperfusion injury, and salts, prodrugs, and related compounds.

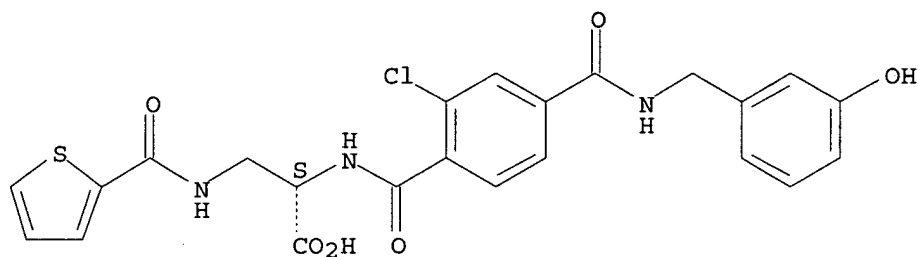
IT 245463-52-9P 264275-37-8P 264275-38-9P  
264275-39-0P 264275-40-3P 264275-41-4P  
264275-42-5P 264275-43-6P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-52-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

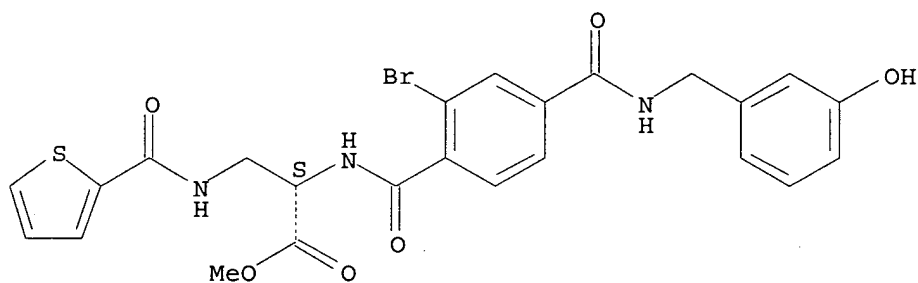
Absolute stereochemistry.



RN 264275-37-8 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

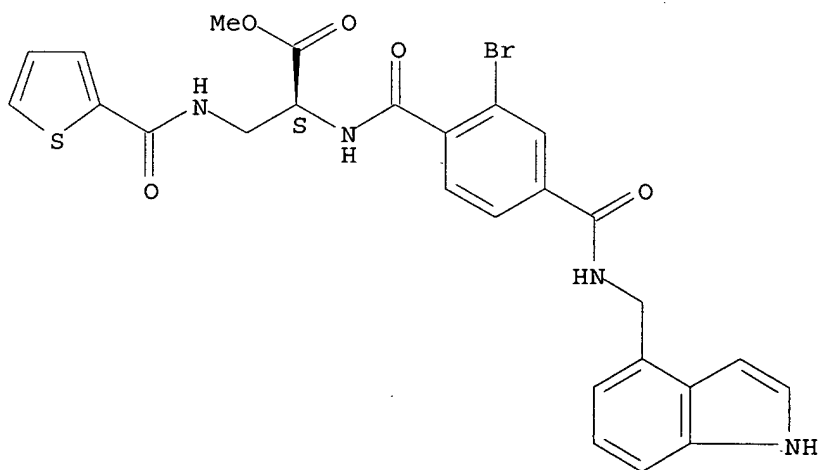
Absolute stereochemistry.



RN 264275-38-9 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



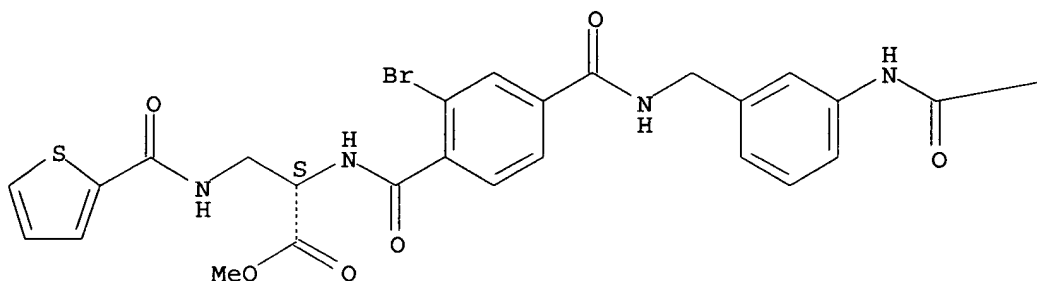
RN 264275-39-0 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]]

methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



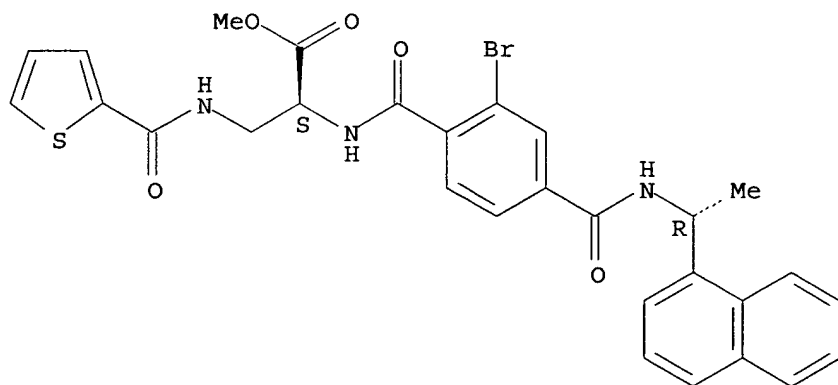
PAGE 1-B

—OBu-t

RN 264275-40-3 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

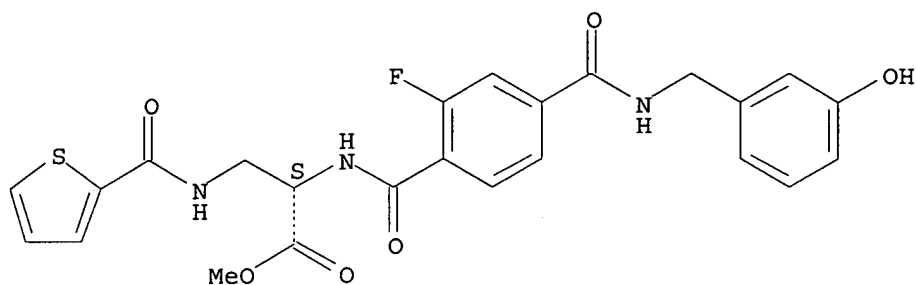
Absolute stereochemistry.



RN 264275-41-4 USPATFULL

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

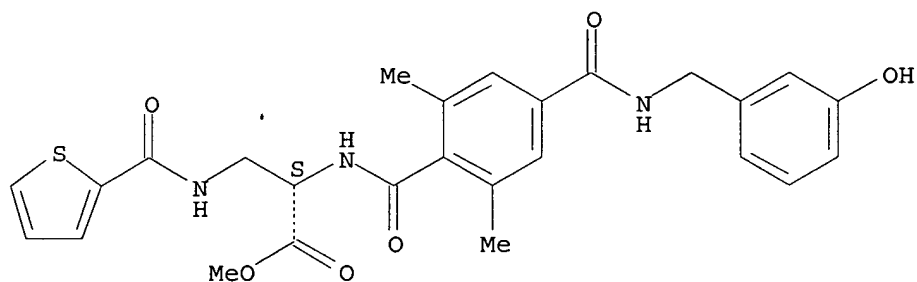
Absolute stereochemistry.



RN 264275-42-5 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

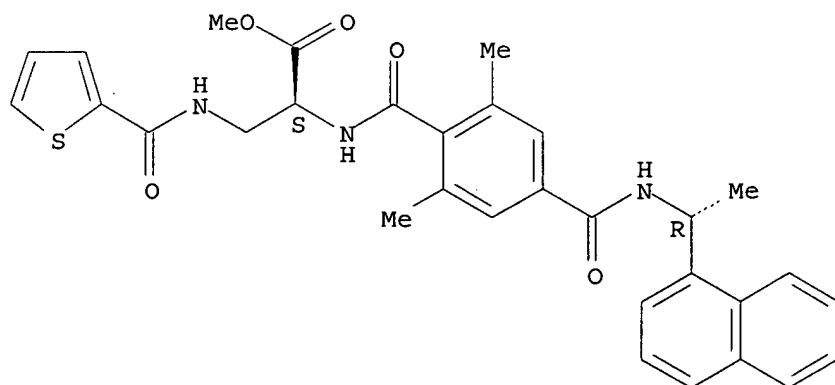
Absolute stereochemistry.



RN 264275-43-6 USPATFULL

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 245463-46-1P 245463-49-4P 245463-53-0P  
245463-54-1P 245463-55-2P 264273-97-4P  
264273-98-5P 264273-99-6P 264274-93-3P

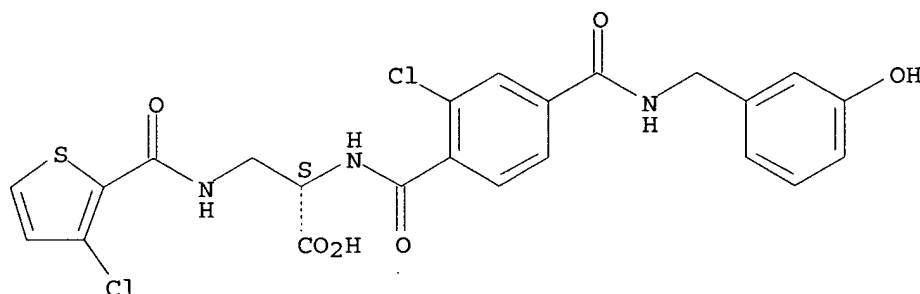
264274-94-4P 264275-36-7P 264275-46-9P  
 264275-47-0P 264275-48-1P 264275-49-2P  
 264275-53-8P 264275-54-9P 264275-56-1P  
 264275-58-3P 264275-59-4P 264275-60-7P  
 264275-61-8P 264275-62-9P 264275-63-0P  
 264275-64-1P 264275-66-3P 264275-67-4P  
 264275-68-5P 264275-70-9P 264275-71-0P  
 264275-74-3P 264275-75-4P 264275-78-7P  
 264275-85-6P 264275-86-7P 264275-87-8P  
 264275-88-9P 264275-89-0P 264275-90-3P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-46-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

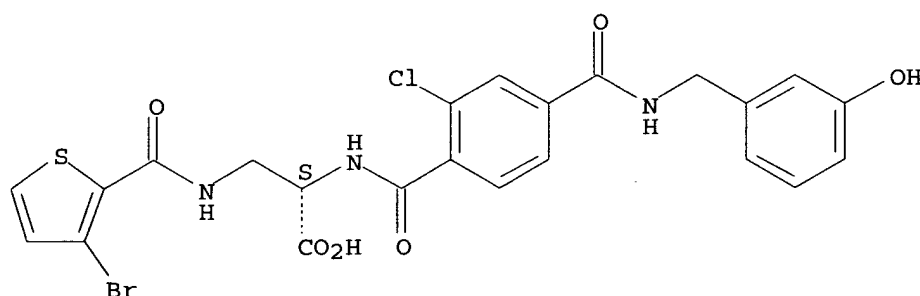
Absolute stereochemistry.



RN 245463-49-4 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

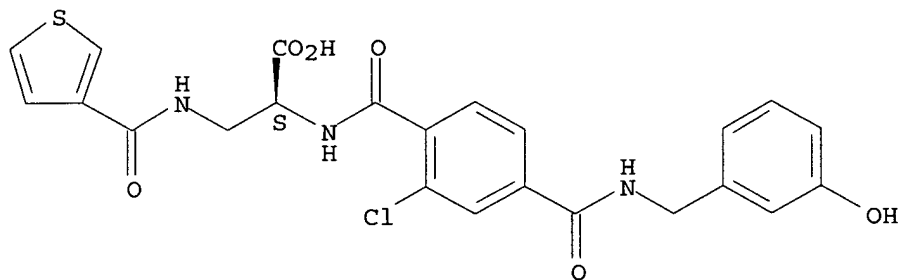
Absolute stereochemistry.



RN 245463-53-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

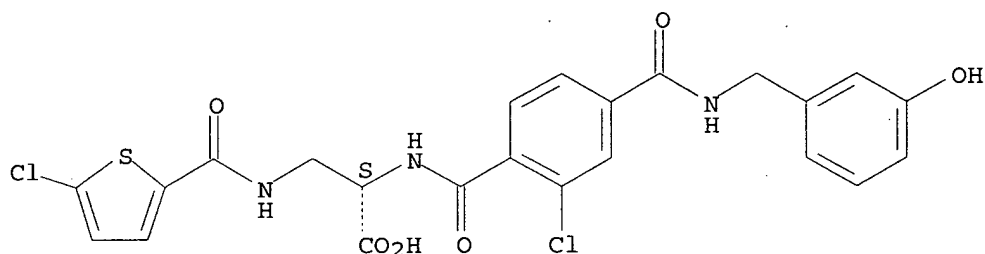
Absolute stereochemistry.



RN 245463-54-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

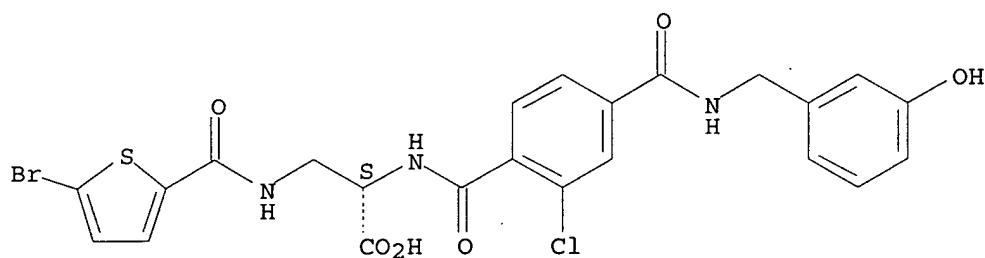
Absolute stereochemistry.



RN 245463-55-2 USPATFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

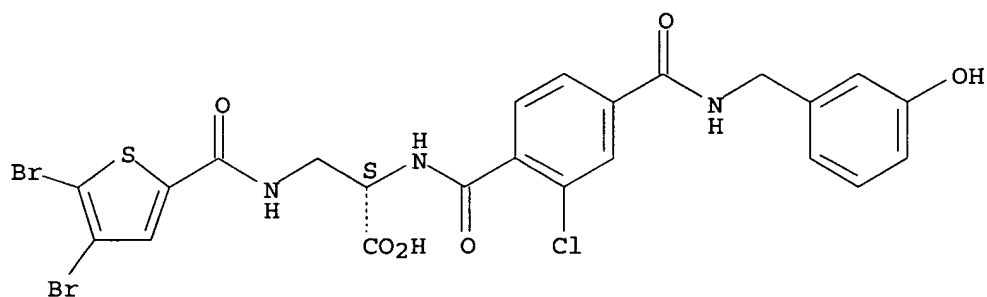
Absolute stereochemistry.



RN 264273-97-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

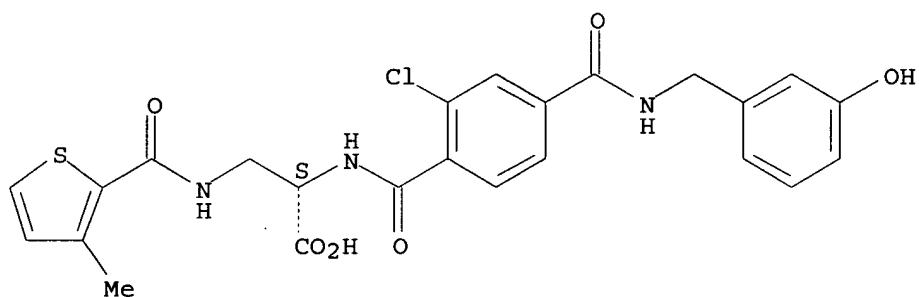
Absolute stereochemistry.



RN 264273-98-5 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

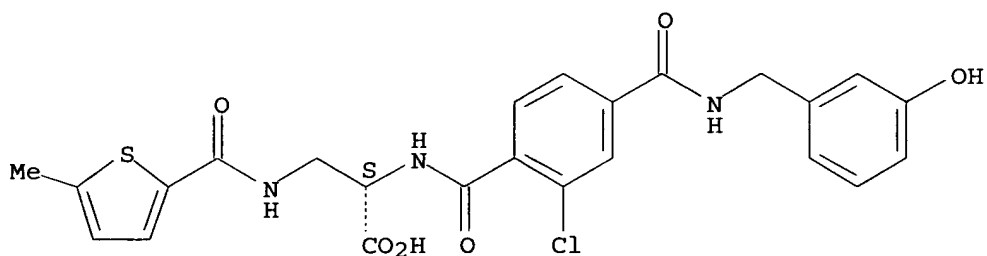
Absolute stereochemistry.



RN 264273-99-6 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

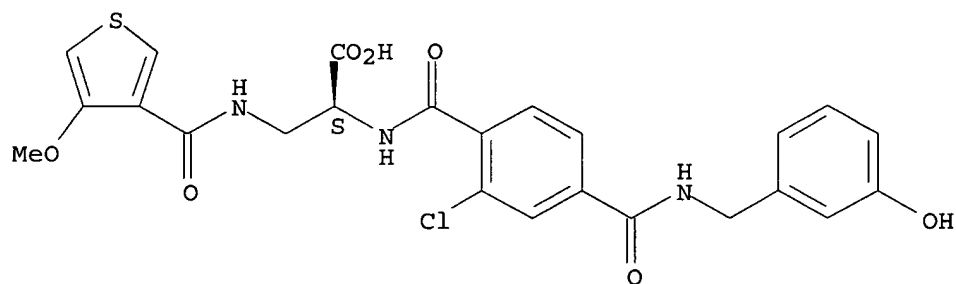


RN 264274-93-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

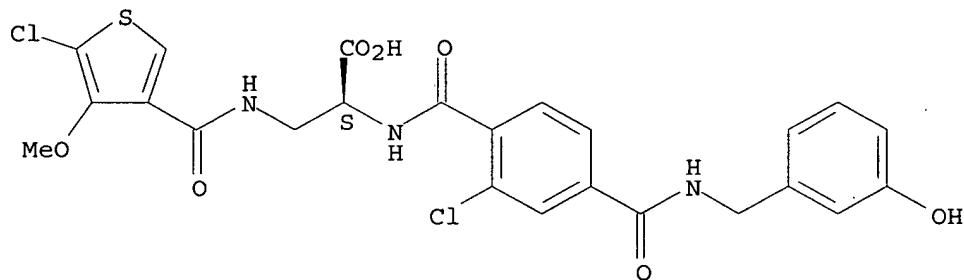




RN 264274-94-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[[[(5-chloro-4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX  
NAME)

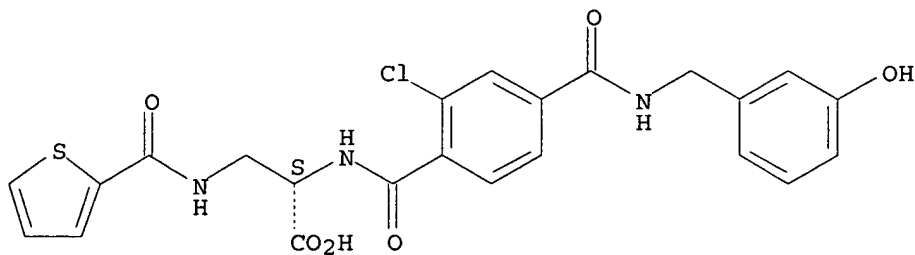
Absolute stereochemistry.



RN 264275-36-7 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[(2-thienylcarbonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

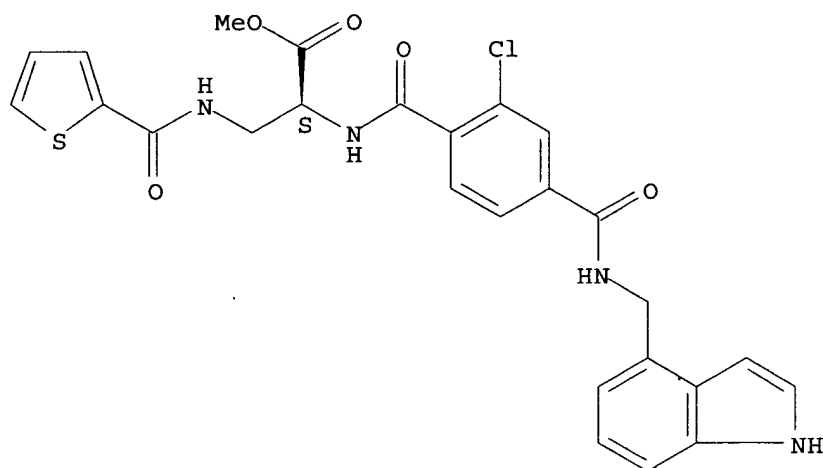


● Na

RN 264275-46-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[[2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

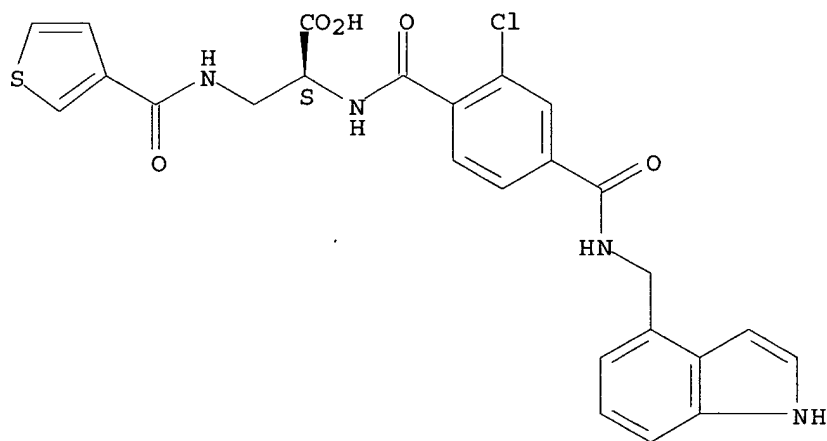
Absolute stereochemistry.



RN 264275-47-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

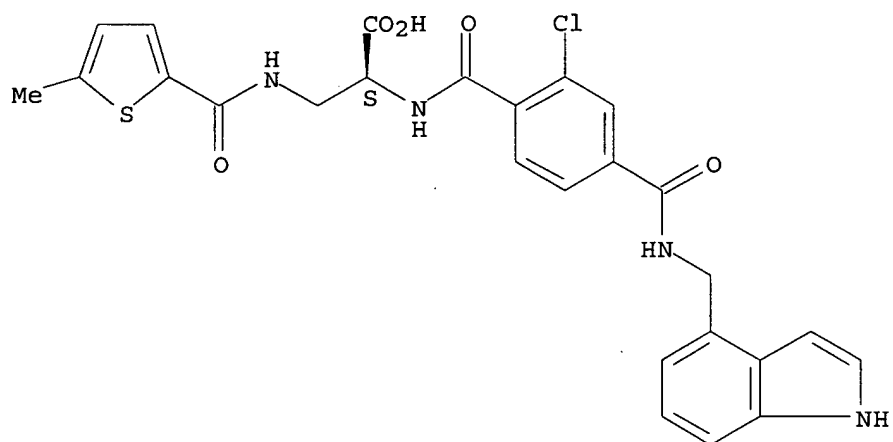
Absolute stereochemistry.



RN 264275-48-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[[5-methyl-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

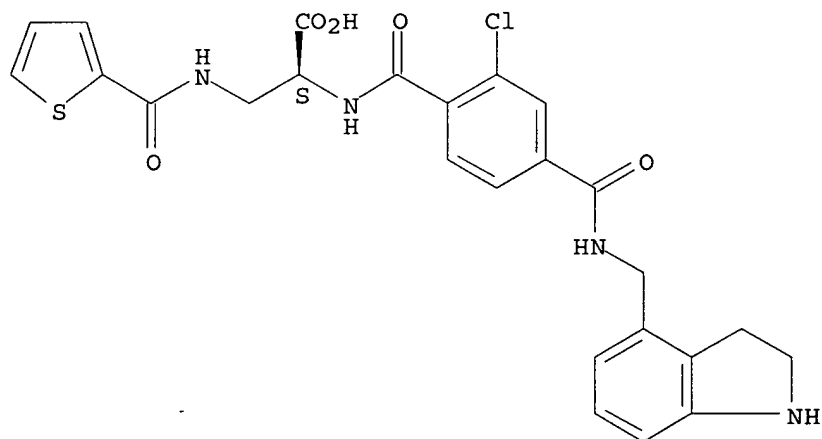
Absolute stereochemistry.



RN 264275-49-2 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(2,3-dihydro-1H-indol-4-yl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI)  
(CA INDEX NAME)

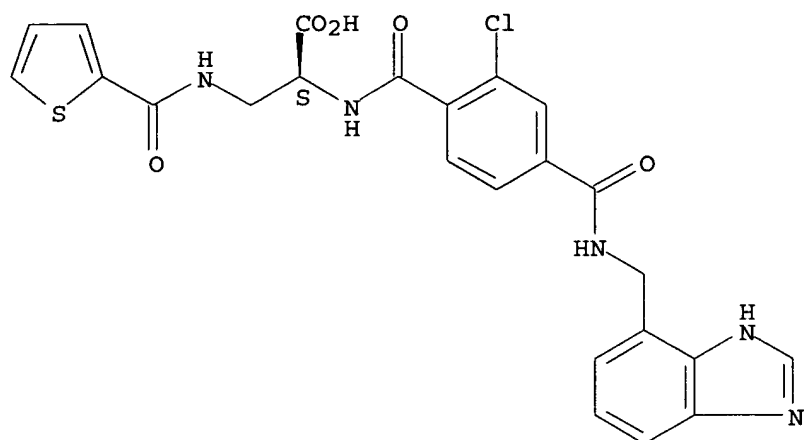
Absolute stereochemistry.



RN 264275-53-8 USPATFULL

CN L-Alanine, N-[4-[[[(1H-benzimidazol-4-yl)methyl]amino]carbonyl]-2-chlorobenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

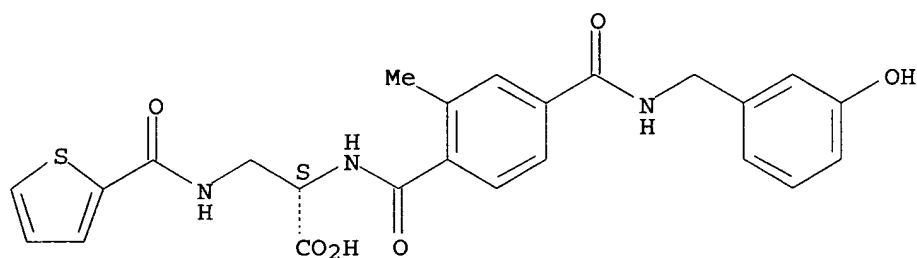
Absolute stereochemistry.



RN 264275-54-9 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

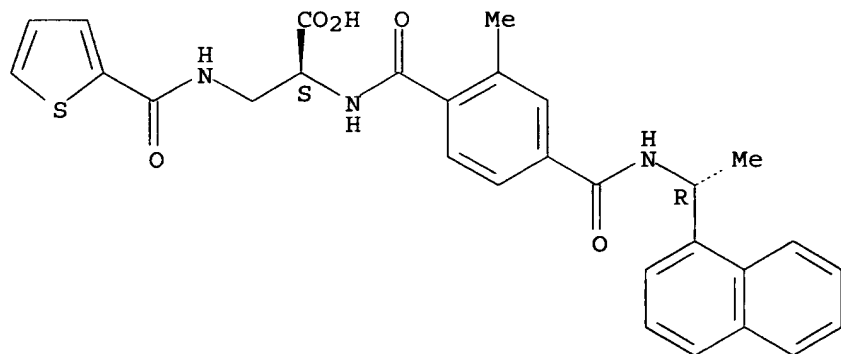
Absolute stereochemistry.



RN 264275-56-1 USPATFULL

CN L-Alanine, N-[2-methyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

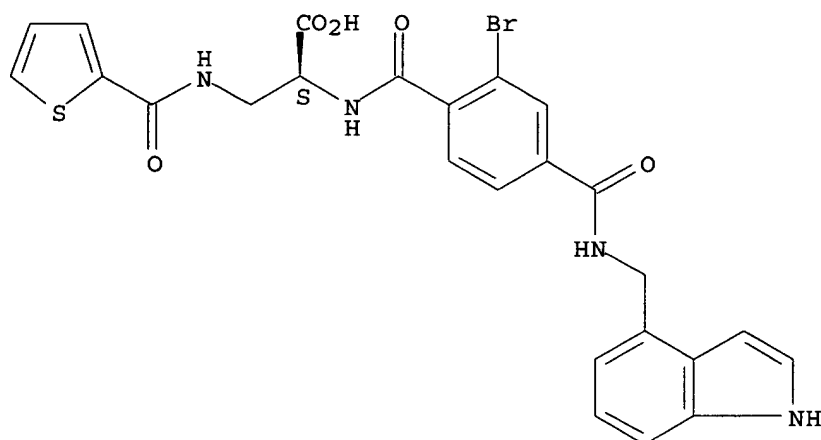
Absolute stereochemistry.



RN 264275-58-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indazol-4-yl)methyl]amino]carbonyl]benzoyl]-

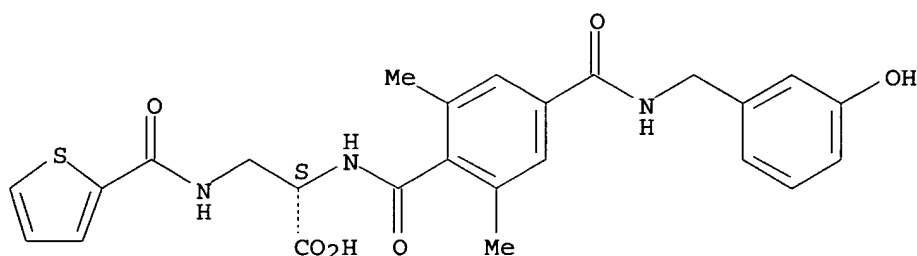




RN 264275-61-8 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

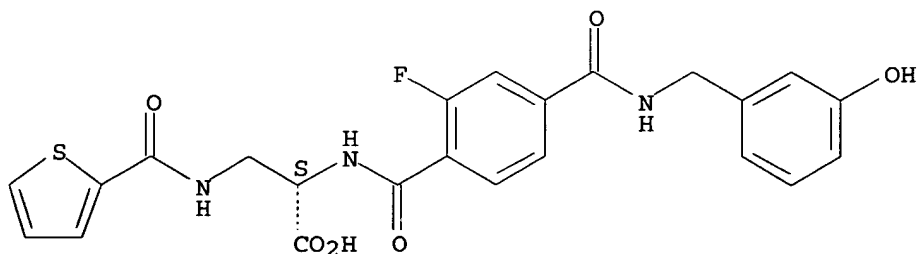
Absolute stereochemistry.



RN 264275-62-9 USPATFULL

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

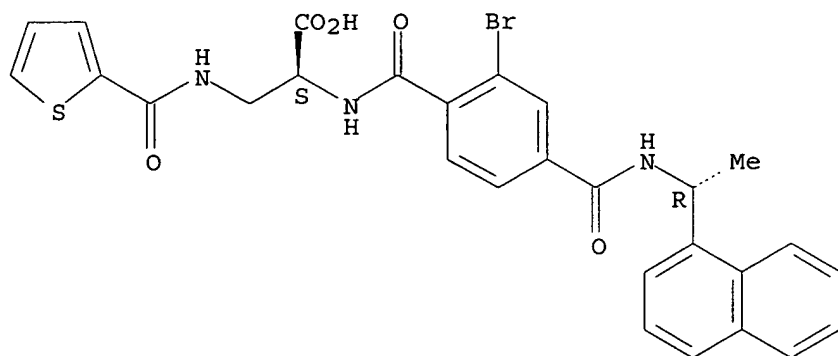
Absolute stereochemistry.



RN 264275-63-0 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

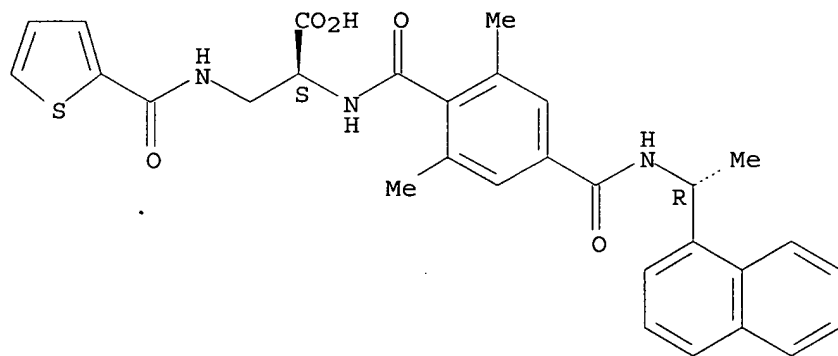
Absolute stereochemistry.



RN 264275-64-1 USPATFULL

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-66-3 USPATFULL

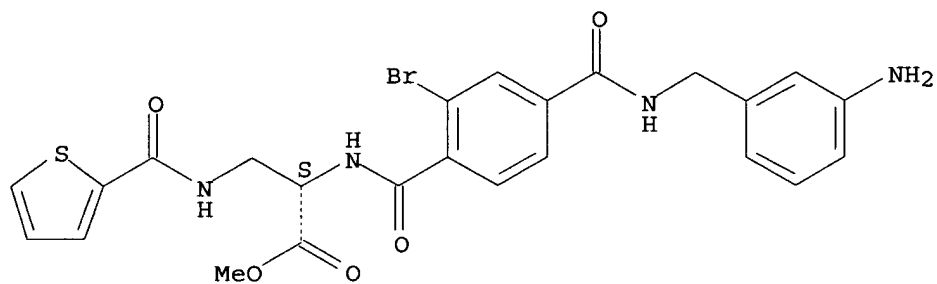
CN L-Alanine, N-[4-[[[(3-aminophenyl)methyl]amino]carbonyl]-2-bromobenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 264275-65-2

CMF C24 H23 Br N4 O5 S

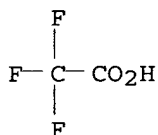
Absolute stereochemistry.



CM 2

CRN 76-05-1

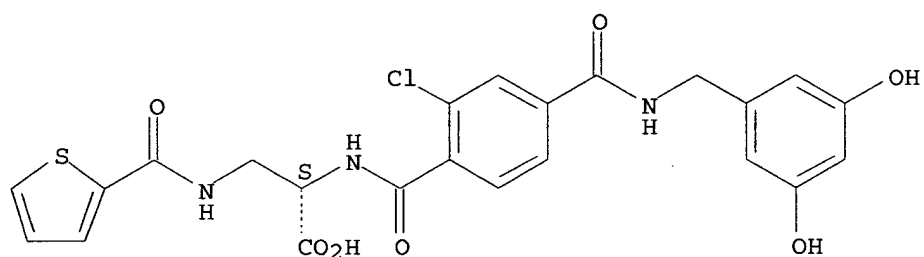
CMF C2 H F3 O2



RN 264275-67-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

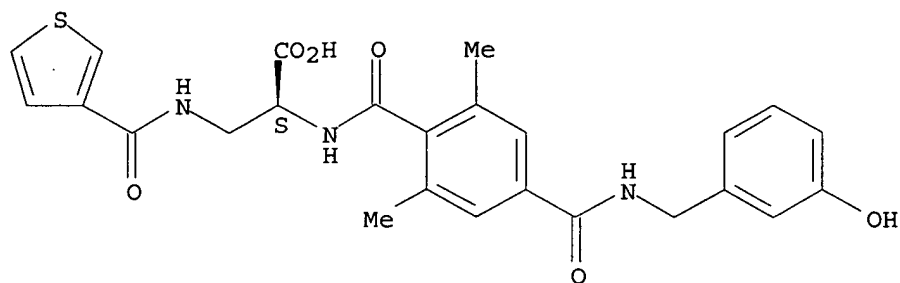


RN 264275-68-5 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

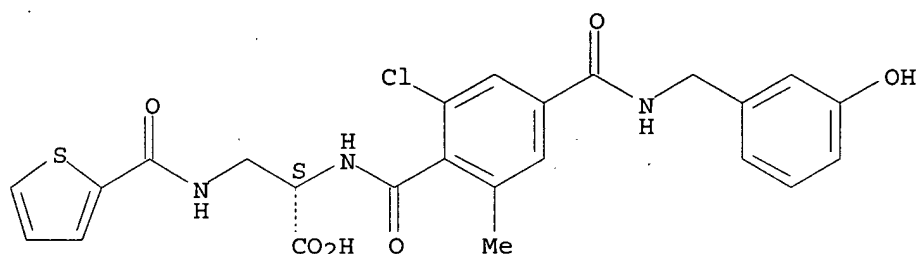




RN 264275-70-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

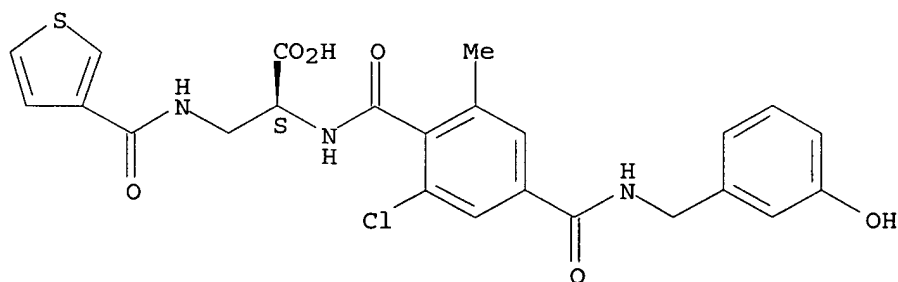
Absolute stereochemistry.



RN 264275-71-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

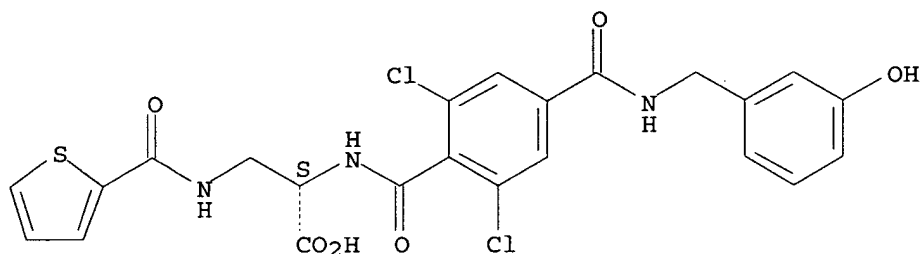
Absolute stereochemistry.



RN 264275-74-3 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

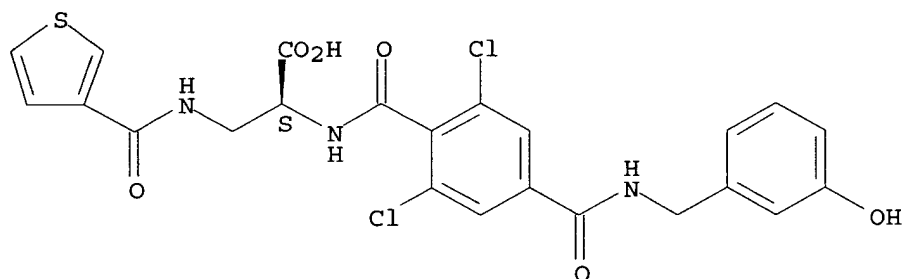
Absolute stereochemistry.



RN 264275-75-4 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

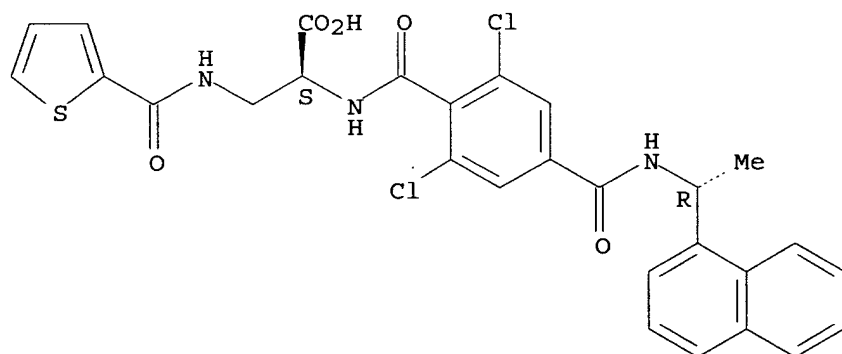
Absolute stereochemistry.



RN 264275-78-7 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

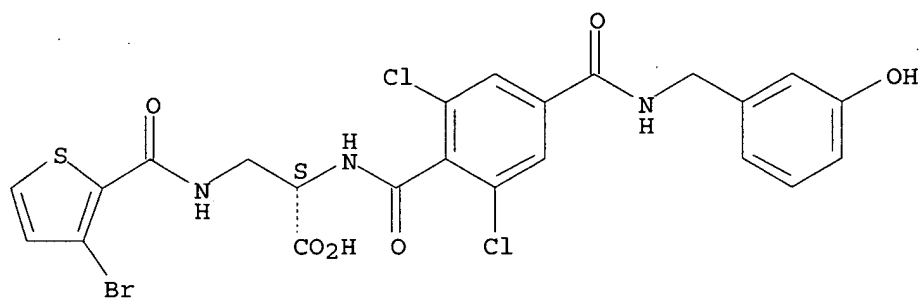
Absolute stereochemistry.



RN 264275-85-6 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]]- (9CI) (CA INDEX NAME)

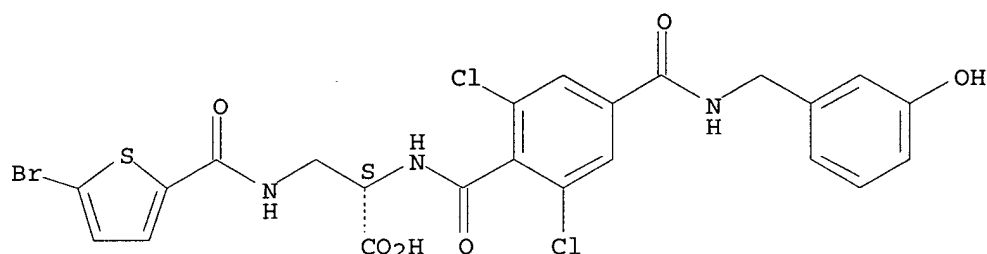
Absolute stereochemistry.



RN 264275-86-7 USPATFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

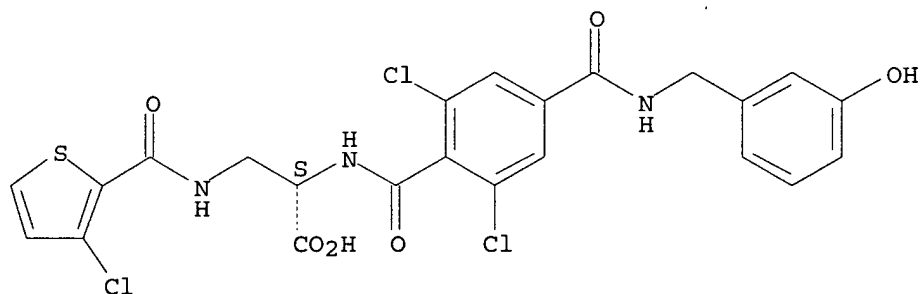
Absolute stereochemistry.



RN 264275-87-8 USPATFULL

CN L-Alanine, 3-[[[(3-chloro-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

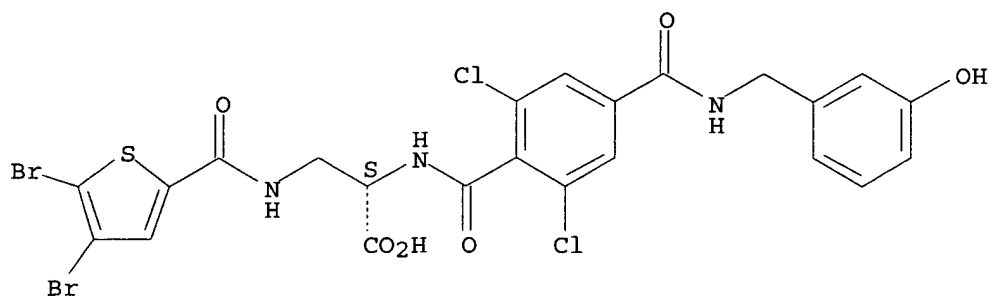
Absolute stereochemistry.



RN 264275-88-9 USPATFULL

CN L-Alanine, 3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

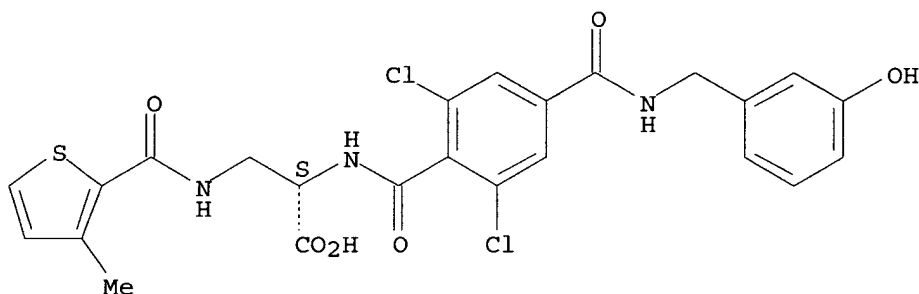
Absolute stereochemistry.



RN 264275-89-0 USPTFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

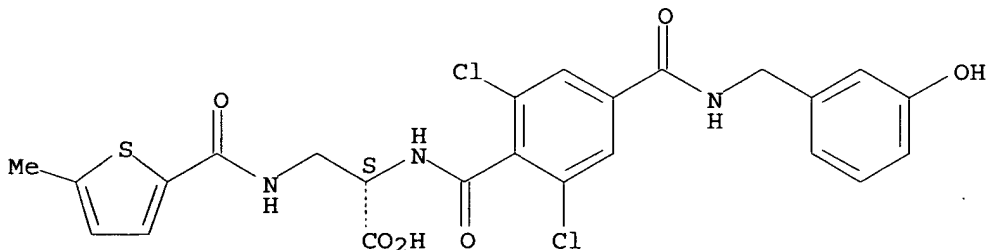
Absolute stereochemistry.



RN 264275-90-3 USPTFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 264276-44-0P 264276-49-5P 264276-50-8P  
264276-51-9P 264276-54-2P 264276-55-3P  
264276-56-4P 264276-57-5P 264276-61-1P  
264276-62-2P 264276-63-3P 264276-64-4P  
264276-71-3P

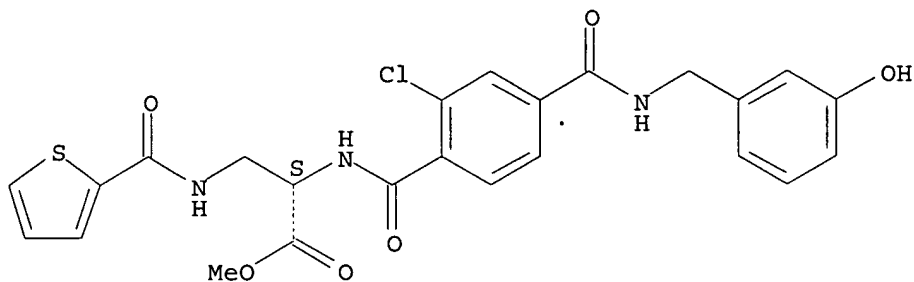
(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264276-44-0 USPTFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl

] -3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

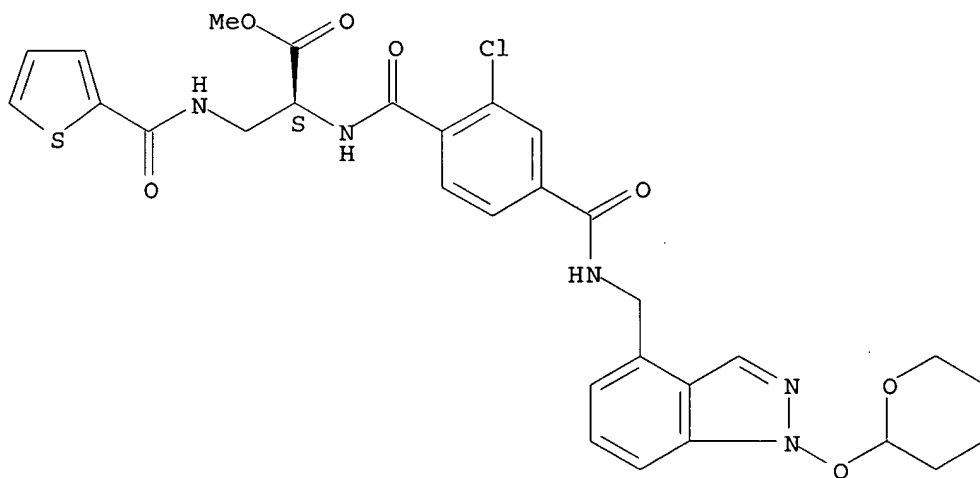
Absolute stereochemistry.



RN 264276-49-5 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

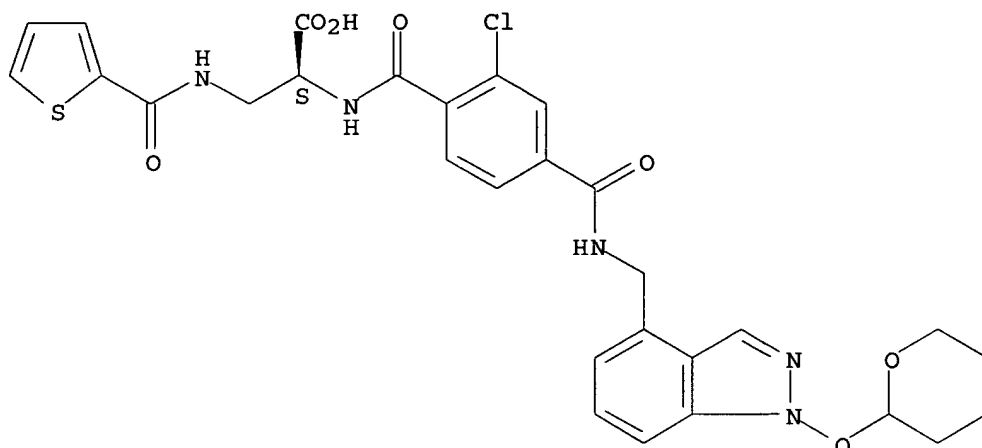
Absolute stereochemistry.



RN 264276-50-8 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

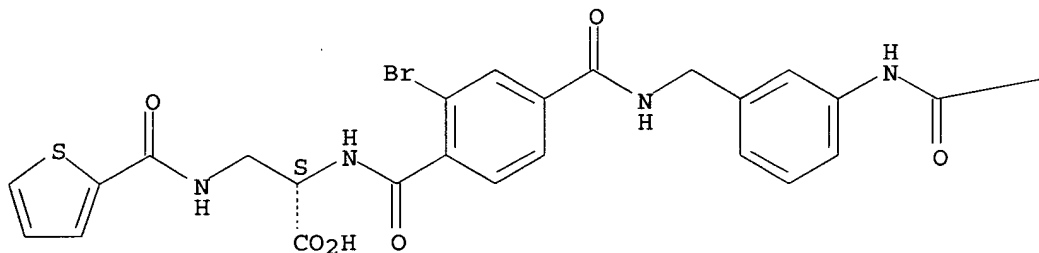


RN 264276-51-9 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(3-[(1,1-dimethylethoxy)carbonyl]amino]phenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



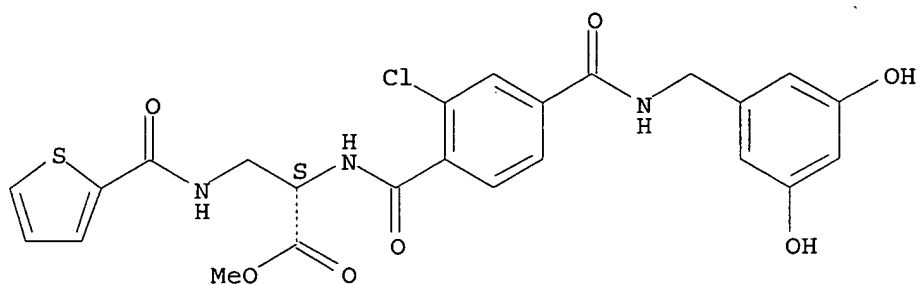
PAGE 1-B

—OBu-t

RN 264276-54-2 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

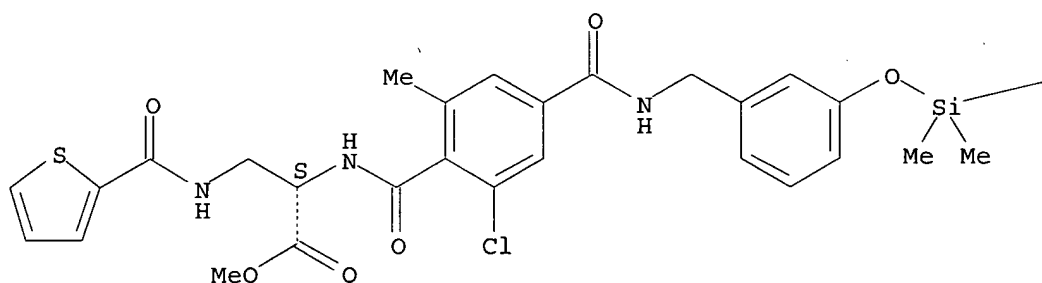


RN 264276-55-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



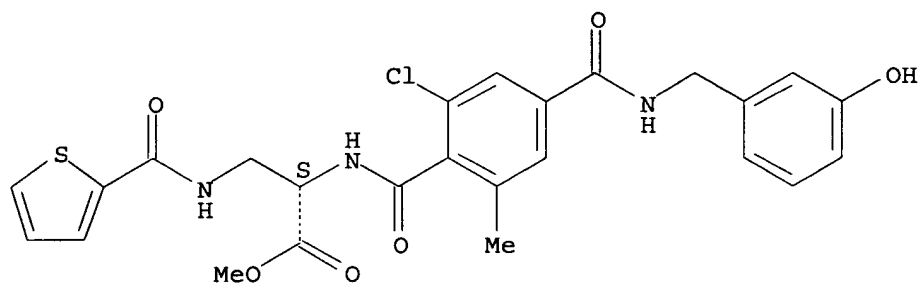
PAGE 1-B

—Bu-t

RN 264276-56-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-methoxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

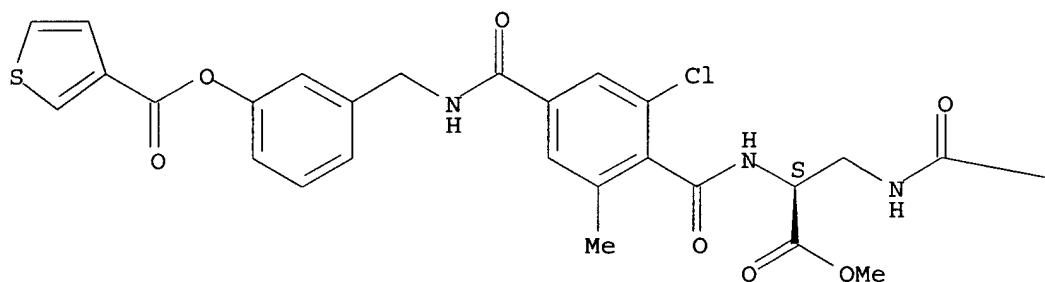


RN 264276-57-5 USPATFULL

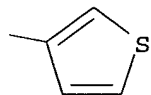
CN 3-Thiophenecarboxylic acid, 3-[[[3-chloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[[(3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]-5-methylbenzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

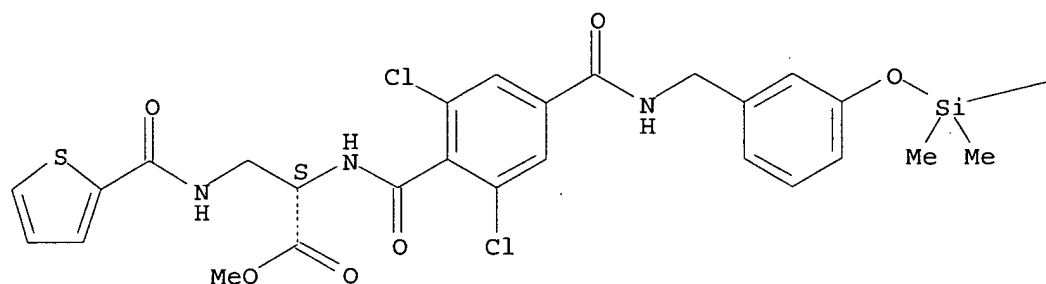


RN 264276-61-1 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.





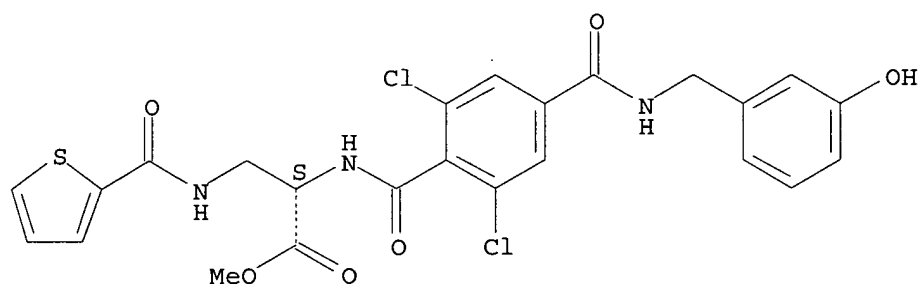
PAGE 1-B

— Bu-t

RN 264276-62-2 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

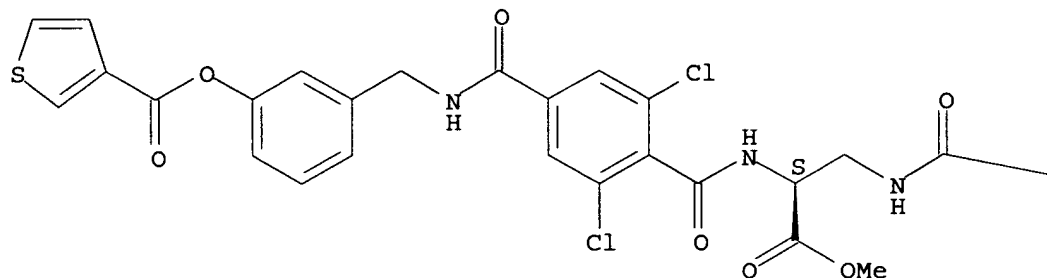


RN 264276-63-3 USPATFULL

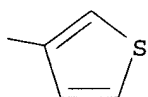
CN 3-Thiophenecarboxylic acid, 3-[[[3,5-dichloro-4-[[[(1S)-2-methoxy-2-oxo-1-  
[[3-thienylcarbonyl]amino]methyl]ethyl]amino]carbonyl]benzoyl]amino]met  
hyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



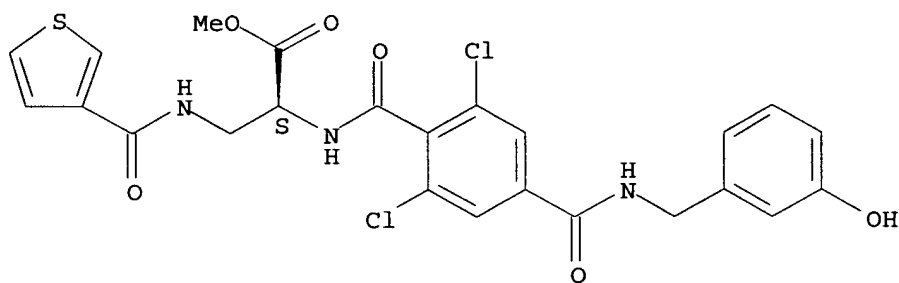
PAGE 1-B



RN 264276-64-4 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

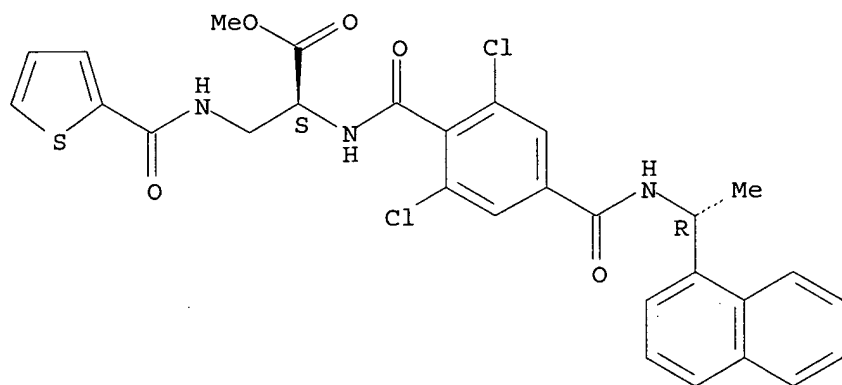
Absolute stereochemistry.



RN 264276-71-3 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 6 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2001:231375 USPATFULL

TITLE: Diaminopropionic acid derivatives

INVENTOR(S): Fotouhi, Nader, Chatham, NJ, United States  
 Gillespie, Paul, Westfield, NJ, United States  
 Guthrie, Robert William, Saddle Brook, NJ, United States  
 Pietranico-Cole, Sherrie Lynn, Nutley, NJ, United States

PATENT ASSIGNEE(S): Yun, Weiya, Warren, NJ, United States  
 Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6331640	B1	20011218
APPLICATION INFO.:	US 1999-407534		19990929 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-104120P	19981013 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Stockton, Laura L.	
LEGAL REPRESENTATIVE:	Johnston, George W., Epstein, William H., Dubberley, F. Aaron	
NUMBER OF CLAIMS:	32	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7049	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	A compound of formula 1a ##STR1##	

which is useful for treating reperfusion injury, and salts, prodrugs, and related compounds.

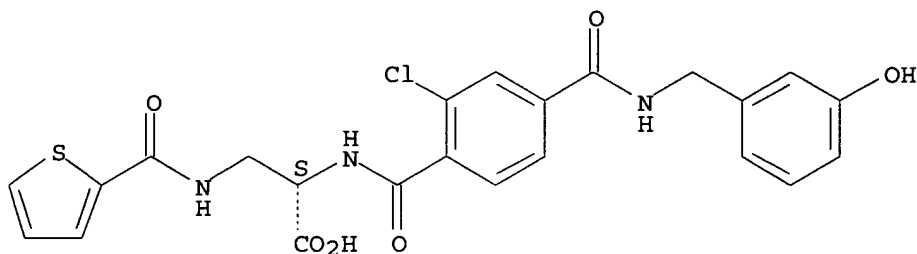
IT 245463-52-9P 264275-37-8P 264275-38-9P  
264275-39-0P 264275-40-3P 264275-41-4P  
264275-42-5P 264275-43-6P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-52-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

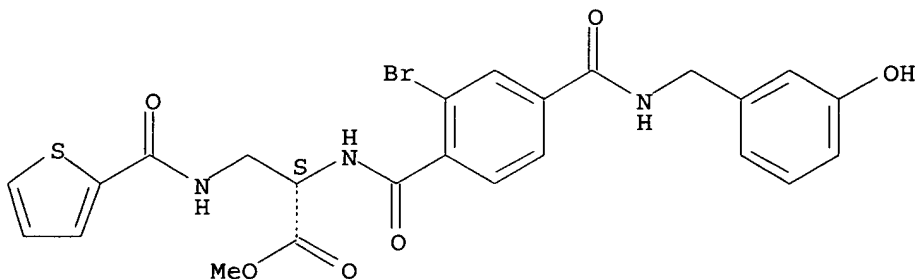
Absolute stereochemistry.



RN 264275-37-8 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

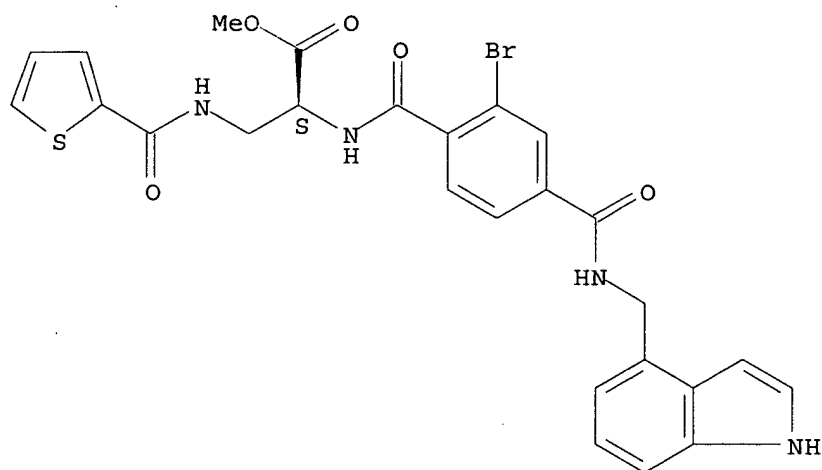
Absolute stereochemistry.



RN 264275-38-9 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

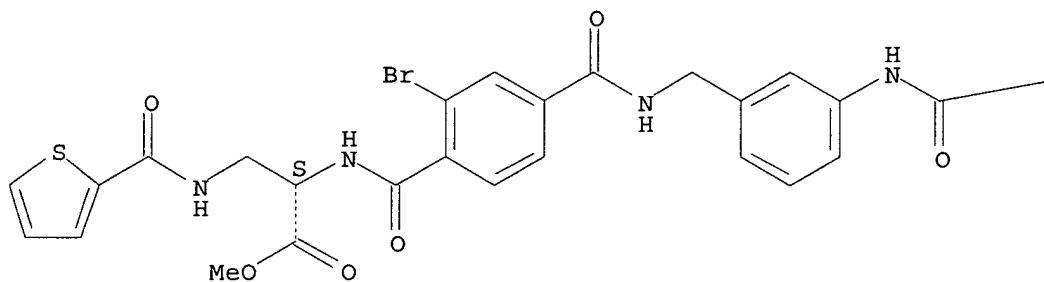
Absolute stereochemistry.



RN 264275-39-0 USPATFULL  
 CN L-Alanine, N-[2-bromo-4-[[[3-[(1,1-dimethylethoxy)carbonyl]amino]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

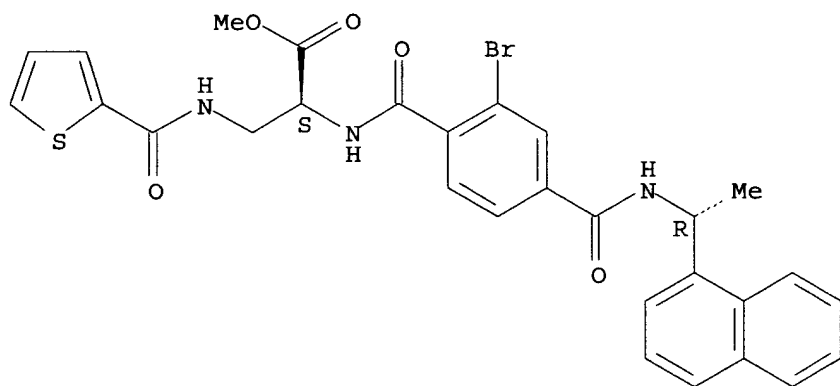


PAGE 1-B

—OBu-t

RN 264275-40-3 USPATFULL  
 CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

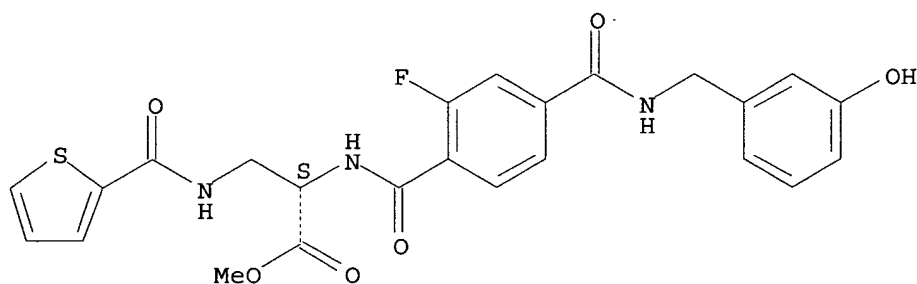
Absolute stereochemistry.



RN 264275-41-4 USPATFULL

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

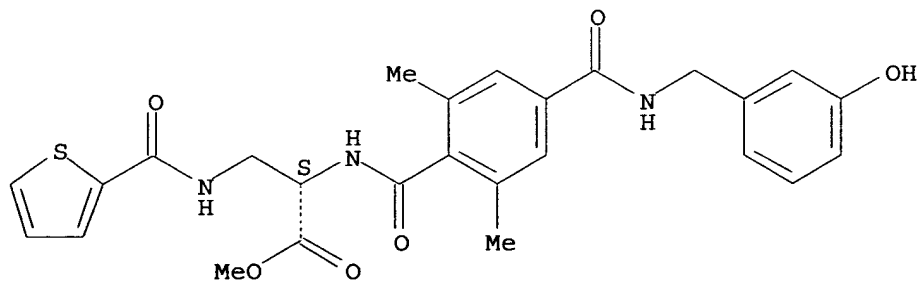
Absolute stereochemistry.



RN 264275-42-5 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

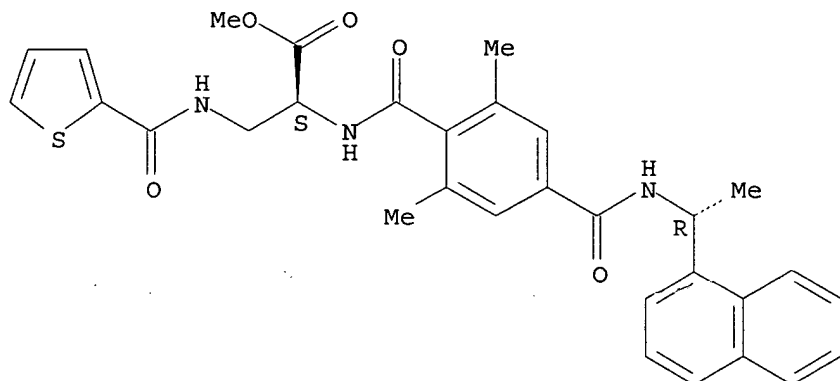
Absolute stereochemistry.



RN 264275-43-6 USPATFULL

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



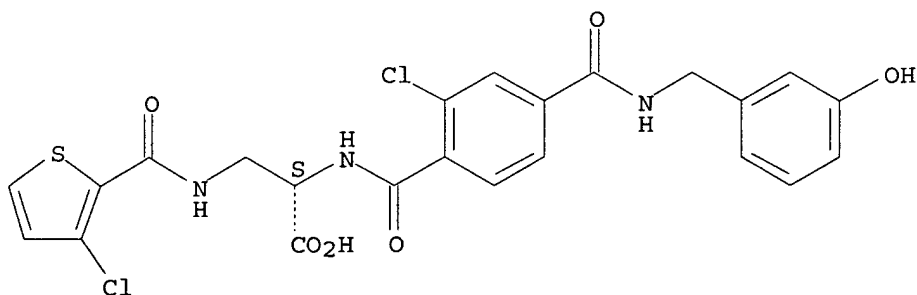
IT 245463-46-1P 245463-49-4P 245463-53-0P  
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264275-85-6P 264275-86-7P 264275-87-8P  
264275-88-9P 264275-89-0P 264275-90-3P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-46-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

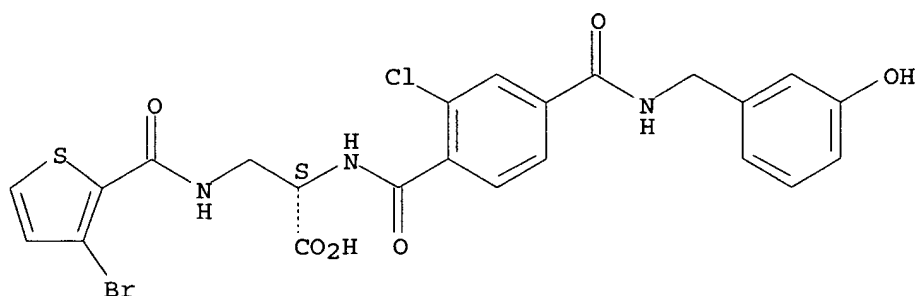
Absolute stereochemistry.



RN 245463-49-4 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

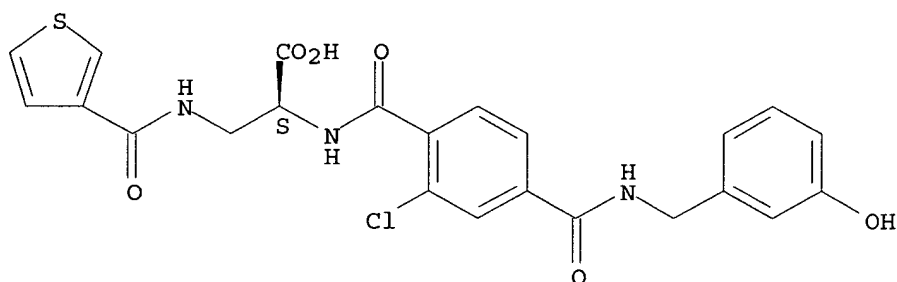
Absolute stereochemistry.



RN 245463-53-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

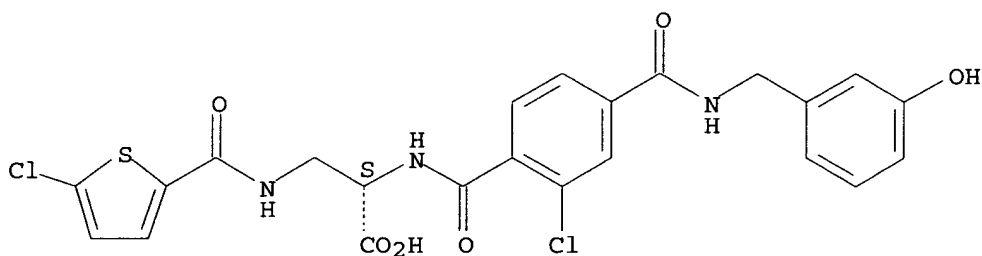
Absolute stereochemistry.



RN 245463-54-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

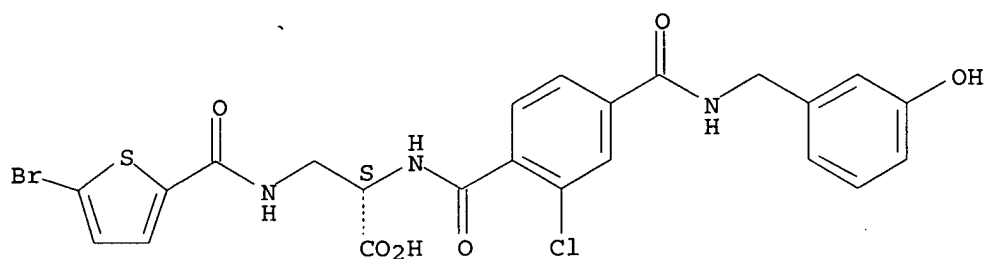


RN 245463-55-2 USPATFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

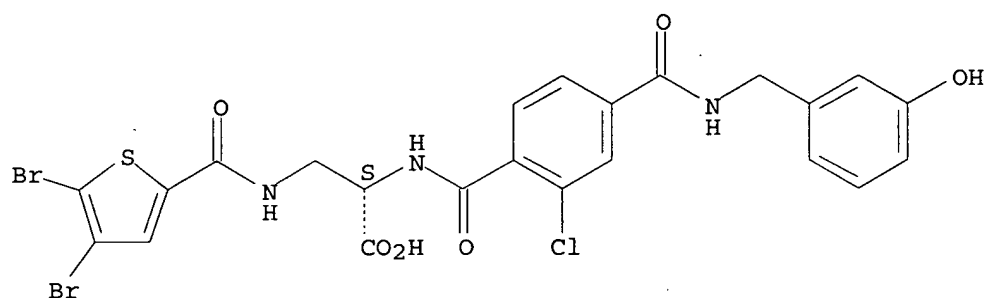




RN 264273-97-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

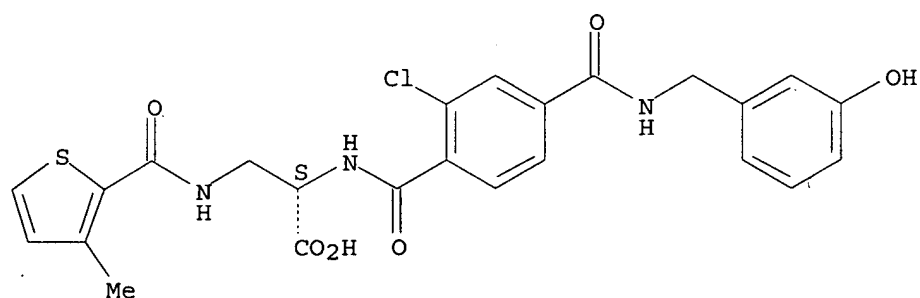
Absolute stereochemistry.



RN 264273-98-5 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

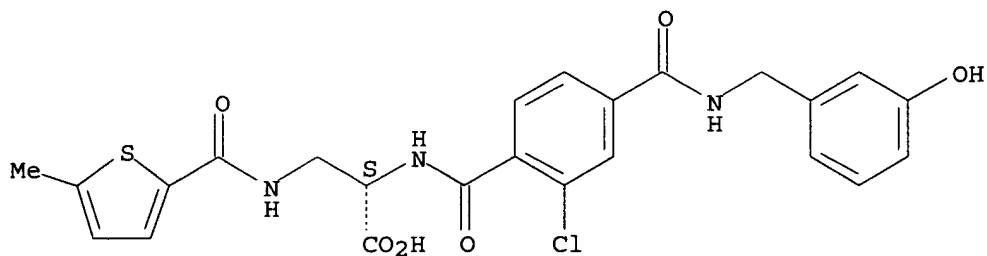
Absolute stereochemistry.



RN 264273-99-6 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

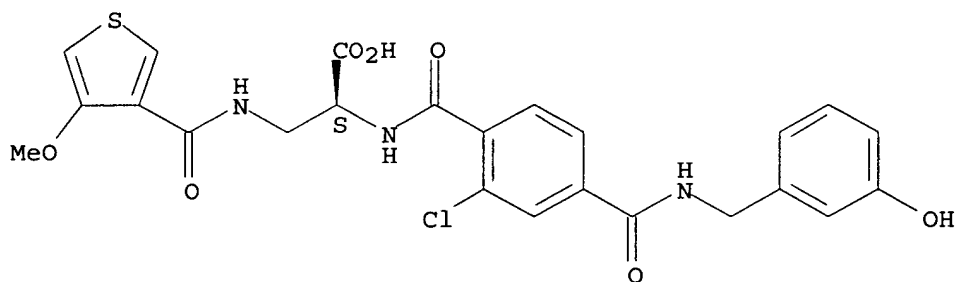
Absolute stereochemistry.



RN 264274-93-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

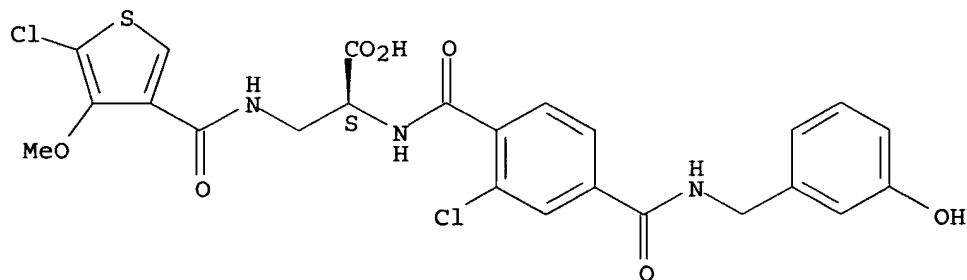
Absolute stereochemistry.



RN 264274-94-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

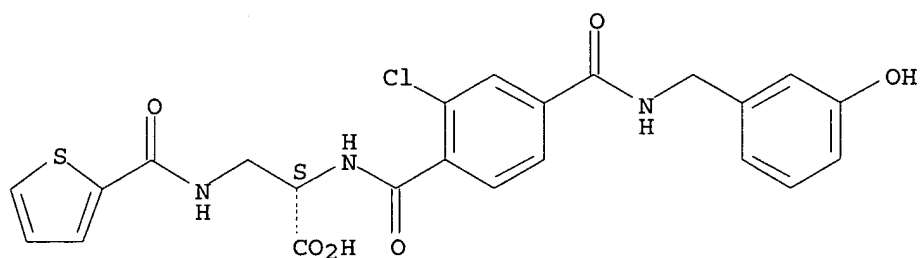
Absolute stereochemistry.



RN 264275-36-7 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(2-thienylcarbonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

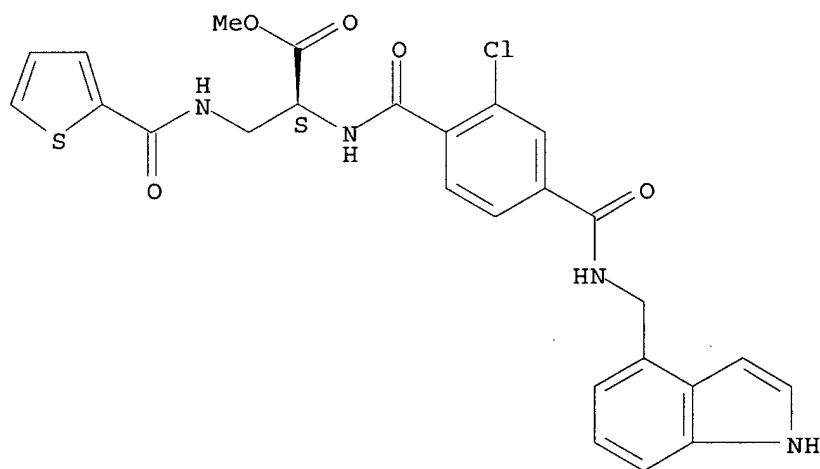


● Na

RN 264275-46-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

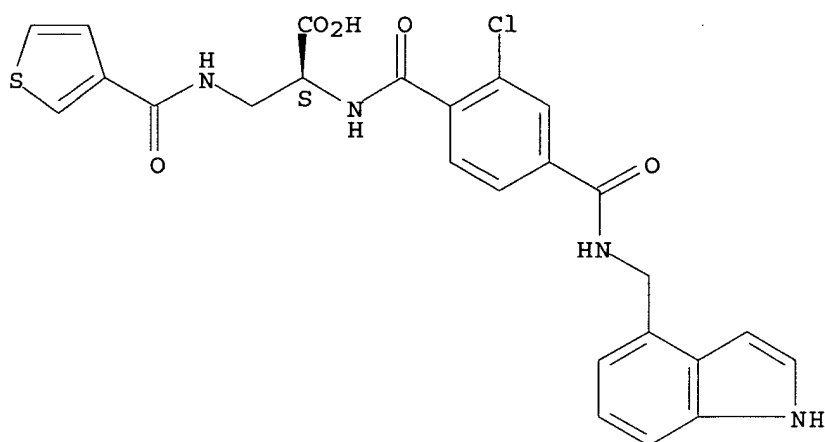
Absolute stereochemistry.



RN 264275-47-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

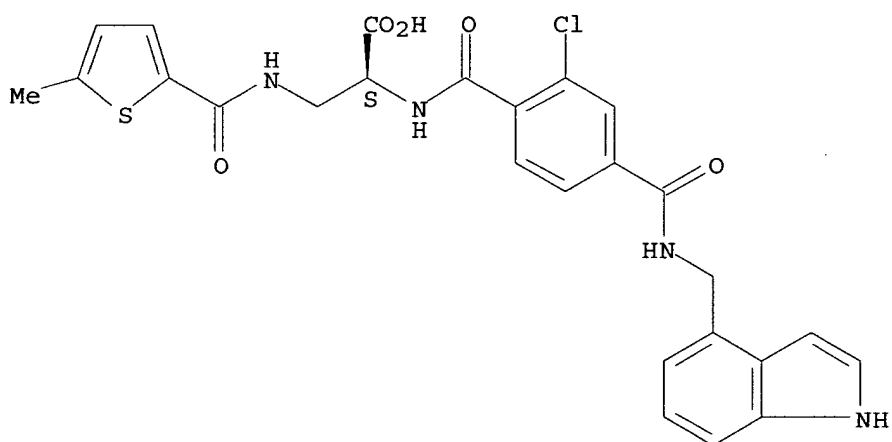
Absolute stereochemistry.



RN 264275-48-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]]-(9CI) (CA INDEX NAME)

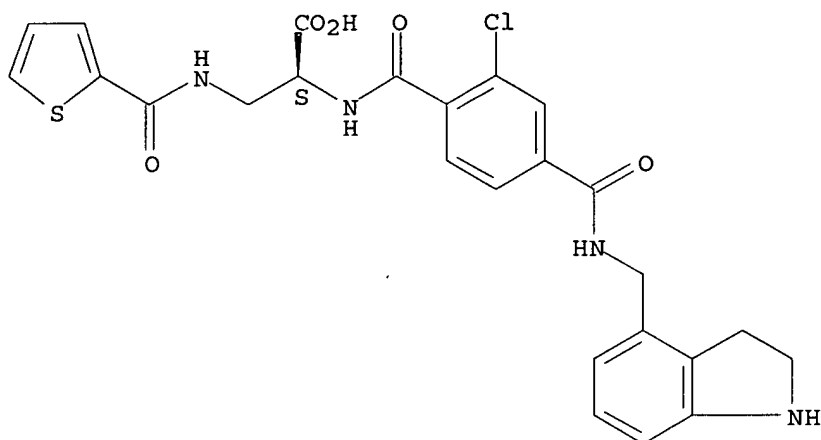
Absolute stereochemistry.



RN 264275-49-2 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(2,3-dihydro-1H-indol-4-yl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]]-(9CI) (CA INDEX NAME)

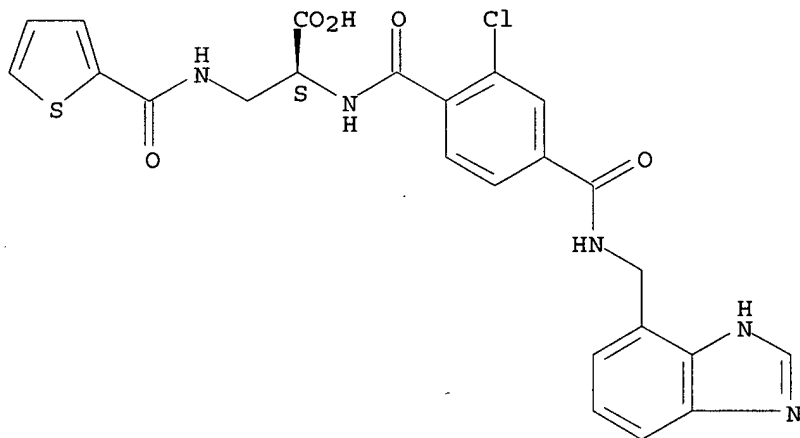
Absolute stereochemistry.



RN 264275-53-8 USPATFULL

CN L-Alanine, N-[4-[[[(1H-benzimidazol-4-ylmethyl)amino]carbonyl]-2-chlorobenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

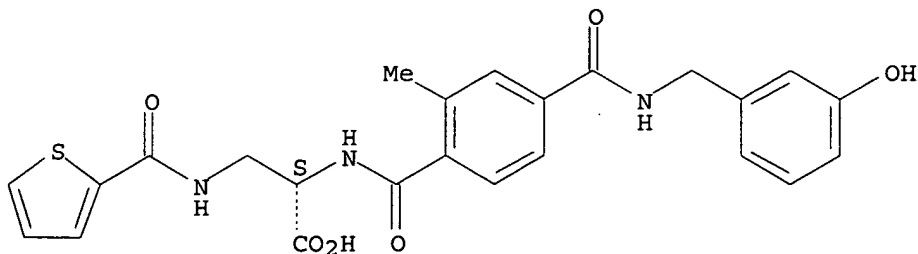
Absolute stereochemistry.



RN 264275-54-9 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

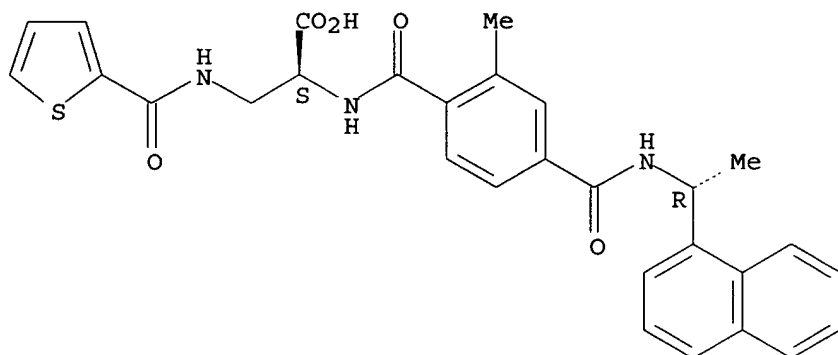
Absolute stereochemistry.



RN 264275-56-1 USPATFULL

CN L-Alanine, N-[2-methyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

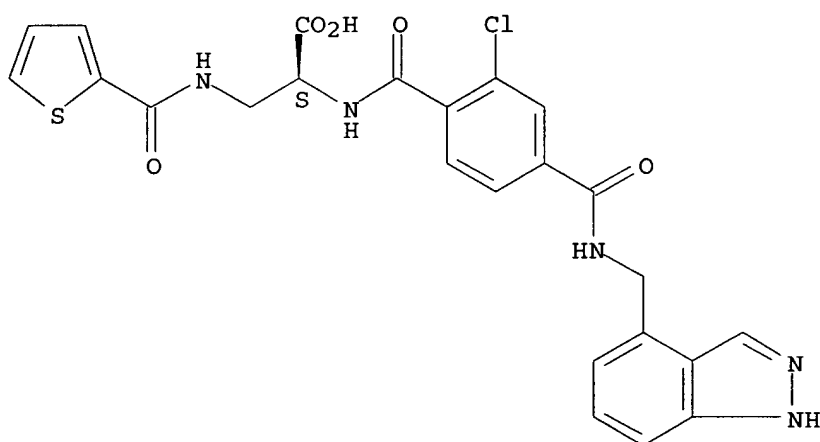
Absolute stereochemistry.



RN 264275-58-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indazol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

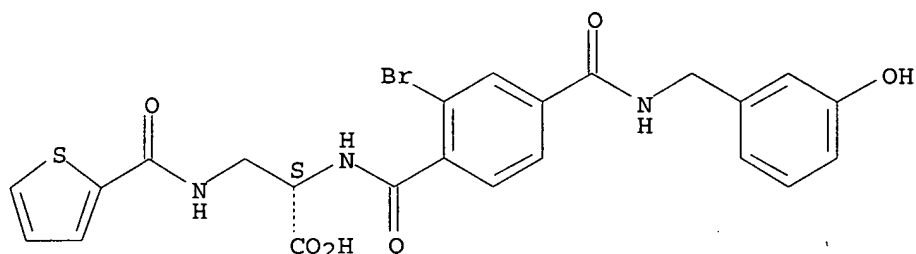
Absolute stereochemistry.



RN 264275-59-4 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

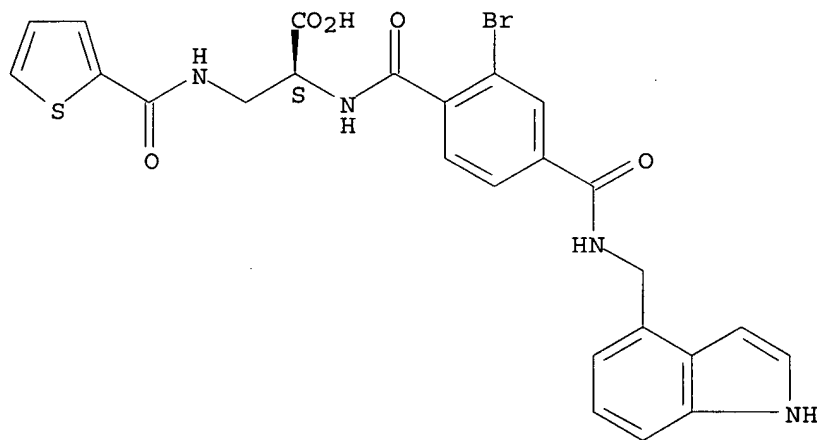
Absolute stereochemistry.



RN 264275-60-7 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

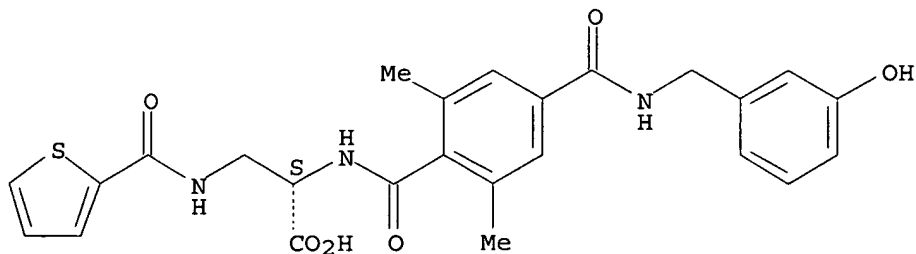
Absolute stereochemistry.



RN 264275-61-8 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

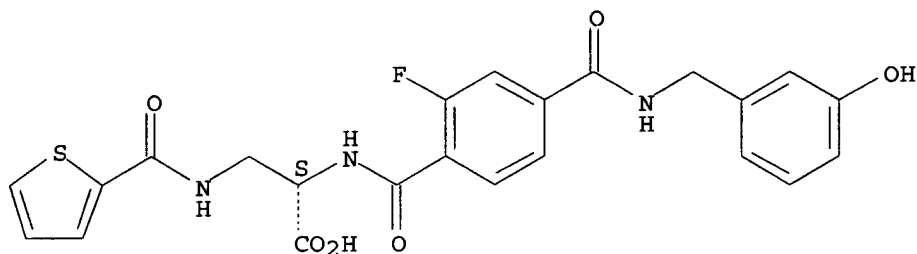
Absolute stereochemistry.



RN 264275-62-9 USPATFULL

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

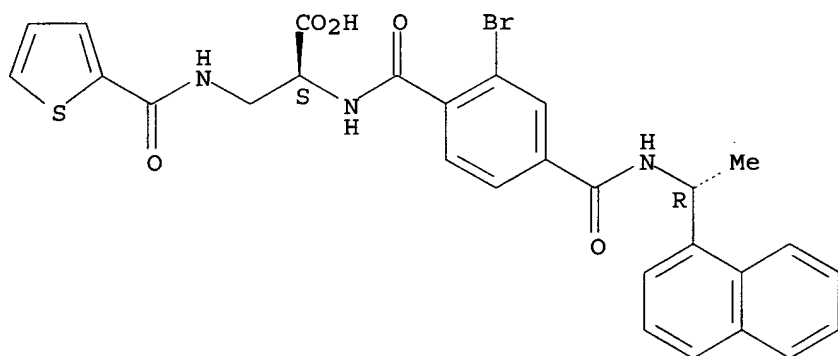
Absolute stereochemistry.



RN 264275-63-0 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

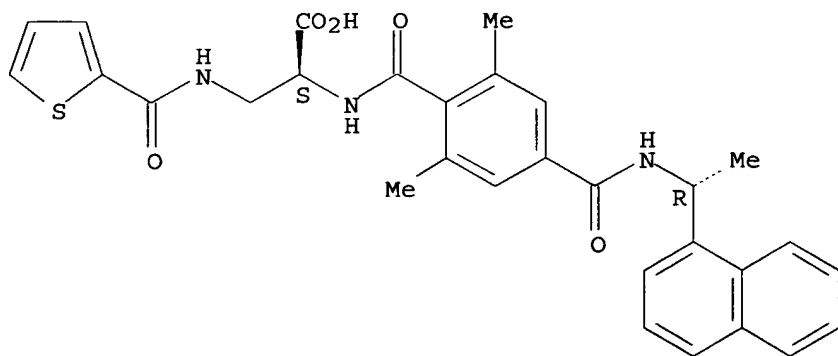
Absolute stereochemistry.



RN 264275-64-1 USPATFULL

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-66-3 USPATFULL

CN L-Alanine, N-[4-[[[(3-aminophenyl)methyl]amino]carbonyl]-2-bromobenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

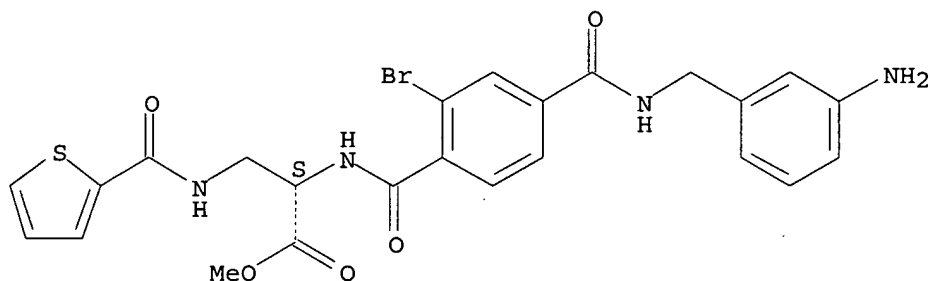


CM 1

CRN 264275-65-2

CMF C24 H23 Br N4 O5 S

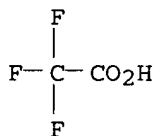
Absolute stereochemistry.



CM 2

CRN 76-05-1

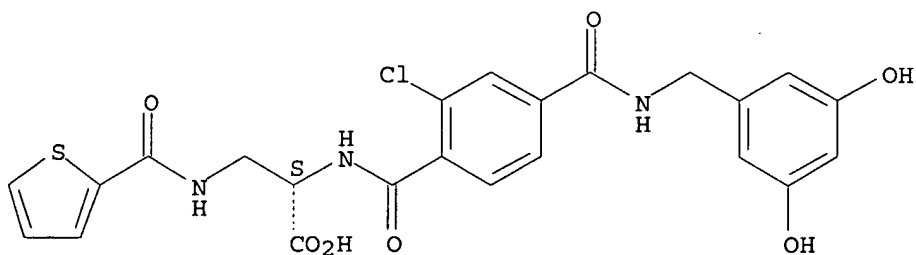
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RN 264275-67-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

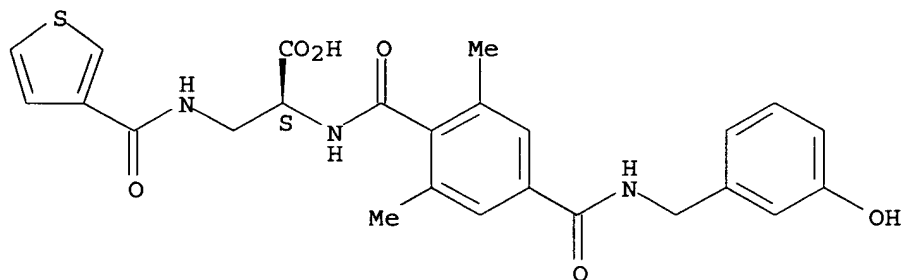
Absolute stereochemistry.



RN 264275-68-5 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

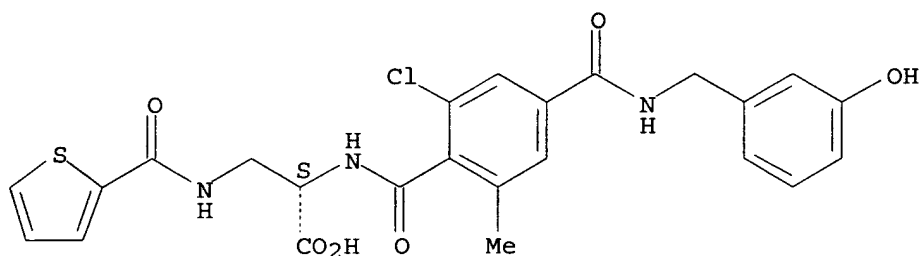
Absolute stereochemistry.



RN 264275-70-9 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

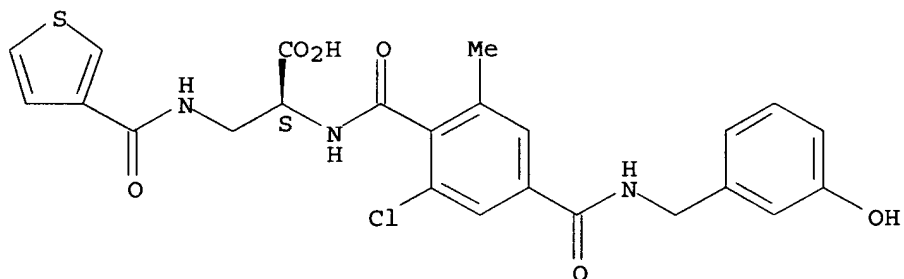
Absolute stereochemistry.



RN 264275-71-0 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

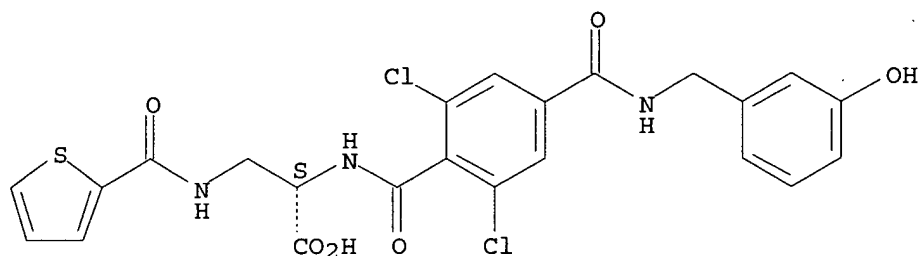
Absolute stereochemistry.



RN 264275-74-3 USPTAFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

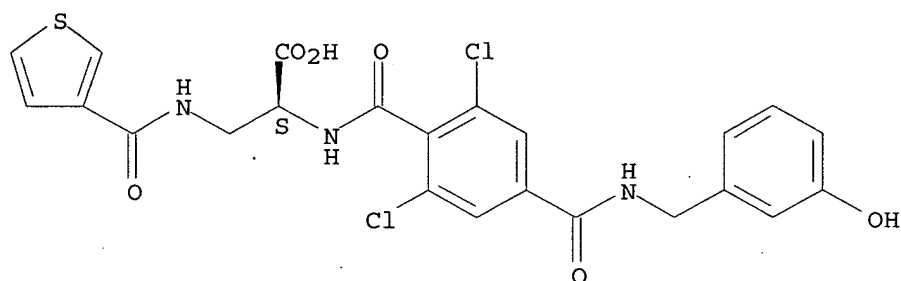
Absolute stereochemistry.



RN 264275-75-4 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

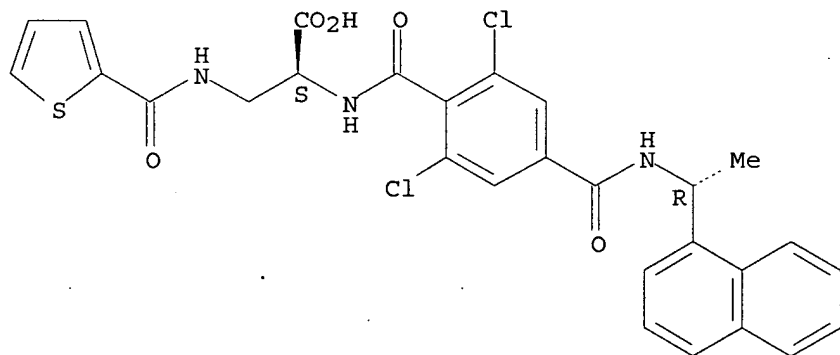
Absolute stereochemistry.



RN 264275-78-7 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

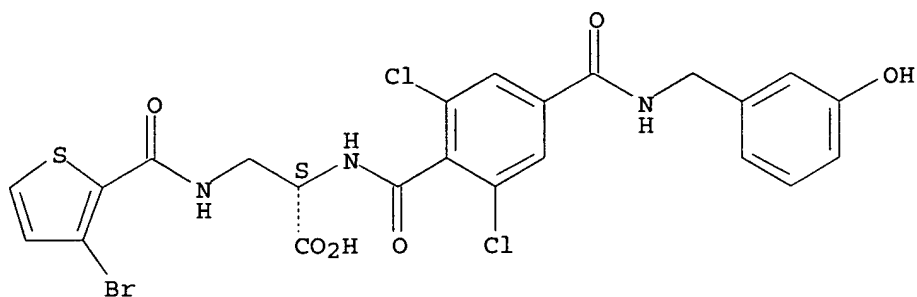
Absolute stereochemistry.



RN 264275-85-6 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]]- (9CI) (CA INDEX NAME)

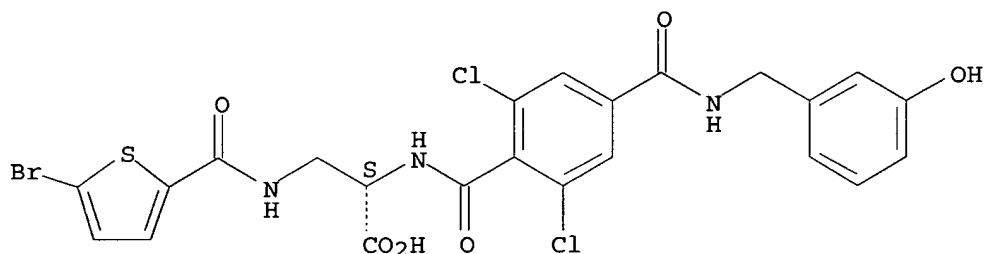
Absolute stereochemistry.



RN 264275-86-7 USPTAFULL

CN L-Alanine, 3-[[[5-bromo-2-thienyl]carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

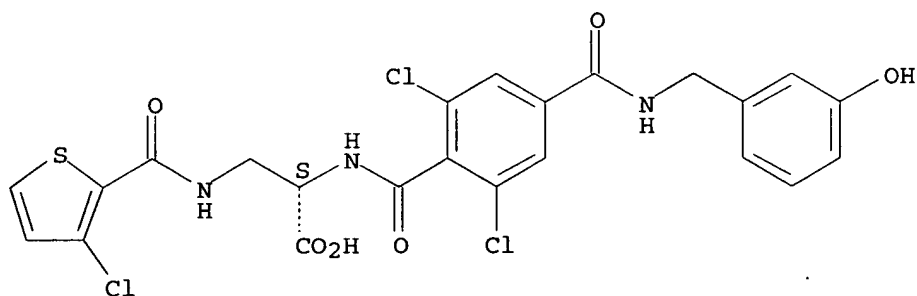
Absolute stereochemistry.



RN 264275-87-8 USPTAFULL

CN L-Alanine, 3-[[[3-chloro-2-thienyl]carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

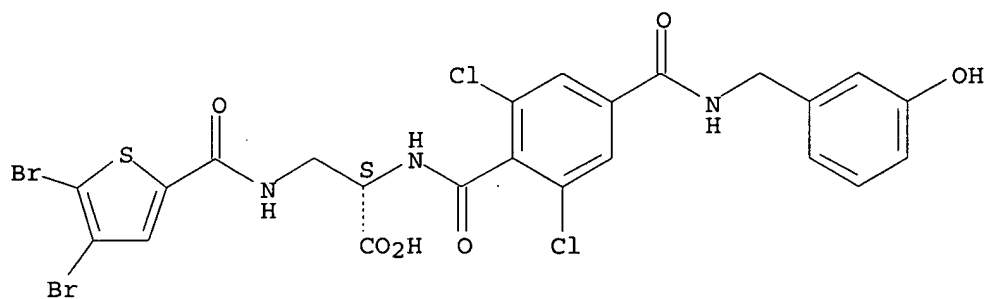
Absolute stereochemistry.



RN 264275-88-9 USPTAFULL

CN L-Alanine, 3-[[[4,5-dibromo-2-thienyl]carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

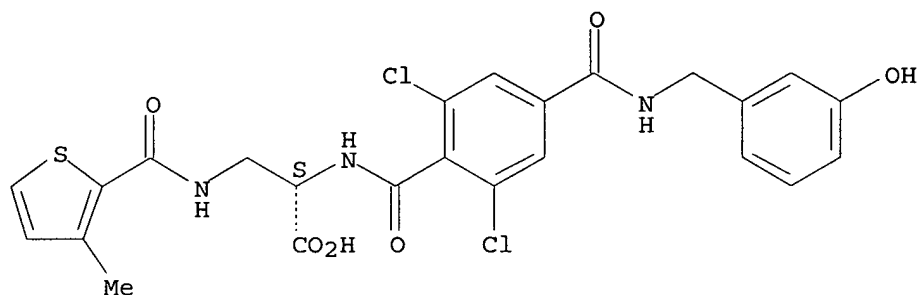
Absolute stereochemistry.



RN 264275-89-0 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

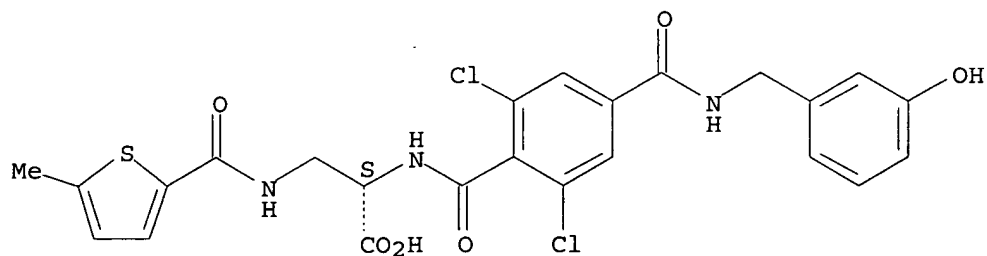
Absolute stereochemistry.



RN 264275-90-3 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 264276-44-0P 264276-49-5P 264276-50-8P  
264276-51-9P 264276-54-2P 264276-55-3P  
264276-56-4P 264276-57-5P 264276-61-1P  
264276-62-2P 264276-63-3P 264276-64-4P  
264276-71-3P

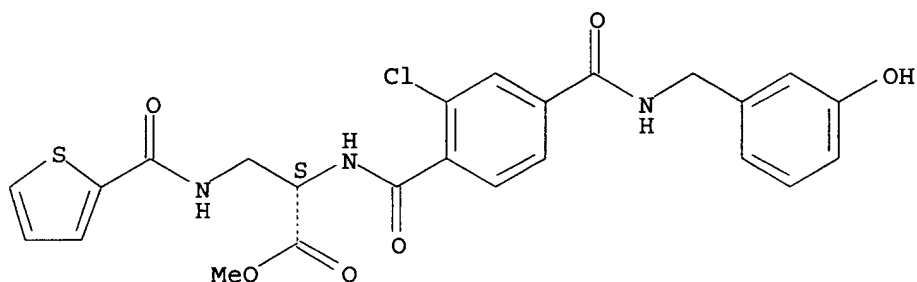
(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264276-44-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl

] -3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

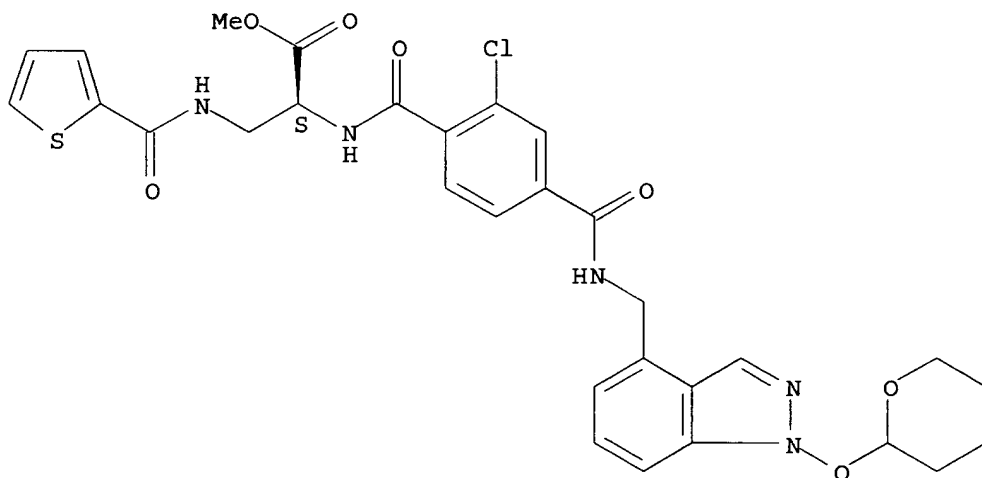
Absolute stereochemistry.



RN 264276-49-5 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

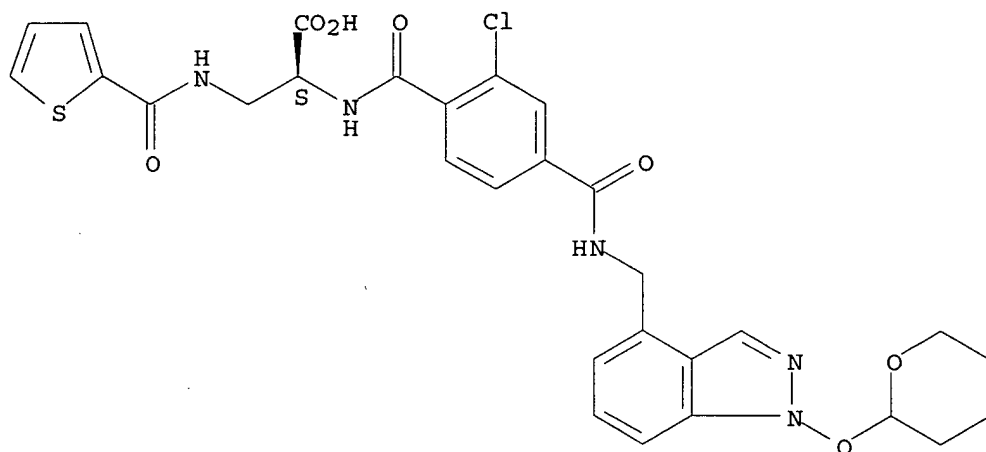
Absolute stereochemistry.



RN 264276-50-8 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

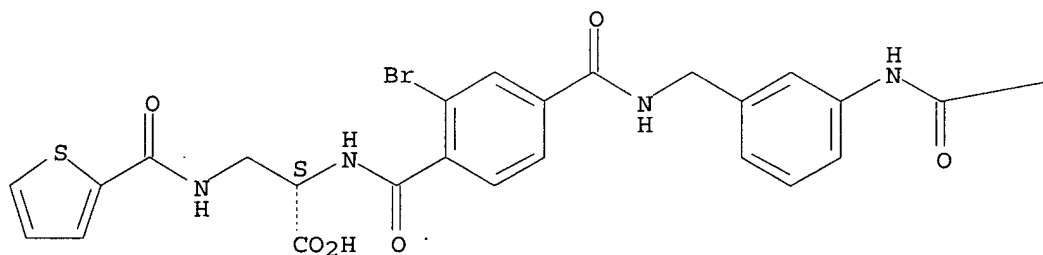


RN 264276-51-9 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[3-[[[1,1-dimethylethoxy]carbonyl]amino]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



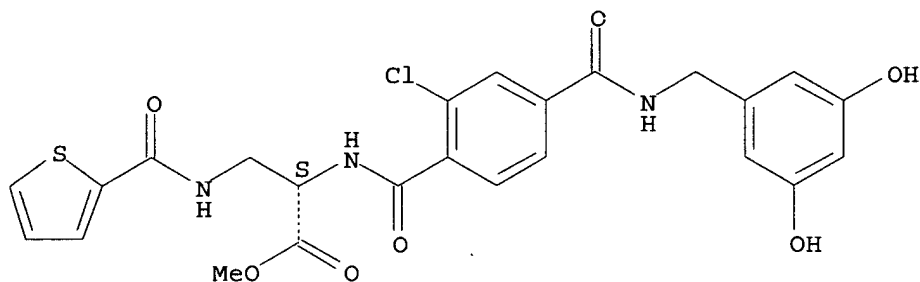
PAGE 1-B

—OBu-t

RN 264276-54-2 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[3-(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

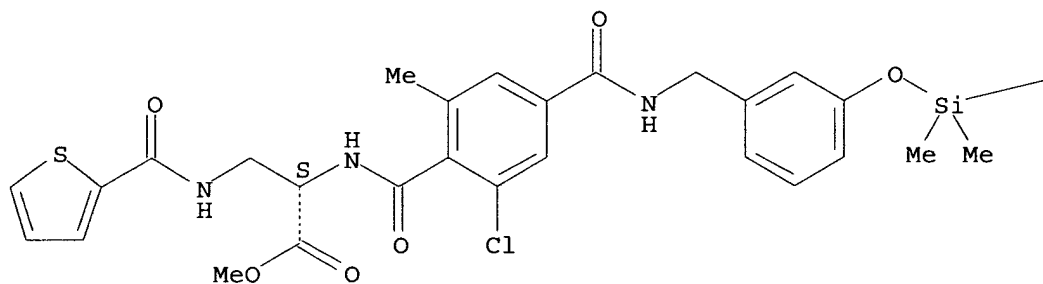


RN 264276-55-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[3-[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

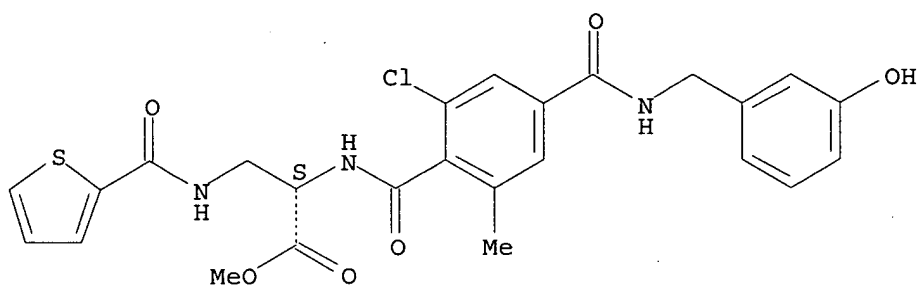
— Bu-t

RN 264276-56-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[3-(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



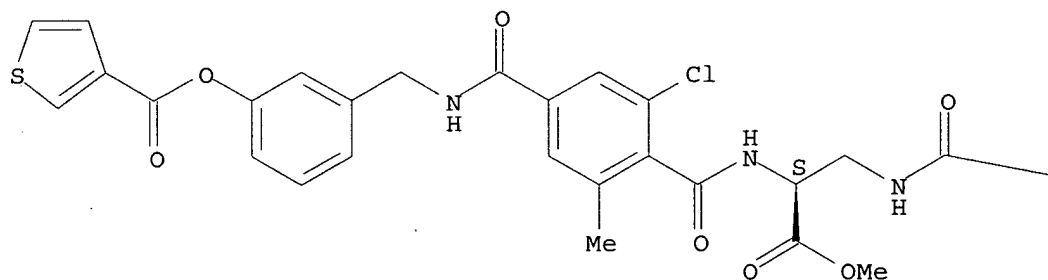


RN 264276-57-5 USPATFULL

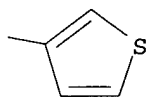
3-Thiophenecarboxylic acid, 3-[[[3-chloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[3-(3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]-5-methylbenzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

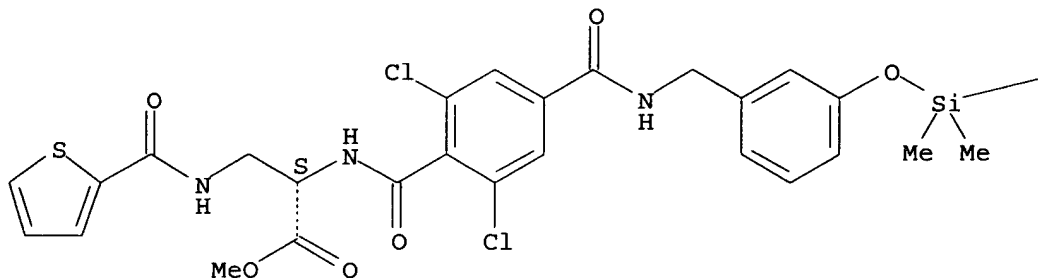


RN 264276-61-1 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[3-[[[1,1-dimethylethyl]dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



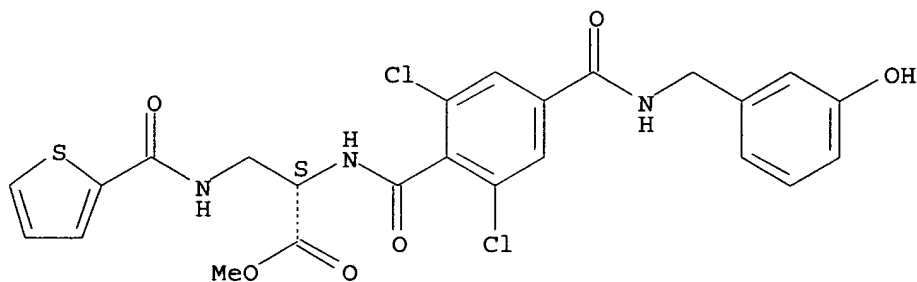
PAGE 1-B

—Bu-t

RN 264276-62-2 USPTFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

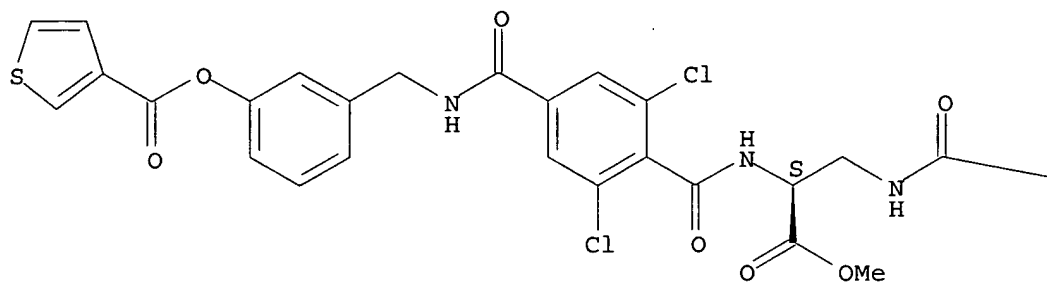


RN 264276-63-3 USPTFULL

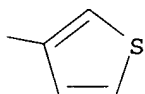
CN 3-Thiophenecarboxylic acid, 3-[[[3,5-dichloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[[(3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]benzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

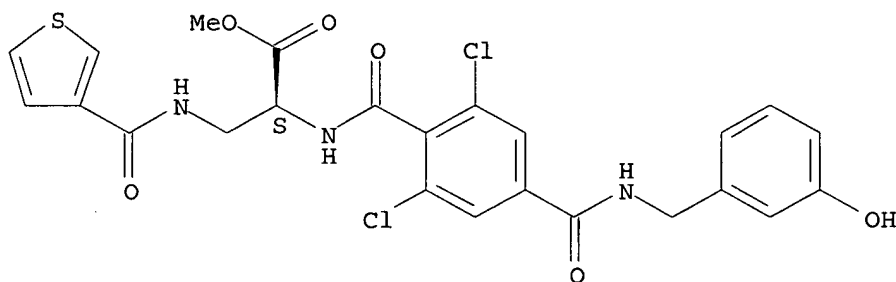


PAGE 1-B



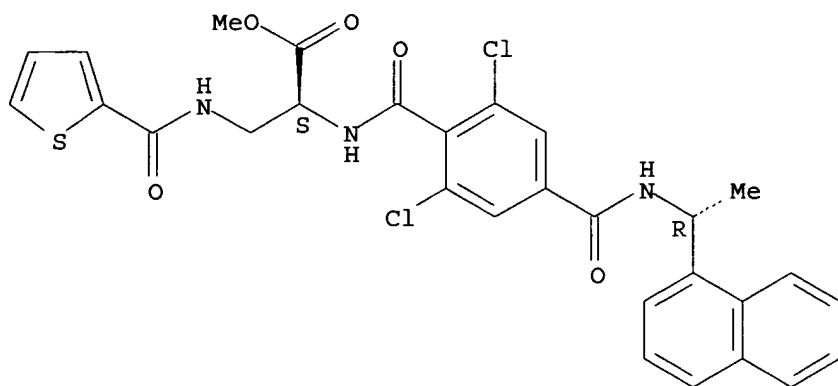
RN 264276-64-4 USPATFULL  
CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264276-71-3 USPATFULL  
CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 7 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2000:26707 USPATFULL

TITLE: Apparatus for reducing the axle load of a multi-axle movable telescopic crane

INVENTOR(S): Irsch, Michael, Lebach, Germany, Federal Republic of

PATENT ASSIGNEE(S): Mannesmann AG, Dusseldorf, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6032809		20000307	
APPLICATION INFO.:	US 1998-79732		19980515	(9) <--

	NUMBER	DATE	
PRIORITY INFORMATION:	DE 1997-19721865	19970516	<--
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Brahan, Thomas J.		
LEGAL REPRESENTATIVE:	Cohen, Pontani, Lieberman & Pavane		
NUMBER OF CLAIMS:	12		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	13 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	353		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An apparatus for reducing the axle load of a multi-axle movable crane which includes a truck; a superstructure rotatably mounted on the truck; a main jib including a basic jib and at least one telescopic section, the basic jib having a plurality of rollers fastened in operative connection, the main jib being releasably fastened to the superstructure; and a semitrailer having a front end and a back end with a loading area, a first ramp-like beam and a second ramp-like beam arranged in a longitudinal direction of the semitrailer in the loading area so as to be parallel to and at a distance from one another, each ramp-like beam having a top edge and a contoured runway disposed along the top edge, the rollers being configured to the contour of the runway and operable to transport the main jib from the superstructure to the semitrailer.

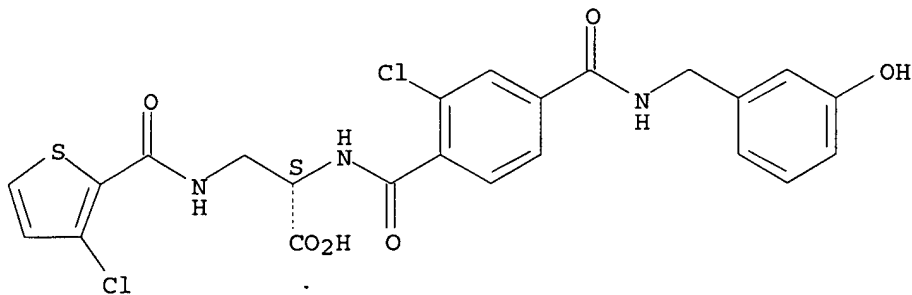
IT 245463-46-1P 245463-49-4P 245463-52-9P  
245463-53-0P 245463-54-1P 245463-55-2P

(peptidomimetic antagonists for treatment of CD11/CD18 adhesion receptor-mediated disorders)

RN 245463-46-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

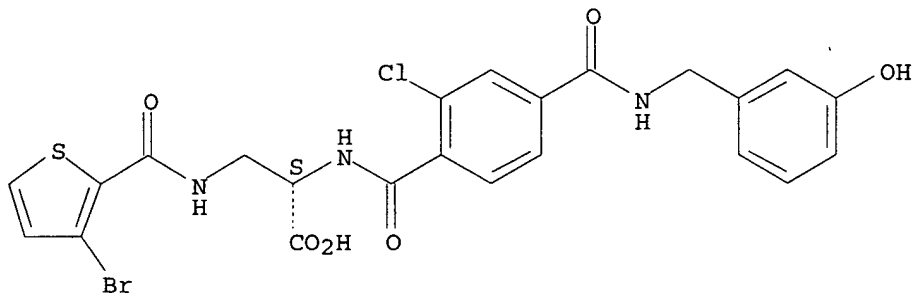
Absolute stereochemistry.



RN 245463-49-4 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-(9CI) (CA INDEX NAME)

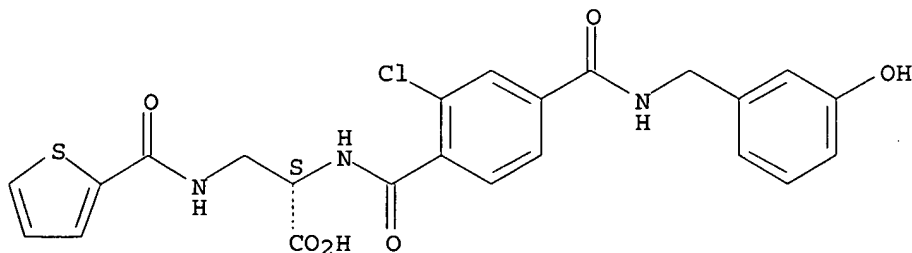
Absolute stereochemistry.



RN 245463-52-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

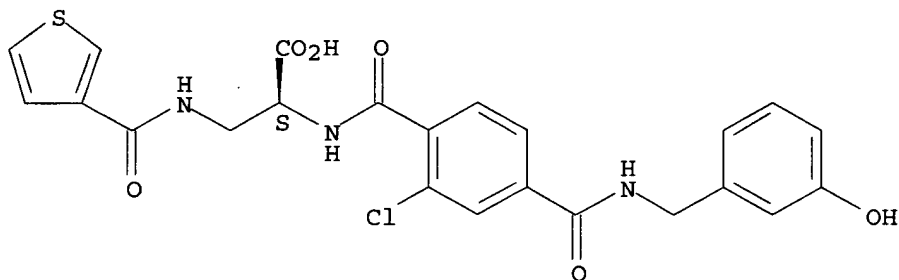


RN 245463-53-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl

] -3-[(3-thienylcarbonyl)amino] - (9CI) (CA INDEX NAME)

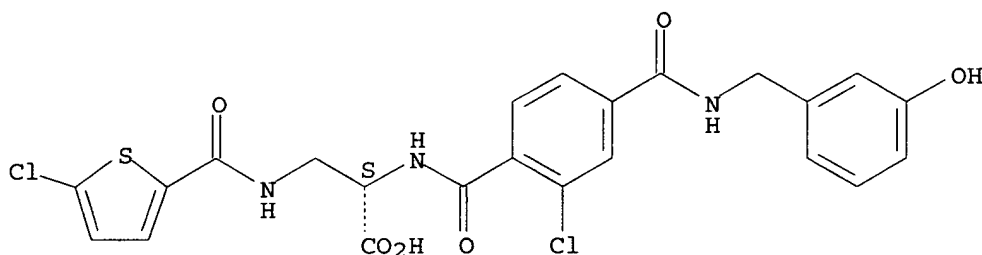
Absolute stereochemistry.



RN 245463-54-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[[[(5-chloro-2-thienyl)carbonyl]amino] - (9CI) (CA INDEX NAME)

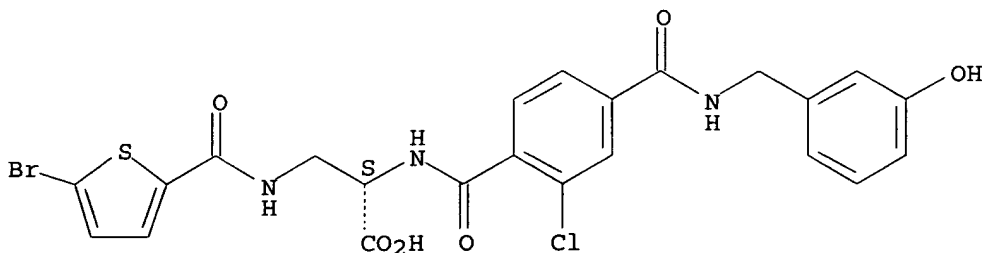
Absolute stereochemistry.



RN 245463-55-2 USPATFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 8 OF 8 USPAT2 on STN

ACCESSION NUMBER: 2004:7996 USPAT2

TITLE: Diaminopropionic acid derivatives

INVENTOR(S): Fotouhi, Nader, Chatham, NJ, United States

Gillespie, Paul, Westfield, NJ, United States

Guthrie, Robert William, Saddle Brook, NJ, United

States  
 Pietranico-Cole, Sherrie Lynn, Nutley, NJ, United  
 States  
 Yun, Weiya, Warren, NJ, United States  
 PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S.  
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6803384	B2	20041012
APPLICATION INFO.:	US 2003-349289		20030122 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-879700, filed on 12 Jun 2001, now abandoned Division of Ser. No. US 1999-407534, filed on 29 Sep 1999, now patented, Pat. No. US 6331640		

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1998-104120P	19981013 (60)	<--
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Stockton, Laura L.		
LEGAL REPRESENTATIVE:	Johnston, George W., Tramaloni, Dennis P., Ebel, Eileen M.		
NUMBER OF CLAIMS:	44		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	7285		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
AB	A compound of formula Id ##STR1##		

and pharmaceutically acceptable salts and esters thereof, wherein the substituent designations are as provided in the specification. Compounds of the invention are useful for treating reperfusion injury.

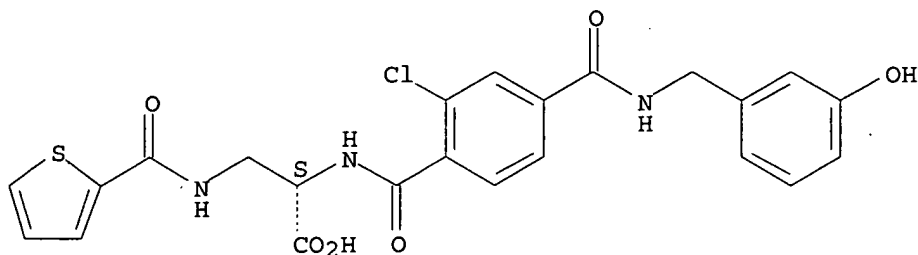
IT 245463-52-9P 264275-37-8P 264275-38-9P  
264275-39-0P 264275-40-3P 264275-41-4P  
264275-42-5P 264275-43-6P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-52-9 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

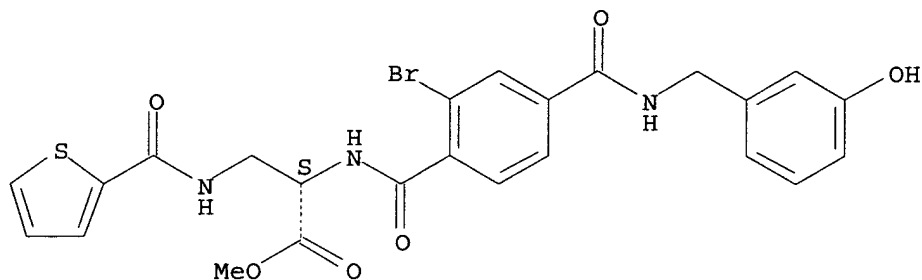
Absolute stereochemistry.



RN 264275-37-8 USPAT2

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

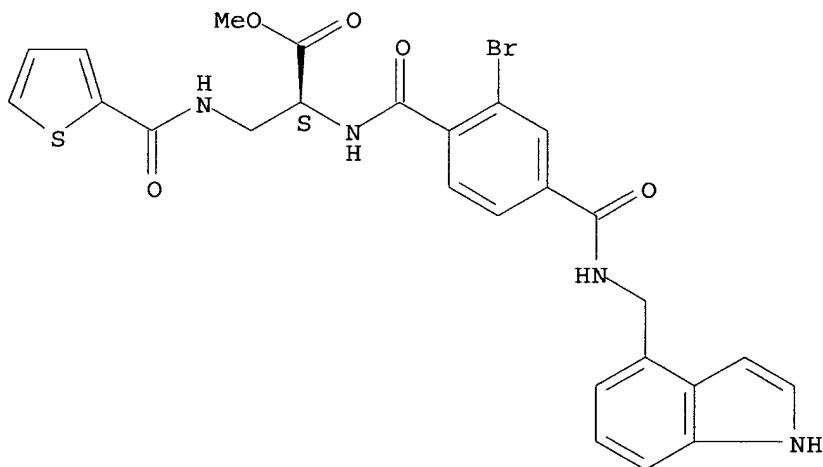
Absolute stereochemistry.



RN 264275-38-9 USPAT2

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

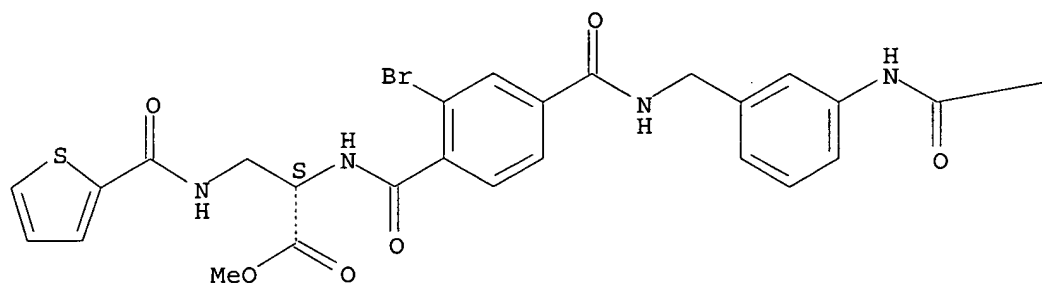


RN 264275-39-0 USPAT2

CN L-Alanine, N-[2-bromo-4-[[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.





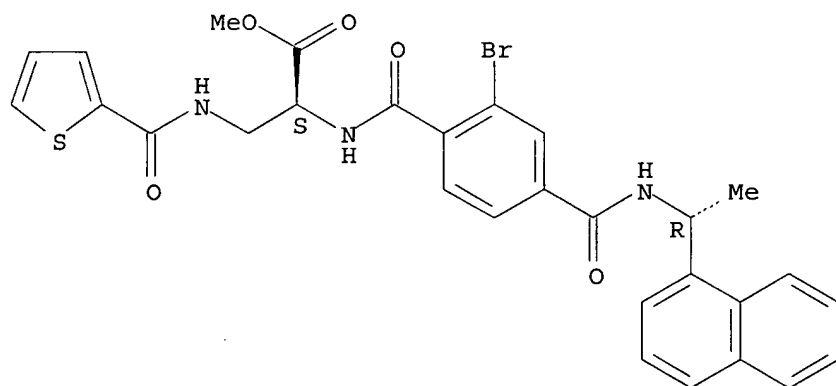
PAGE 1-B

—OBu-t

RN 264275-40-3 USPAT2

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

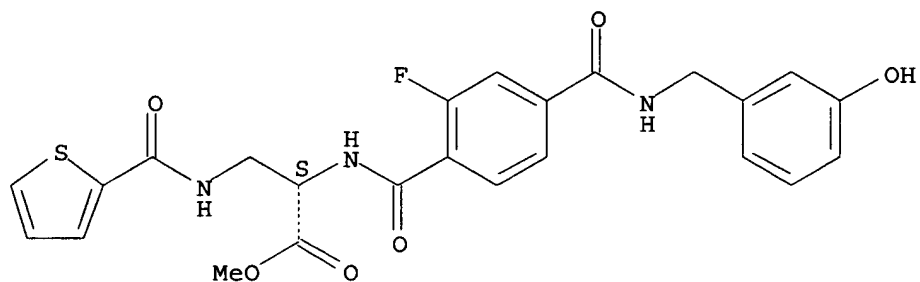
Absolute stereochemistry.



RN 264275-41-4 USPAT2

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

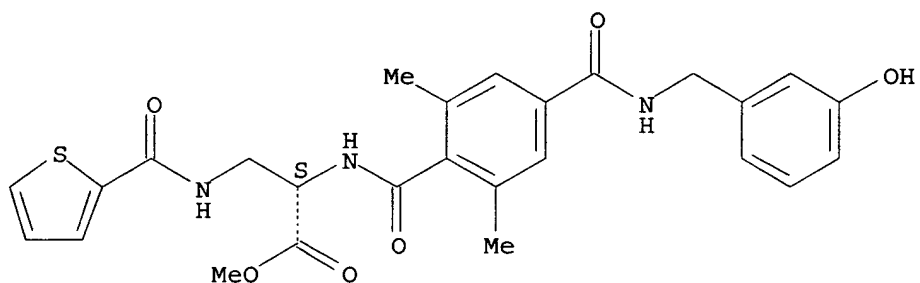
Absolute stereochemistry.



RN 264275-42-5 USPAT2

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

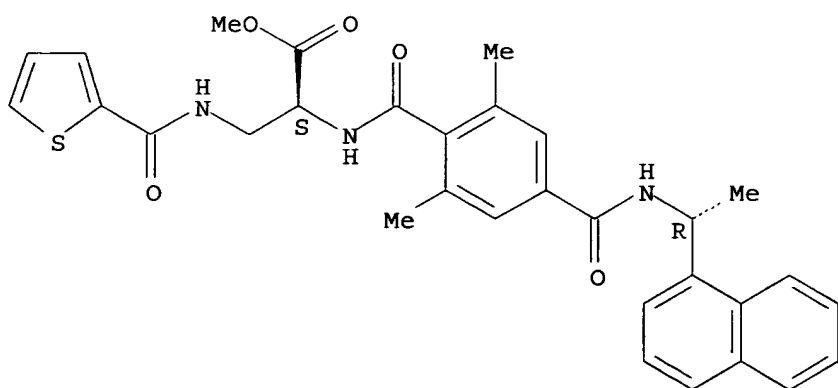
Absolute stereochemistry.



RN 264275-43-6 USPAT2

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 245463-46-1P 245463-49-4P 245463-53-0P

245463-54-1P 245463-55-2P 264273-97-4P

264273-98-5P 264273-99-6P 264274-93-3P

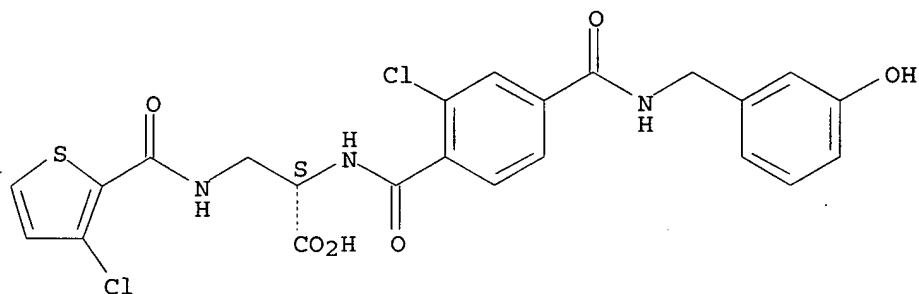
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 264275-61-8P 264275-62-9P 264275-63-0P  
 264275-64-1P 264275-66-3P 264275-67-4P  
 264275-68-5P 264275-70-9P 264275-71-0P  
 264275-74-3P 264275-75-4P 264275-78-7P  
 264275-85-6P 264275-86-7P 264275-87-8P  
 264275-88-9P 264275-89-0P 264275-90-3P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-46-1 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

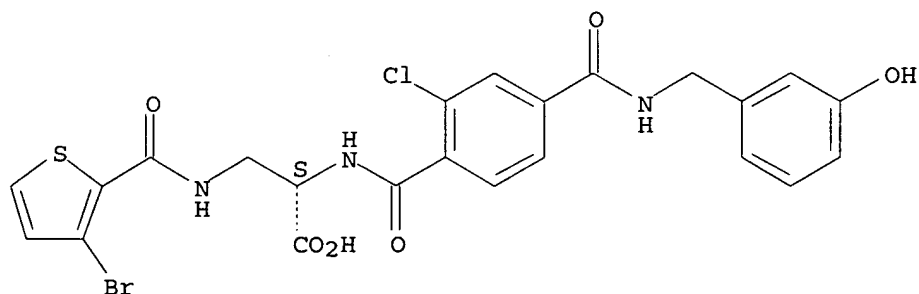
Absolute stereochemistry.



RN 245463-49-4 USPAT2

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

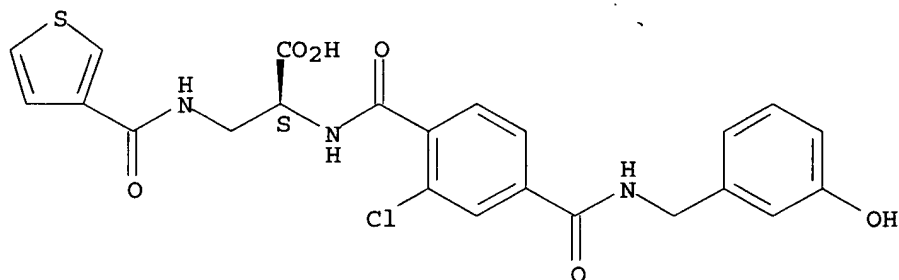
Absolute stereochemistry.



RN 245463-53-0 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

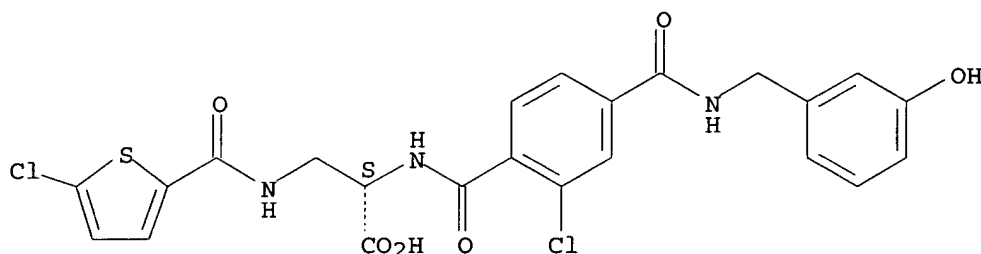
Absolute stereochemistry.



RN 245463-54-1 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

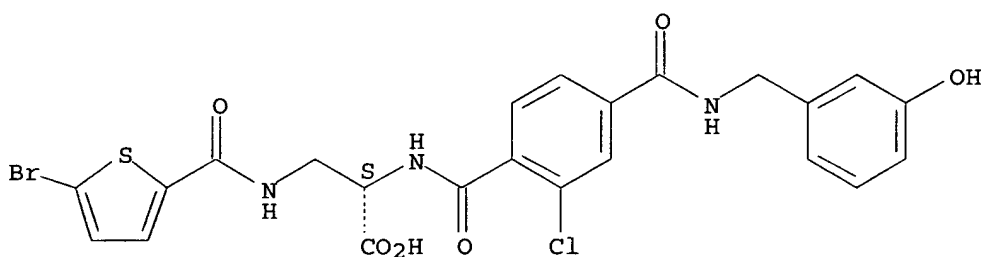
Absolute stereochemistry.



RN 245463-55-2 USPAT2

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

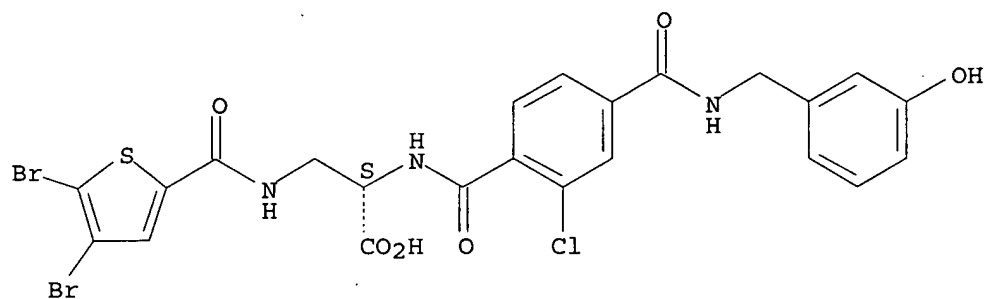
Absolute stereochemistry.



RN 264273-97-4 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

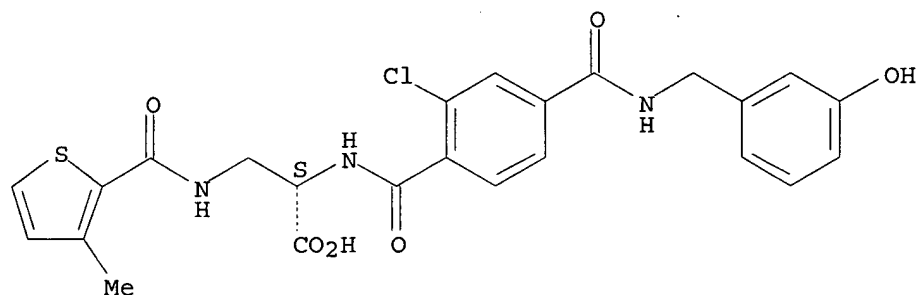
Absolute stereochemistry.



RN 264273-98-5 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

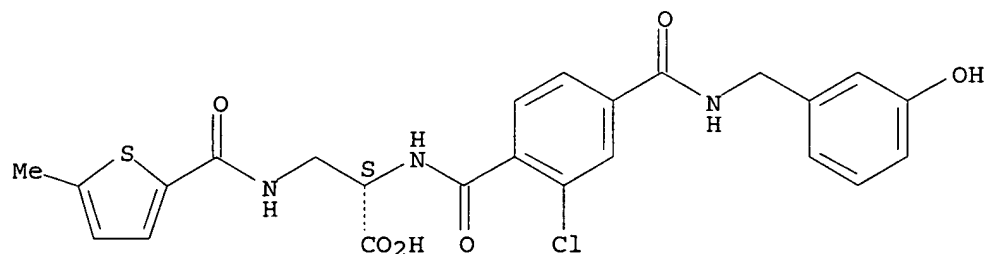
Absolute stereochemistry.



RN 264273-99-6 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

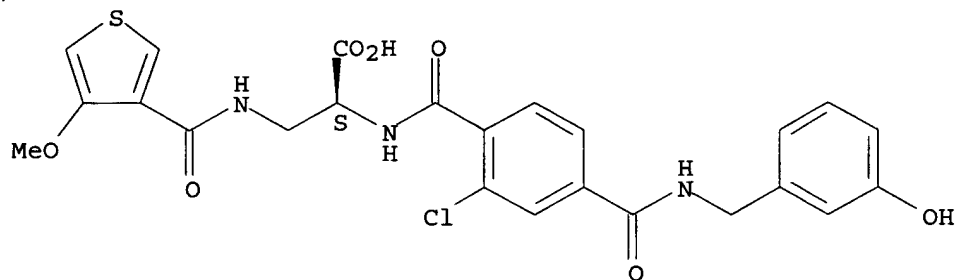
Absolute stereochemistry.



RN 264274-93-3 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl  
]-3-[[[(4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

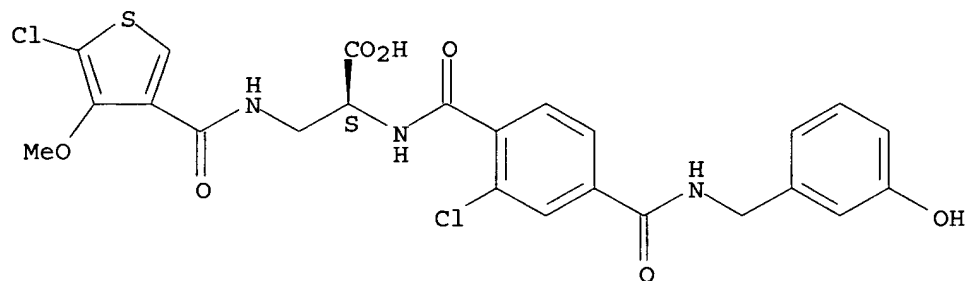
Absolute stereochemistry.



RN 264274-94-4 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

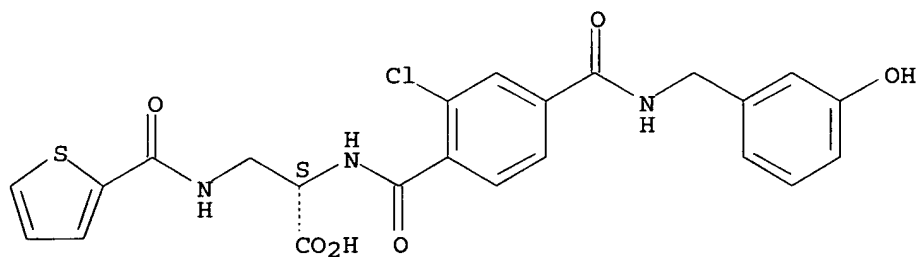
Absolute stereochemistry.



RN 264275-36-7 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

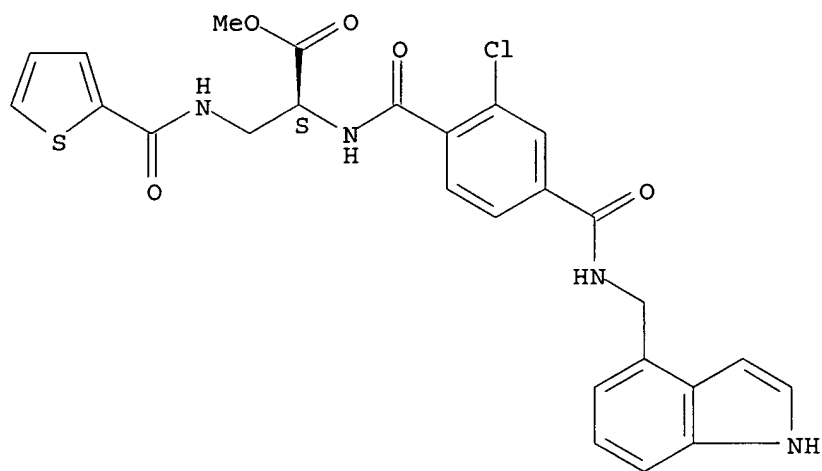


● Na

RN 264275-46-9 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

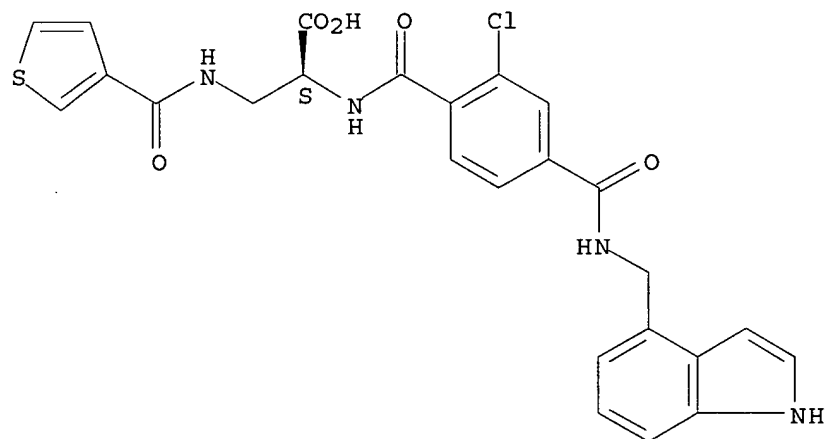
Absolute stereochemistry.



RN 264275-47-0 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

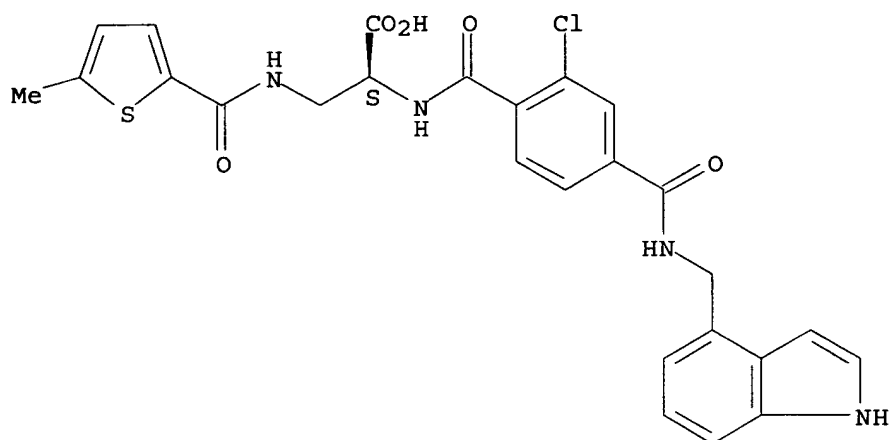
Absolute stereochemistry.



RN 264275-48-1 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

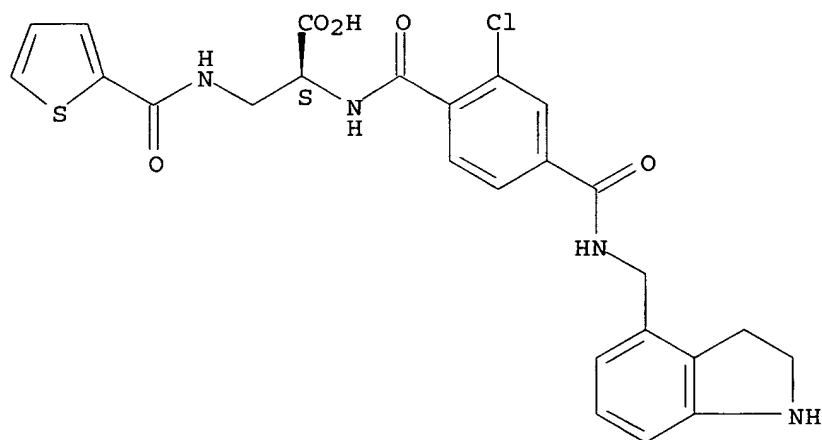
Absolute stereochemistry.



RN 264275-49-2 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(2,3-dihydro-1H-indol-4-yl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

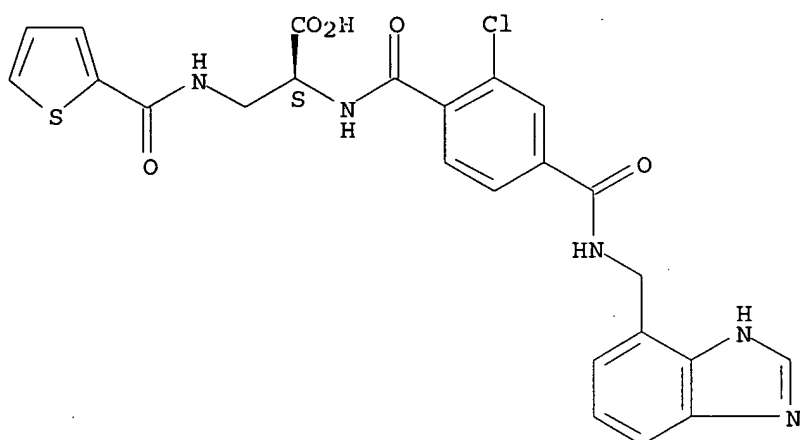


RN 264275-53-8 USPAT2

CN L-Alanine, N-[4-[[[(1H-benzimidazol-4-ylmethyl)amino]carbonyl]-2-chlorobenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

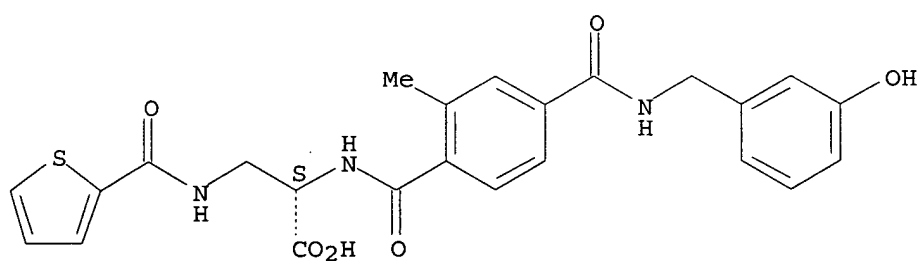




RN 264275-54-9 USPAT2

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

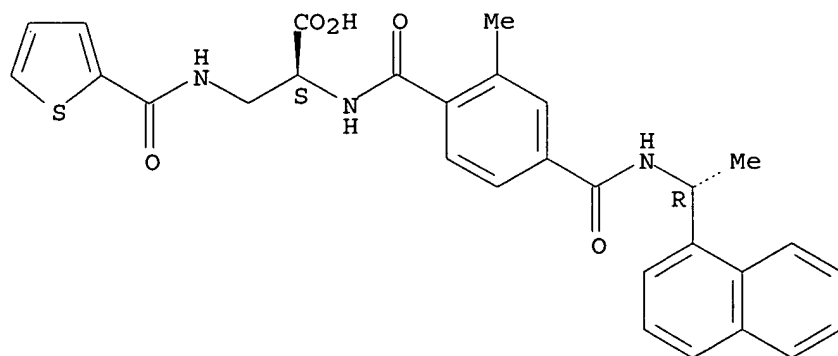
Absolute stereochemistry.



RN 264275-56-1 USPAT2

CN L-Alanine, N-[2-methyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

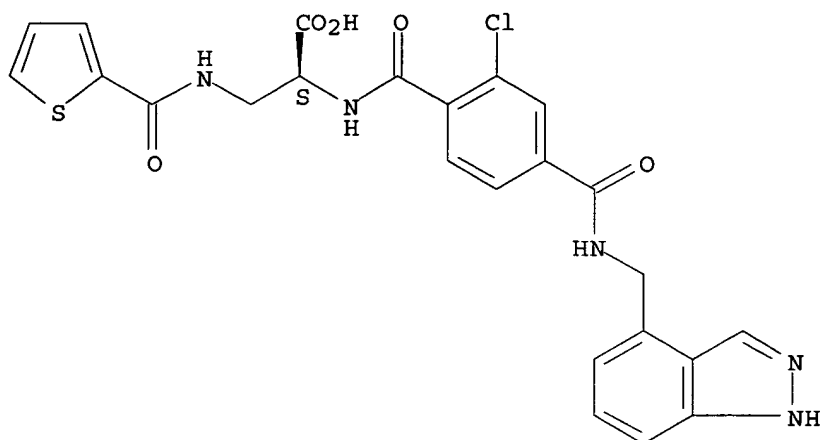


RN 264275-58-3 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(1H-indazol-4-ylmethyl)amino]carbonyl]benzoyl]-

3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

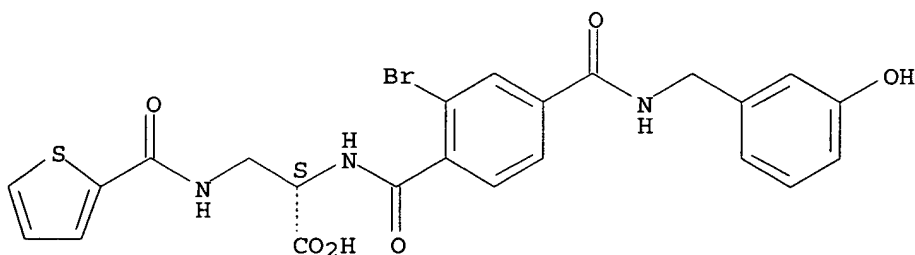
Absolute stereochemistry.



RN 264275-59-4 USPAT2

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

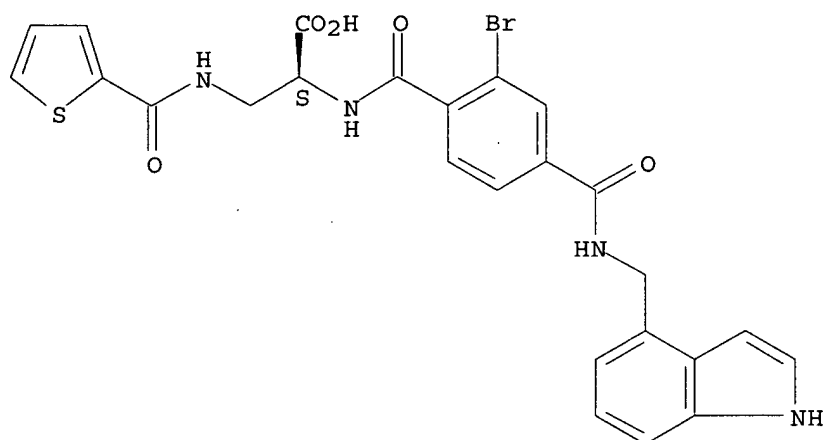
Absolute stereochemistry.



RN 264275-60-7 USPAT2

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

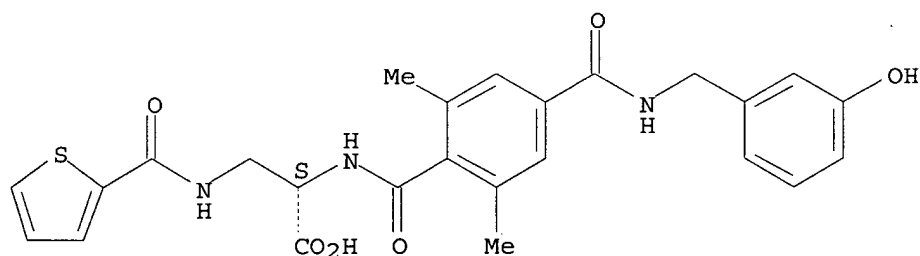
Absolute stereochemistry.



RN 264275-61-8 USPAT2

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

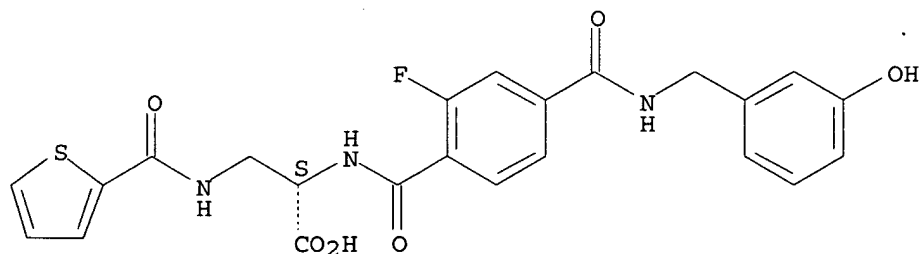
Absolute stereochemistry.



RN 264275-62-9 USPAT2

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

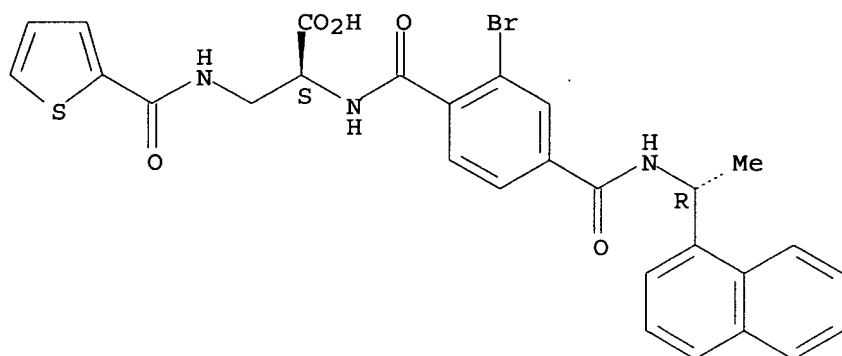
Absolute stereochemistry.



RN 264275-63-0 USPAT2

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

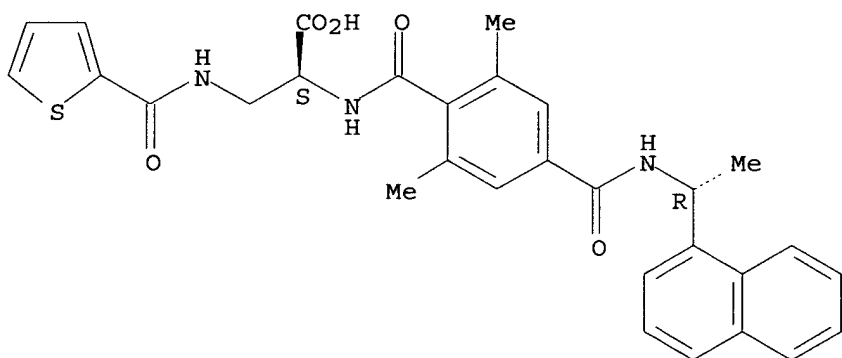
Absolute stereochemistry.



RN 264275-64-1 USPAT2

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-66-3 USPAT2

CN L-Alanine, N-[4-[[[(3-aminophenyl)methyl]amino]carbonyl]-2-bromobenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

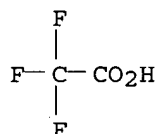
CM 1

CRN 264275-65-2

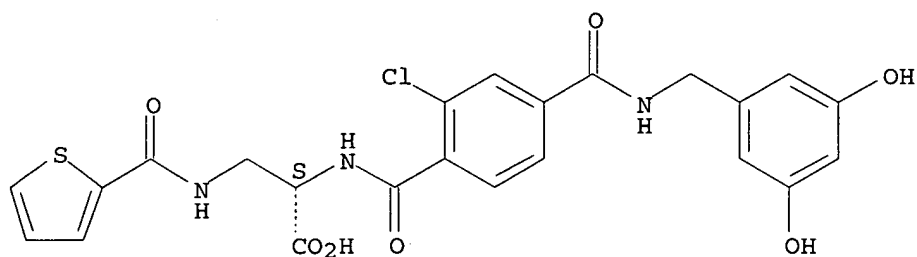
CMF C24 H23 Br N4 O5 S

Absolute stereochemistry.

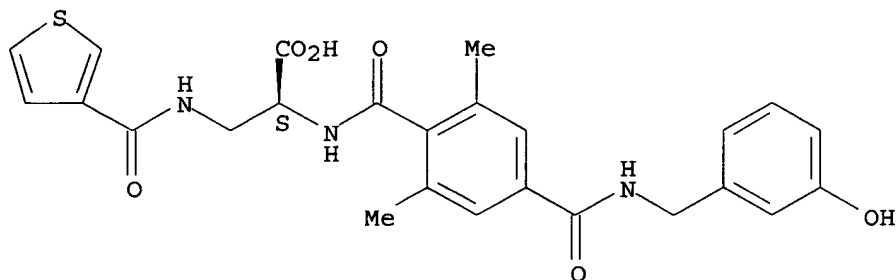
CRN 76-05-1  
CMF C2 H F3 O2



Absolute stereochemistry.



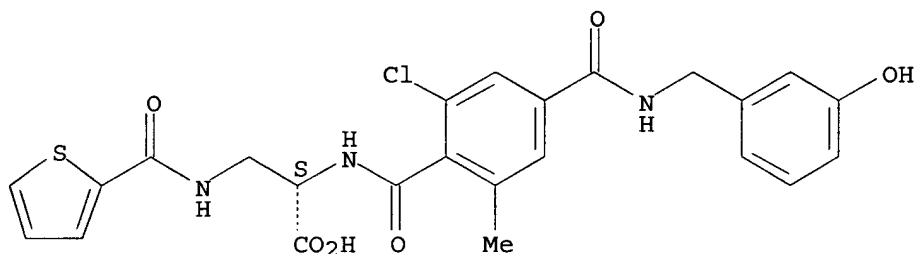
Absolute stereochemistry.



RN 264275-70-9 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

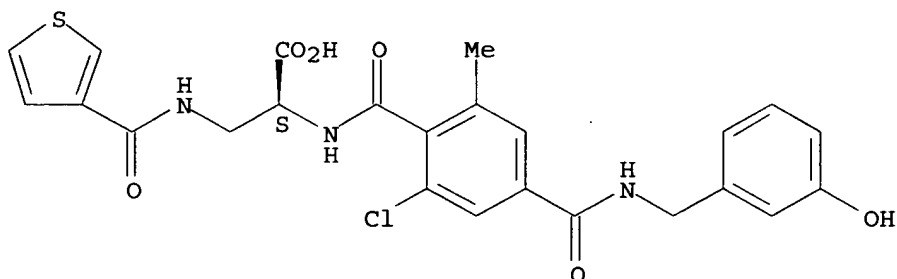
Absolute stereochemistry.



RN 264275-71-0 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

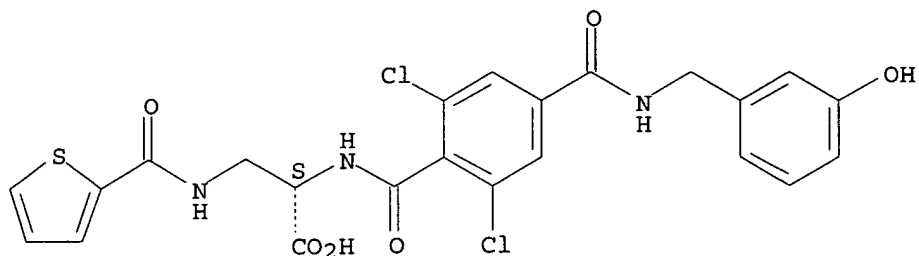
Absolute stereochemistry.



RN 264275-74-3 USPAT2

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

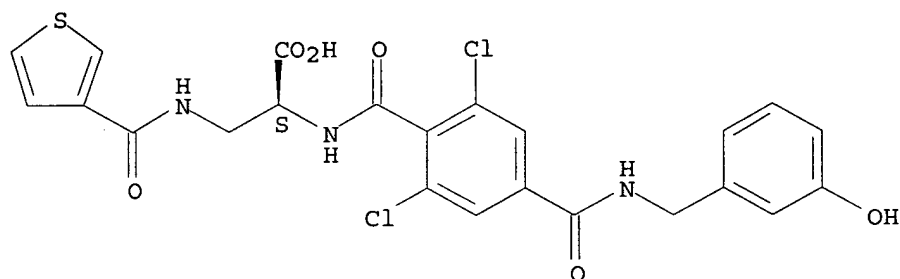
Absolute stereochemistry.



RN 264275-75-4 USPAT2

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

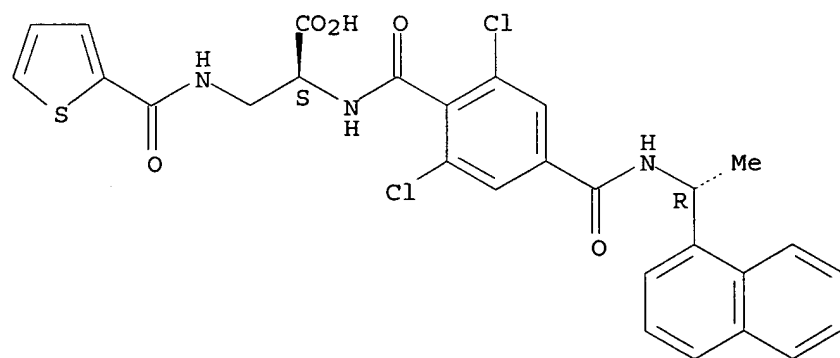
Absolute stereochemistry.



RN 264275-78-7 USPAT2

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

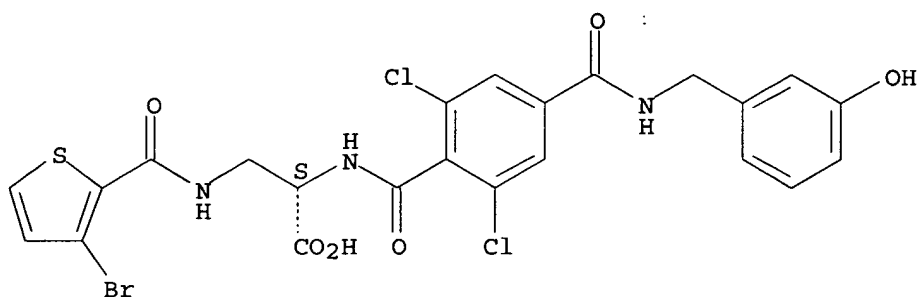
Absolute stereochemistry.



RN 264275-85-6 USPAT2

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]]- (9CI) (CA INDEX NAME)

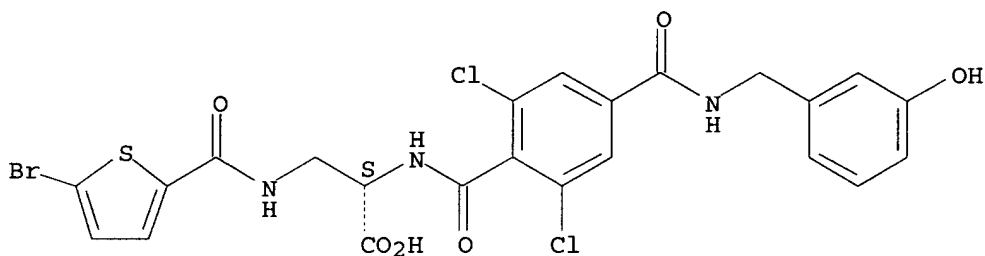
Absolute stereochemistry.



RN 264275-86-7 USPAT2

CN L-Alanine, 3-[[[5-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

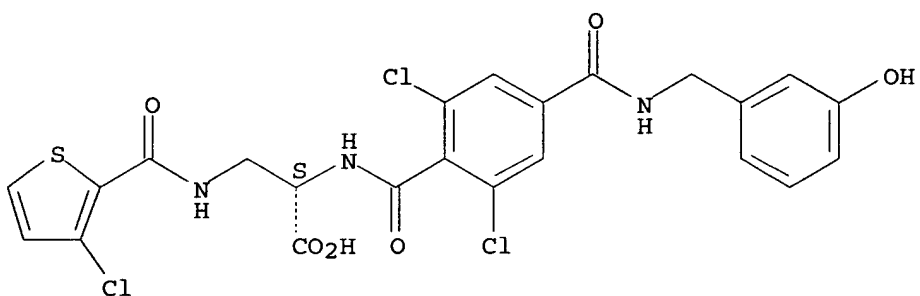
Absolute stereochemistry.



RN 264275-87-8 USPAT2

CN L-Alanine, 3-[[[3-chloro-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



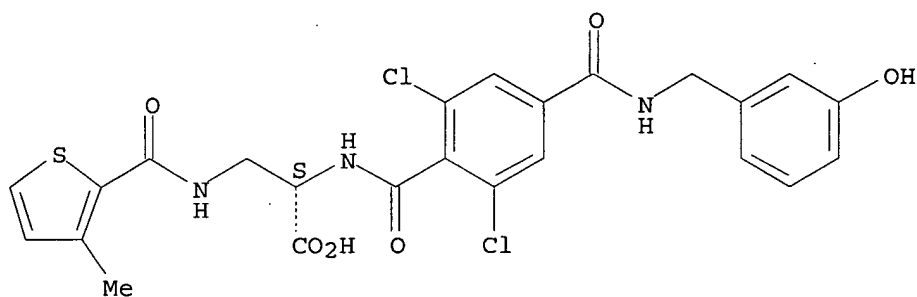
RN 264275-88-9 USPAT2

CN L-Alanine, 3-[[[4,5-dibromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

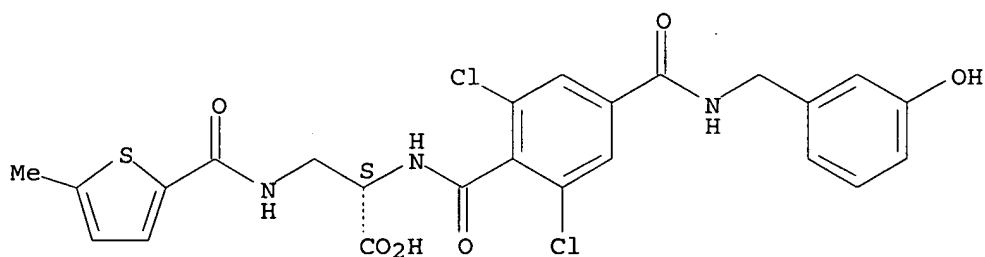
Absolute stereochemistry.



Absolute stereochemistry.



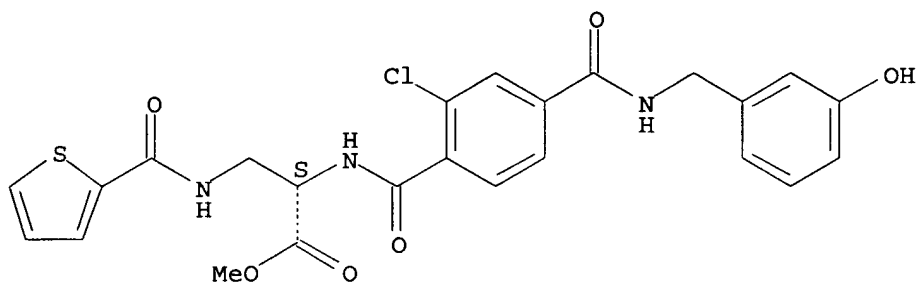
Absolute stereochemistry.



CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl

] -3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

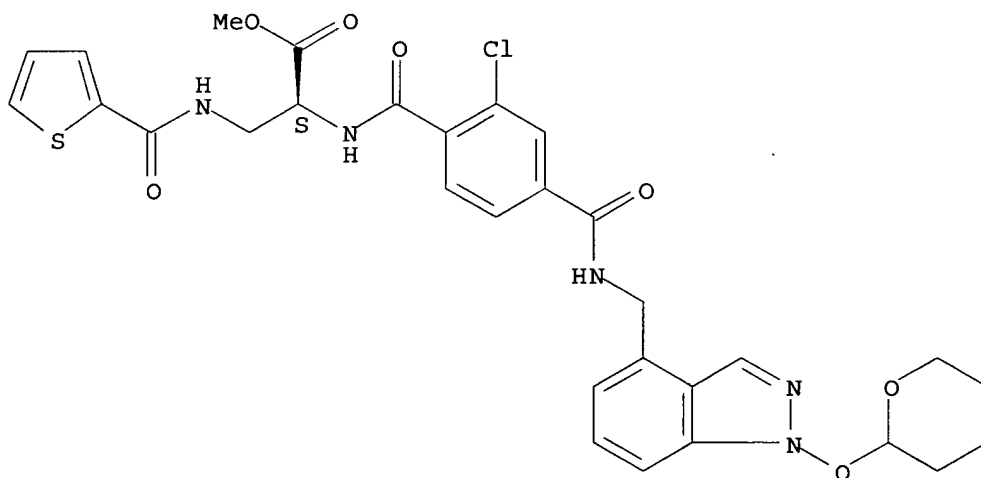
Absolute stereochemistry.



RN 264276-49-5 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

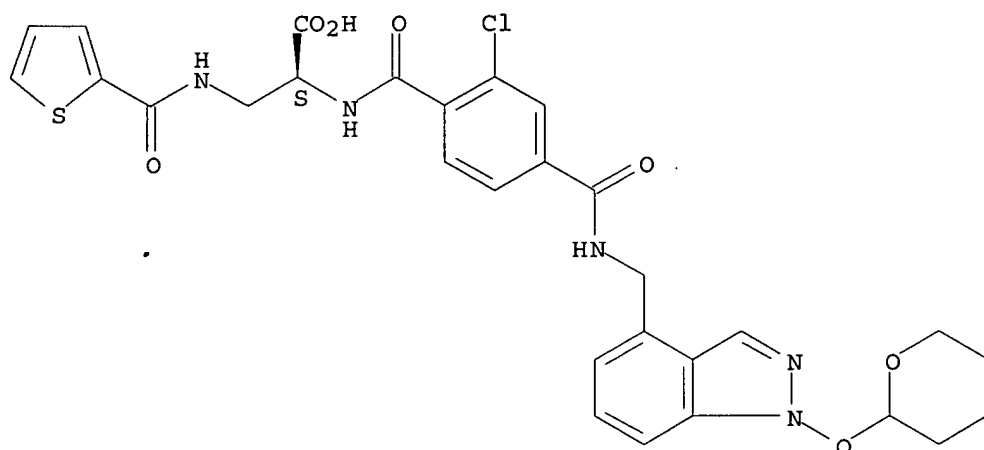
Absolute stereochemistry.



RN 264276-50-8 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

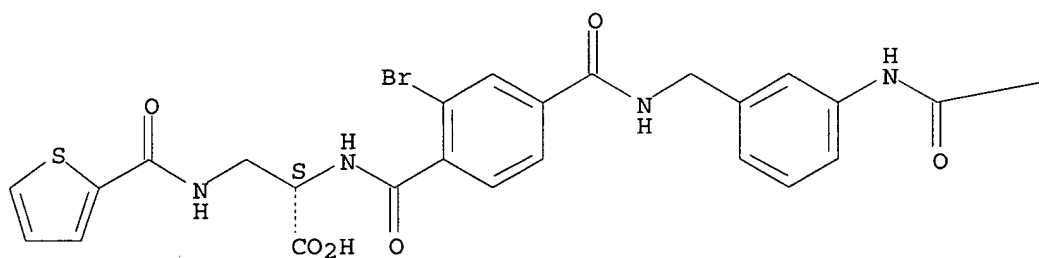
Absolute stereochemistry.



RN 264276-51-9 USPAT2  
 CN L-Alanine, N-[2-bromo-4-[[[(3-[(1,1-dimethylethoxy)carbonyl]amino)phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

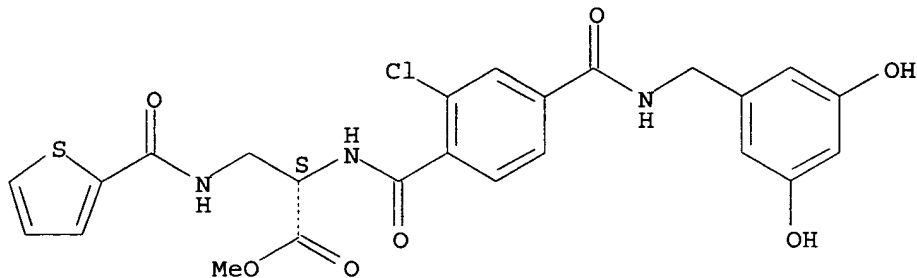


PAGE 1-B

—OBu-t

RN 264276-54-2 USPAT2  
 CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

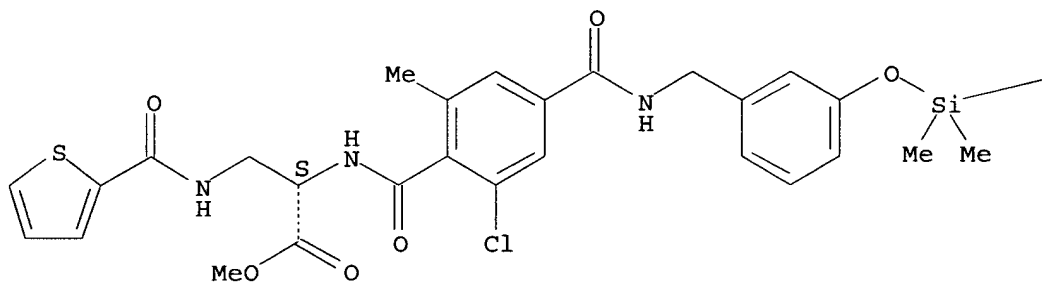


RN 264276-55-3 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[3-[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



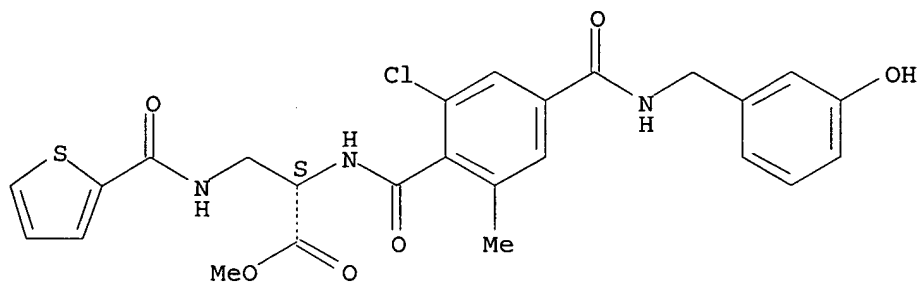
PAGE 1-B

—Bu-t

RN 264276-56-4 USPAT2

CN L-Alanine, N-[2-chloro-4-[[[3-(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

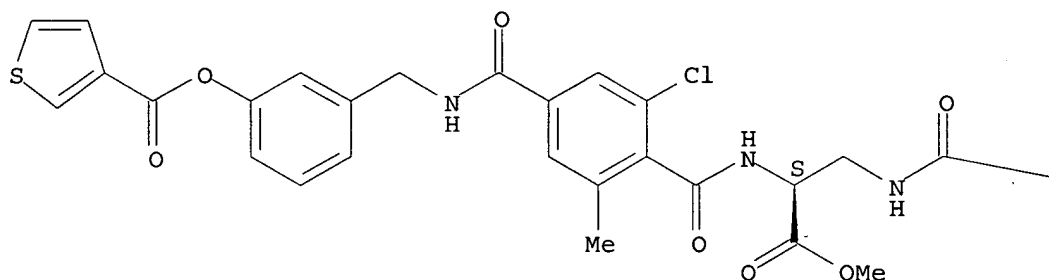


RN 264276-57-5 USPAT2

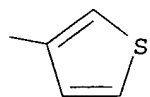
CN 3-Thiophenecarboxylic acid, 3-[[[3-chloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]-5-methylbenzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

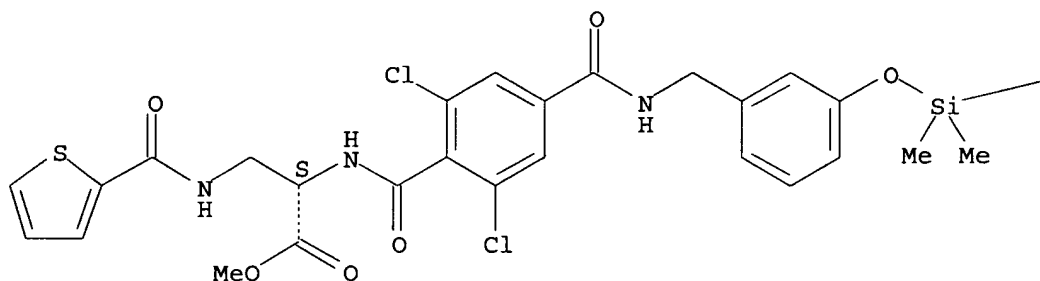


RN 264276-61-1 USPAT2

CN L-Alanine, N-[2,6-dichloro-4-[[[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



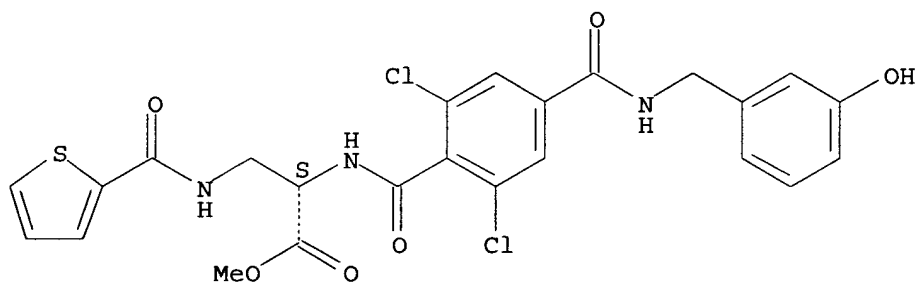
PAGE 1-B

—Bu-t

RN 264276-62-2 USPAT2

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264276-63-3 USPAT2

CN 3-Thiophenecarboxylic acid, 3-[[[3,5-dichloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[[(3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]benzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

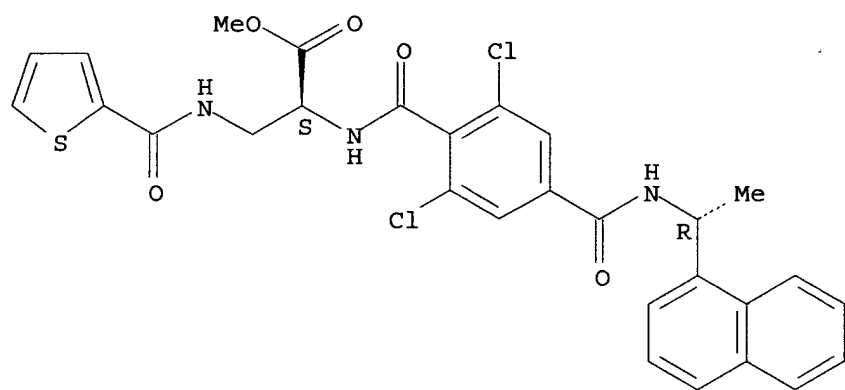
CC(=O)NCC[C@H](NC(=O)c1cc(Cl)cc(Cl)c1C(=O)NCCc1ccc(OC(=O)c2ccsc2)cc1)C(=O)OCCC1=CC=CS1

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

COC(=O)[C@H](NC(=O)c1cc(Cl)c(C(=O)NCc2ccc(O)cc2)cc1Cl)SCC(=O)c3ccsc3

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

searched by D. Arnold 571-272-2532





=> d ibib ab hitstr l45

YOU HAVE REQUESTED DATA FROM FILE 'USPATFULL' - CONTINUE? (Y)/N:y

L45 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER: 2004:7996 USPATFULL  
 TITLE: Diaminopropionic acid derivatives  
 INVENTOR(S): Fotouhi, Nader, Chatham, NJ, UNITED STATES  
 Gillespie, Paul, Westfield, NJ, UNITED STATES  
 Guthrie, Robert William, Saddle Brook, NJ, UNITED STATES  
 Pietranico-Cole, Sherrie Lynn, Nutley, NJ, UNITED STATES  
 Yun, Weiya, Warren, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004006236	A1	20040108
	US 6803384	B2	20041012
APPLICATION INFO.:	US 2003-349289	A1	20030122 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-879700, filed on 12 Jun 2001, ABANDONED Division of Ser. No. US 1999-407534, filed on 29 Sep 1999, GRANTED, Pat. No. US 6331640		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110		
NUMBER OF CLAIMS:	81		
EXEMPLARY CLAIM:	1		
LINE COUNT:	7949		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
AB	A compound of formula 1a ##STR1##		

which is useful for treating reperfusion injury, and salts, prodrugs, and related compounds.

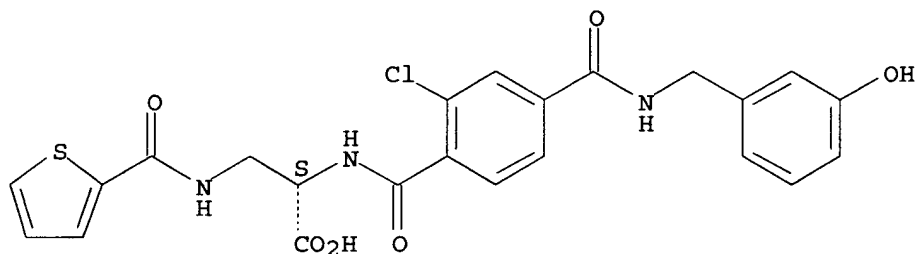
IT 245463-52-9P 264275-37-8P 264275-38-9P  
264275-39-0P 264275-40-3P 264275-41-4P  
264275-42-5P 264275-43-6P

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-52-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

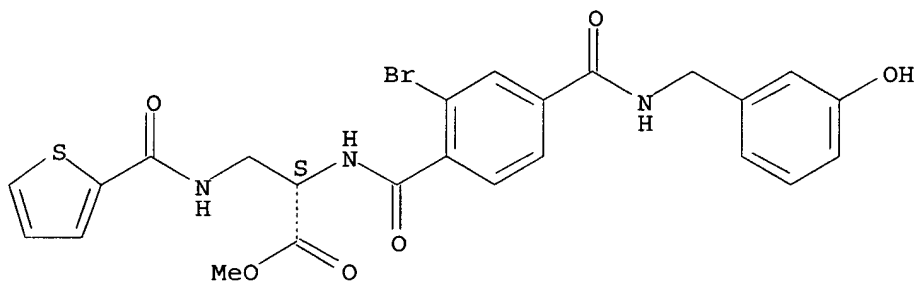
Absolute stereochemistry.



RN 264275-37-8 USPTAFULL

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

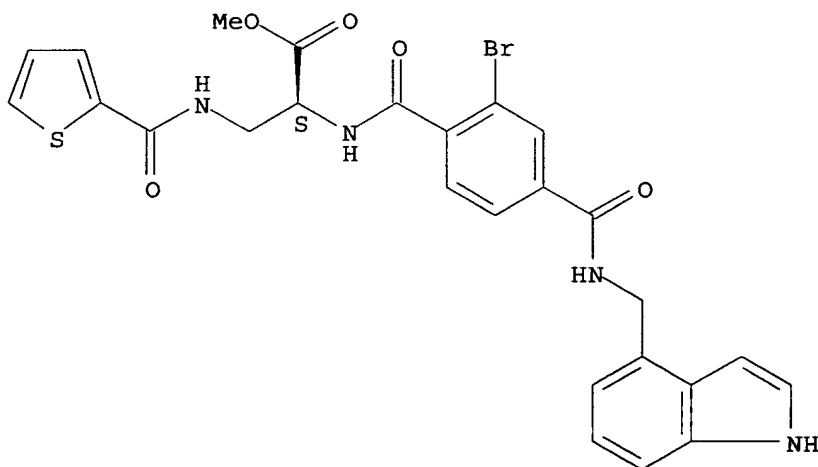
Absolute stereochemistry.



RN 264275-38-9 USPTAFULL

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



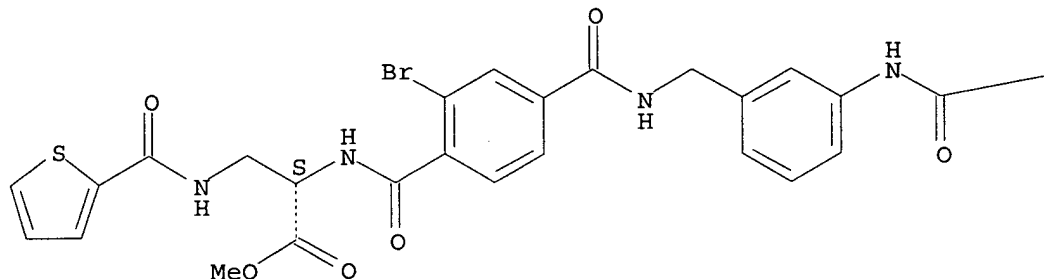
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CN L-Alanine, N-[2-bromo-4-[[[(3-[[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl

ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



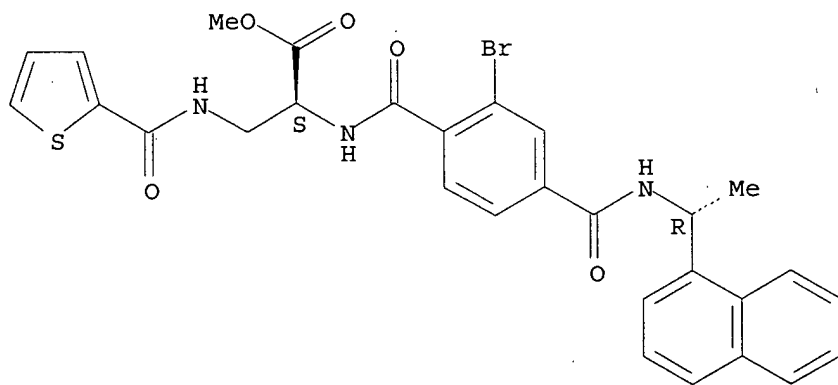
PAGE 1-B

—OBu-t

RN 264275-40-3 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

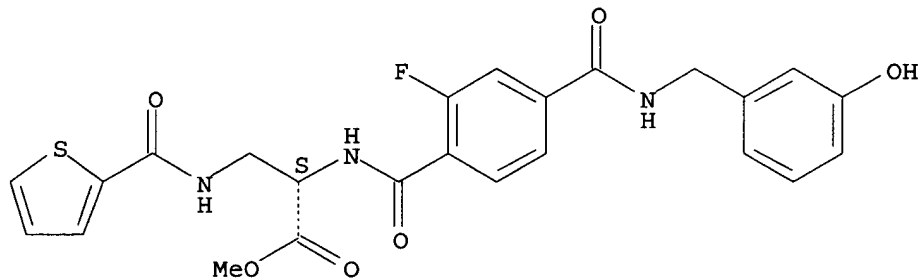
Absolute stereochemistry.



RN 264275-41-4 USPATFULL

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

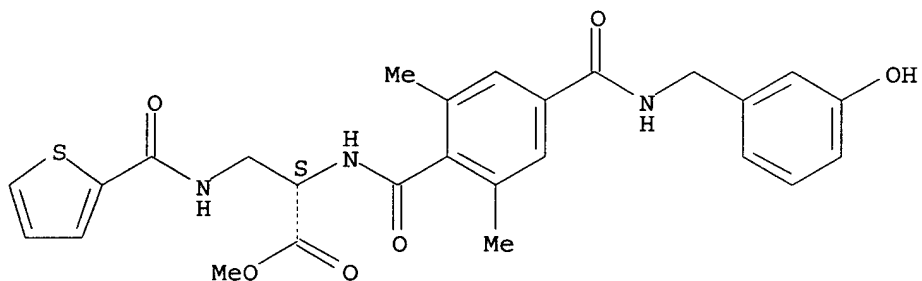
Absolute stereochemistry.



RN 264275-42-5 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

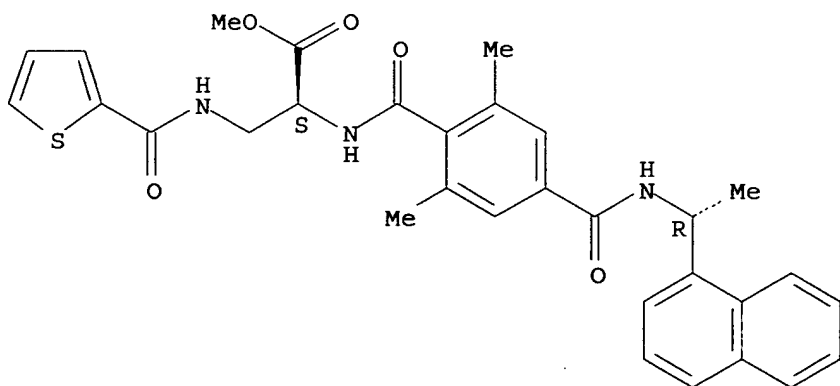
Absolute stereochemistry.



RN 264275-43-6 USPATFULL

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 245463-46-1P 245463-49-4P 245463-53-0P  
245463-54-1P 245463-55-2P 264273-97-4P  
264273-98-5P 264273-99-6P 264274-93-3P

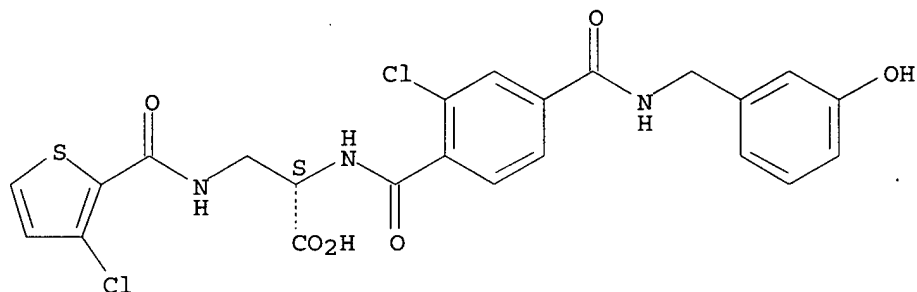
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<u>264275-88-9P</u>	<u>264275-89-0P</u>	<u>264275-90-3P</u>

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 245463-46-1 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

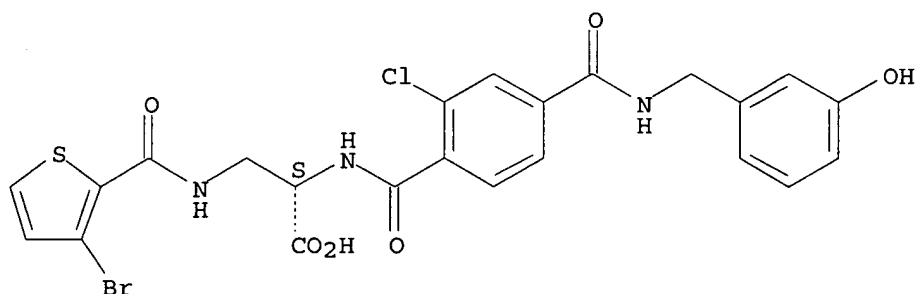
Absolute stereochemistry.



RN 245463-49-4 USPTAFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

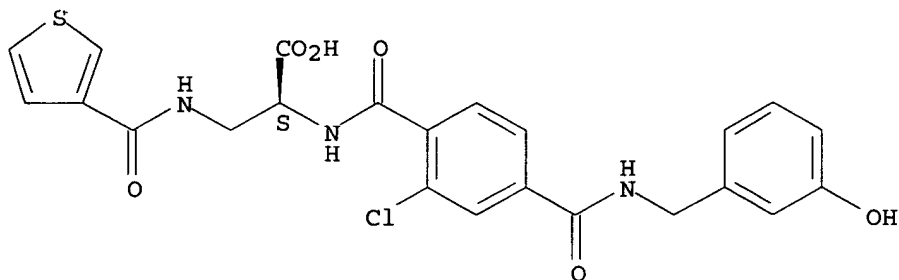
Absolute stereochemistry.



RN 245463-53-0 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

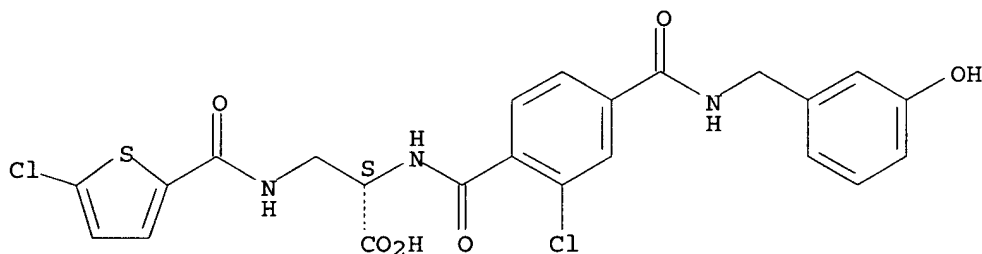
Absolute stereochemistry.



RN 245463-54-1 USPTFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

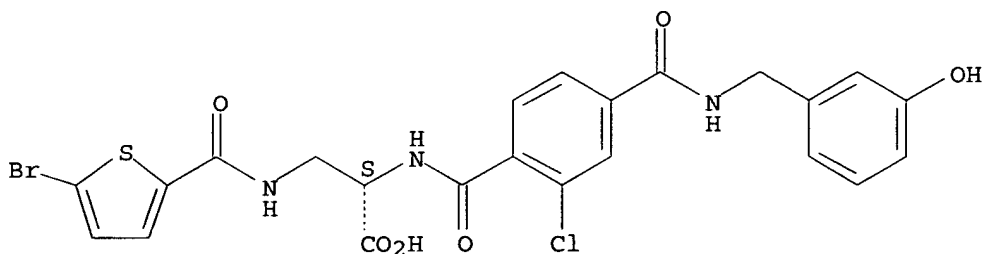
Absolute stereochemistry.



RN 245463-55-2 USPTFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

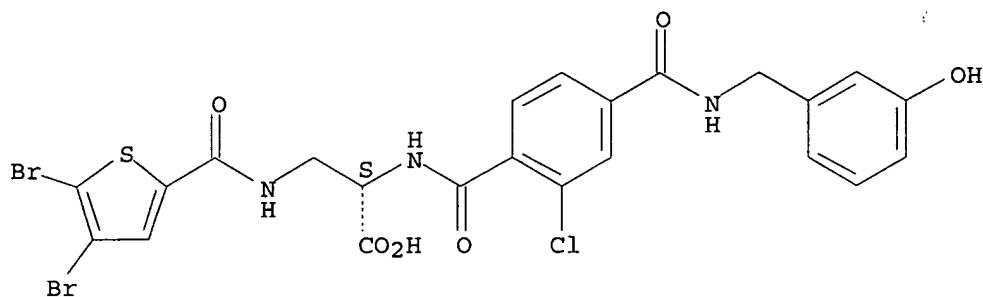
Absolute stereochemistry.



RN 264273-97-4 USPTFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

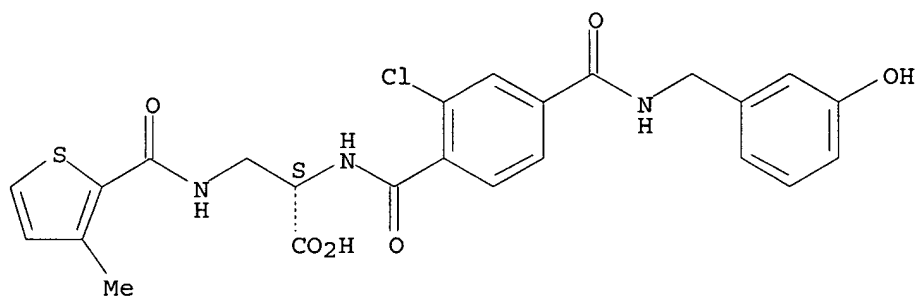
Absolute stereochemistry.



RN 264273-98-5 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(3-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

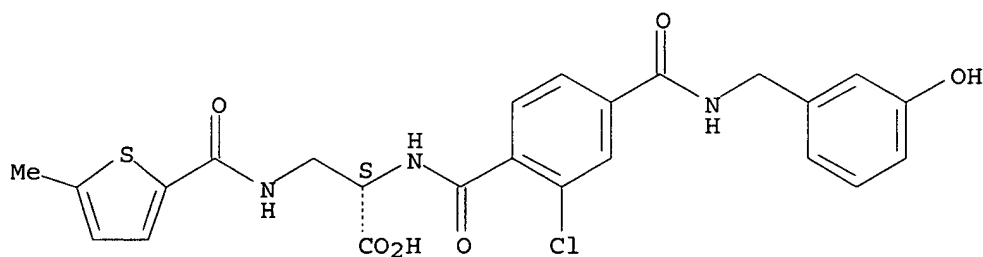
Absolute stereochemistry.



RN 264273-99-6 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

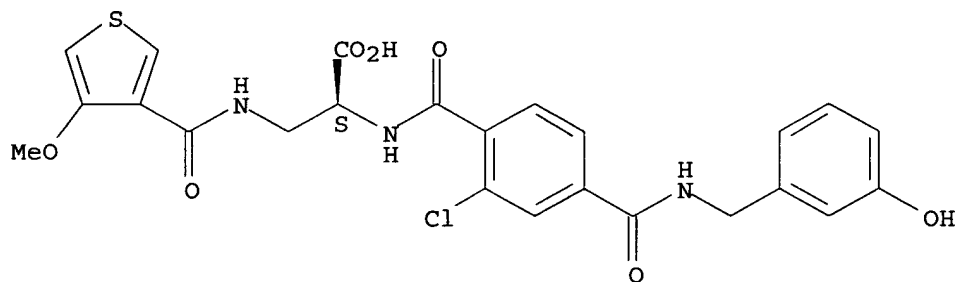
Absolute stereochemistry.



RN 264274-93-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

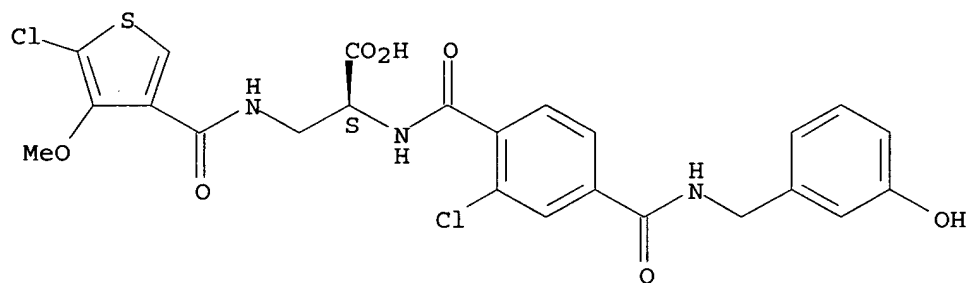
Absolute stereochemistry.



RN 264274-94-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(5-chloro-4-methoxy-3-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

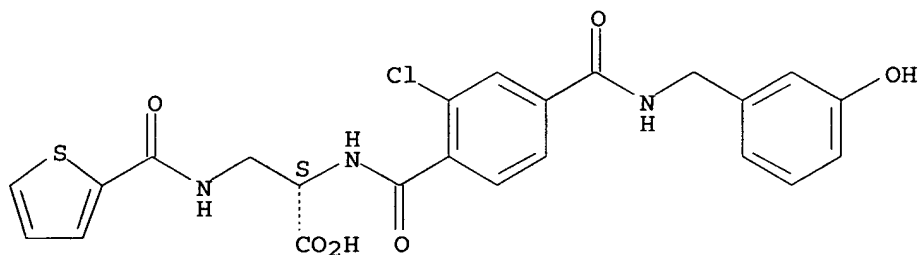
Absolute stereochemistry.



RN 264275-36-7 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



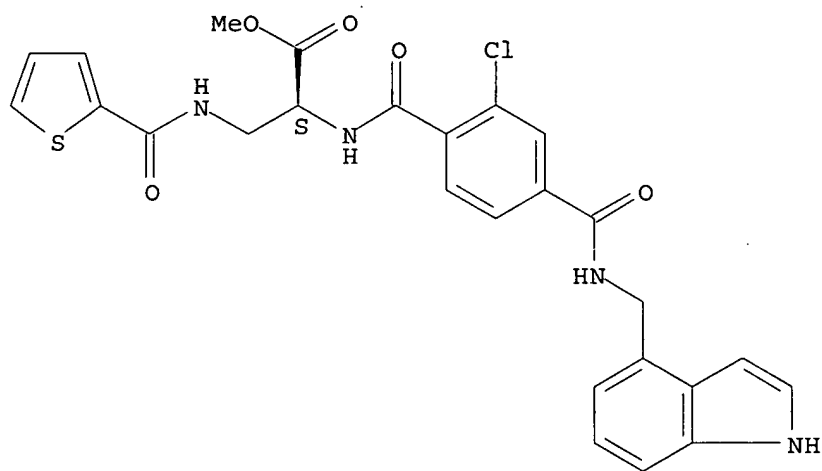
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RN 264275-46-9 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



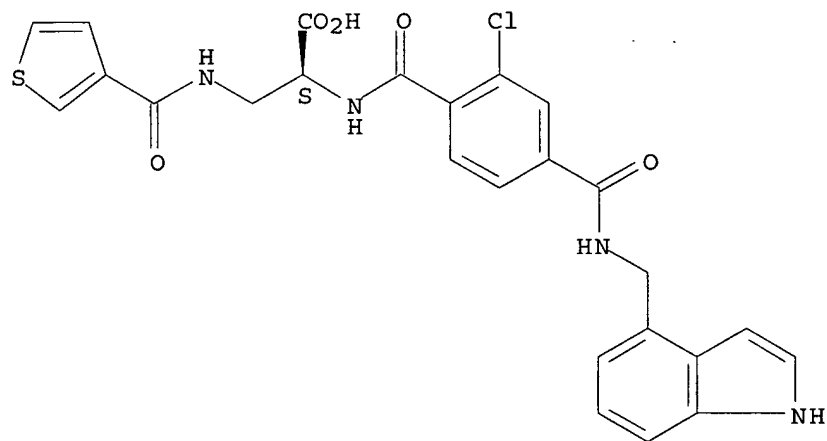
Absolute stereochemistry.



RN 264275-47-0 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[[3-thienylcarbonyl]amino]- (9CI) (CA INDEX NAME)

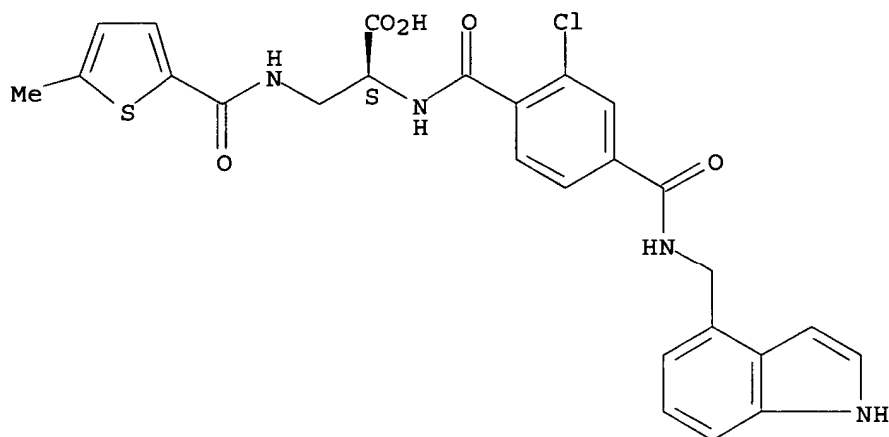
Absolute stereochemistry.



RN 264275-48-1 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-  
[[[5-methyl-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

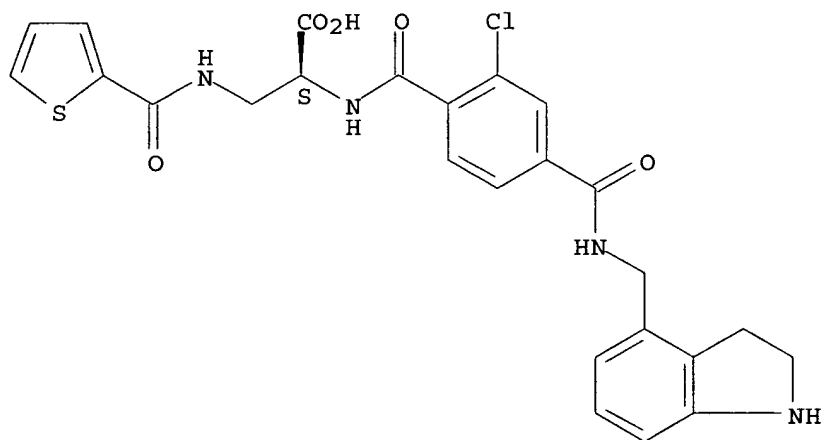
Absolute stereochemistry.



RN 264275-49-2 USPTFULL

CN L-Alanine, N-[2-chloro-4-[[[(2,3-dihydro-1H-indol-4-yl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI)  
(CA INDEX NAME)

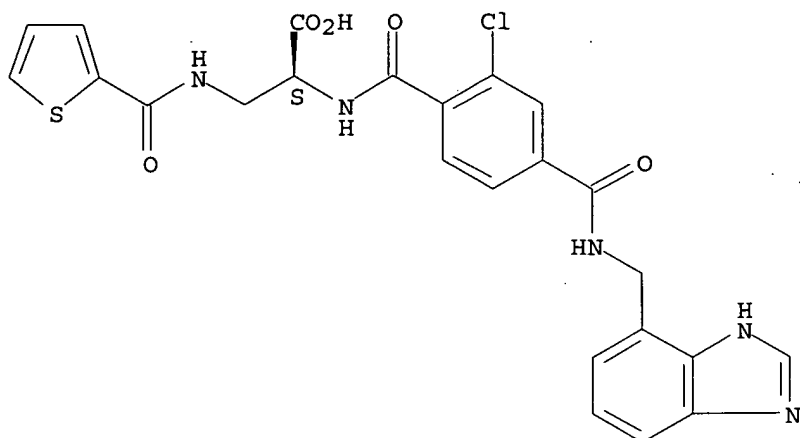
Absolute stereochemistry.



RN 264275-53-8 USPTFULL

CN L-Alanine, N-[4-[[[(1H-benzimidazol-4-yl)methyl]amino]carbonyl]-2-chlorobenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

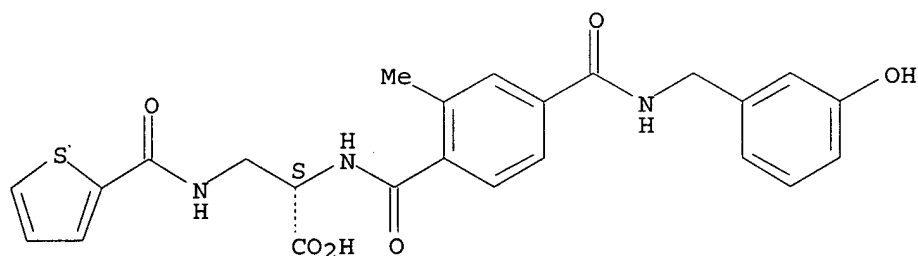
Absolute stereochemistry.



RN 264275-54-9 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

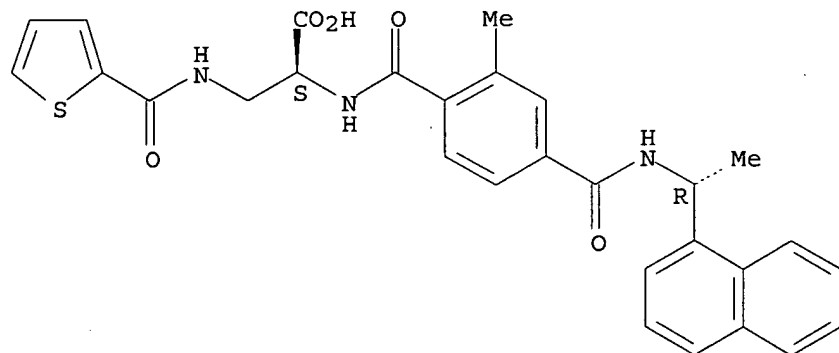
Absolute stereochemistry.



RN 264275-56-1 USPATFULL

CN L-Alanine, N-[2-methyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

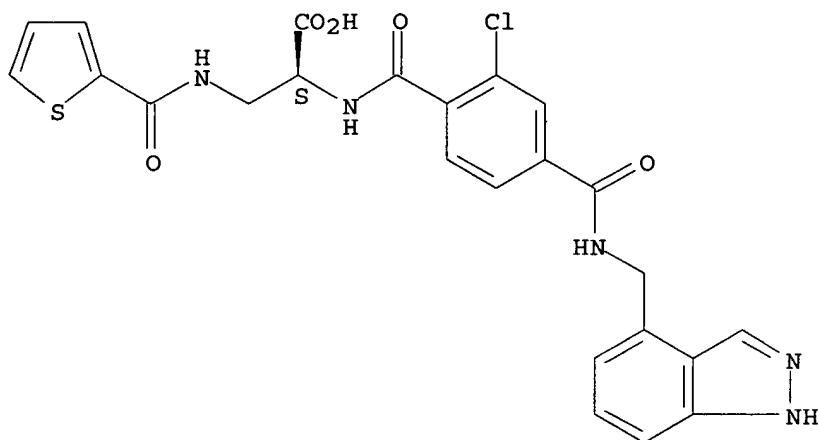


RN 264275-58-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(1H-indazol-4-ylmethyl)amino]carbonyl]benzoyl]-

3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

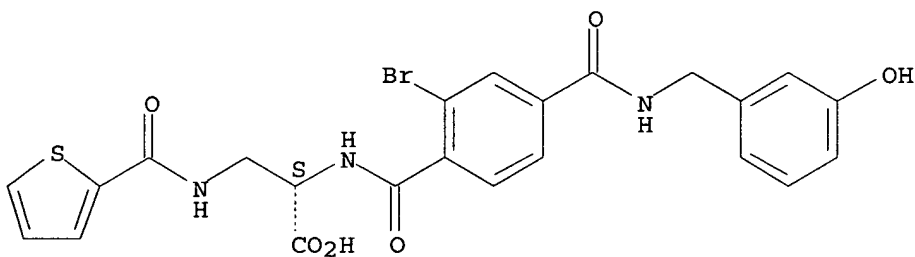
Absolute stereochemistry.



RN 264275-59-4 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

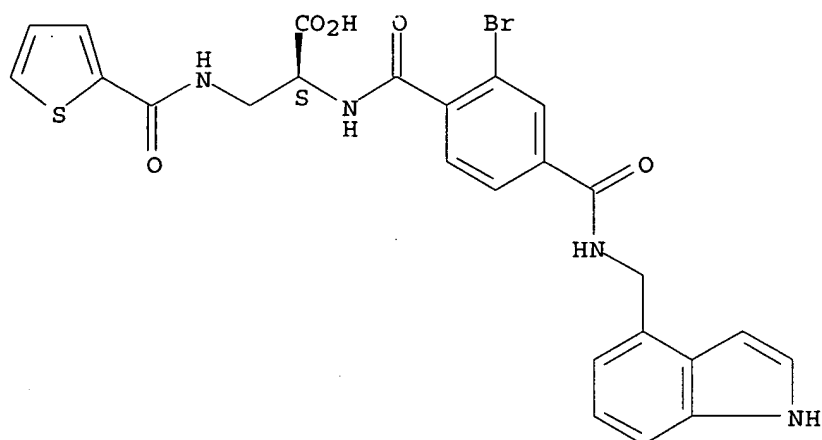
Absolute stereochemistry.



RN 264275-60-7 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1H-indol-4-ylmethyl)amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

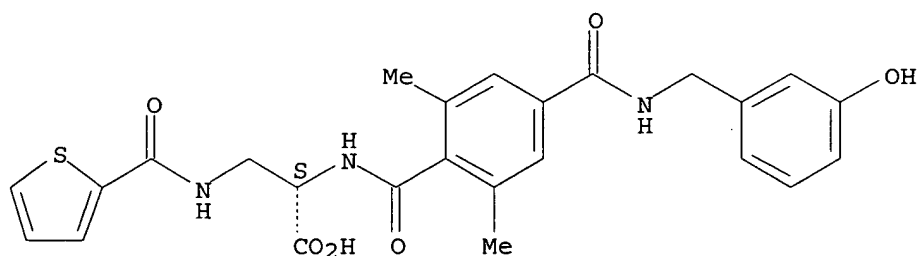
Absolute stereochemistry.



RN 264275-61-8 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

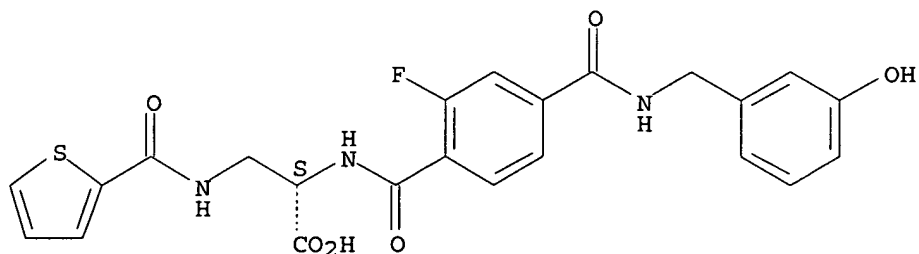
Absolute stereochemistry.



RN 264275-62-9 USPATFULL

CN L-Alanine, N-[2-fluoro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

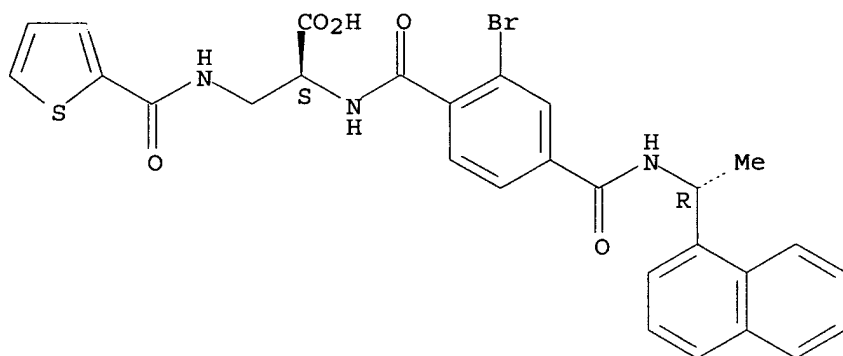
Absolute stereochemistry.



RN 264275-63-0 USPATFULL

CN L-Alanine, N-[2-bromo-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

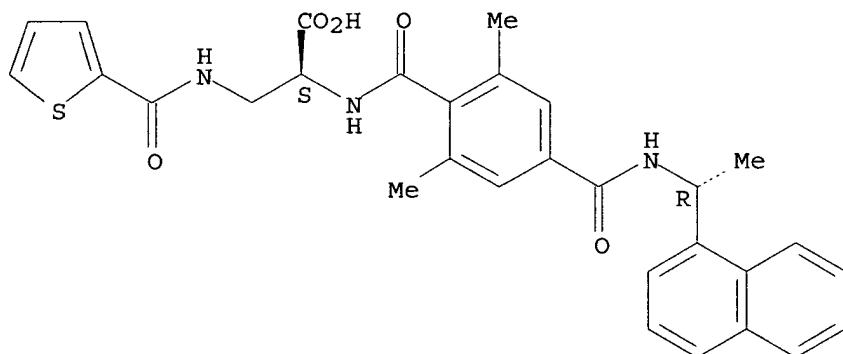
Absolute stereochemistry.



RN 264275-64-1 USPATFULL

CN L-Alanine, N-[2,6-dimethyl-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264275-66-3 USPATFULL

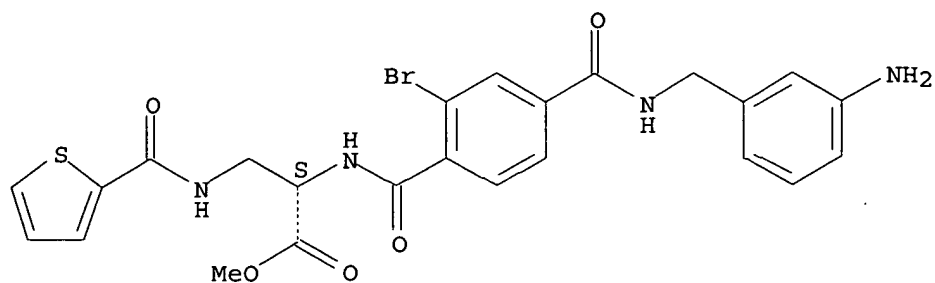
CN L-Alanine, N-[4-[[[(3-aminophenyl)methyl]amino]carbonyl]-2-bromobenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 264275-65-2

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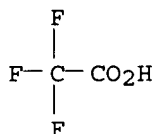
Absolute stereochemistry.



CM 2

CRN 76-05-1

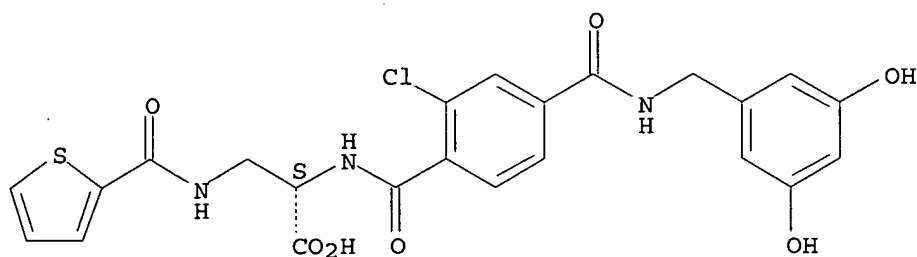
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RN 264275-67-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

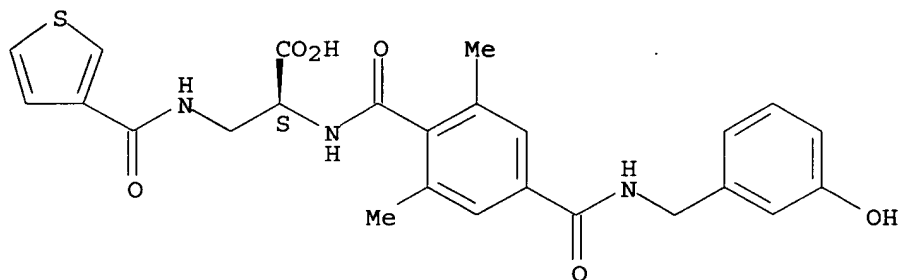
Absolute stereochemistry.



RN 264275-68-5 USPATFULL

CN L-Alanine, N-[4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-2,6-dimethylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

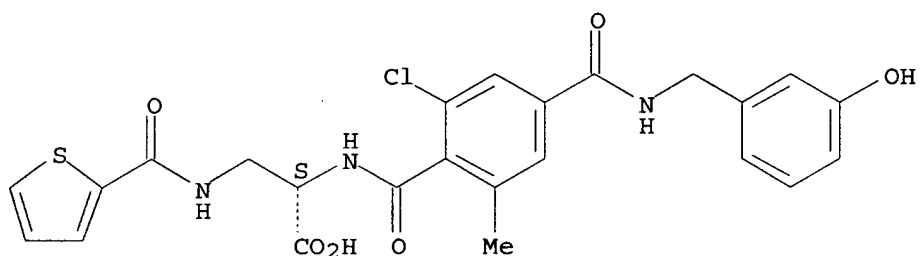
Absolute stereochemistry.



RN 264275-70-9 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

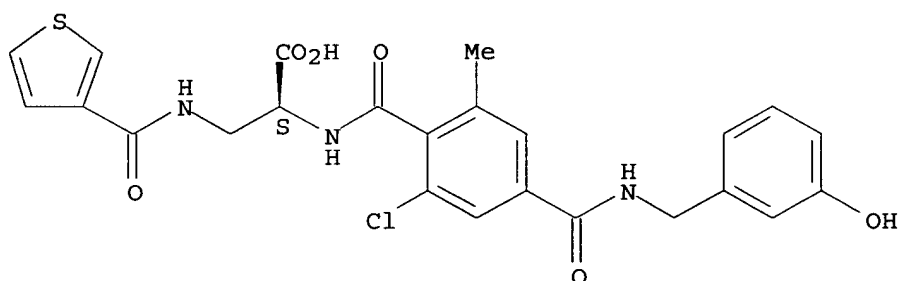
Absolute stereochemistry.



RN 264275-71-0 USPTAFULL

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(3-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

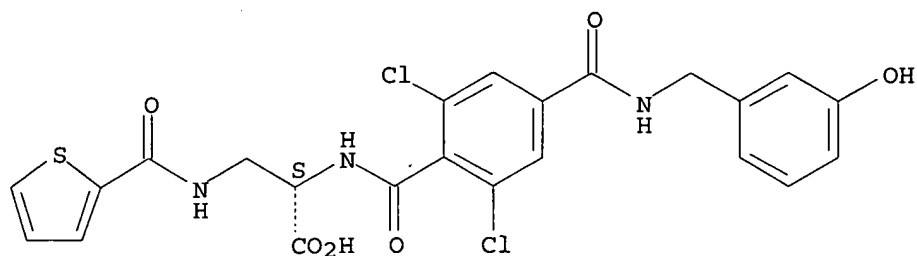


RN 264275-74-3 USPTAFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

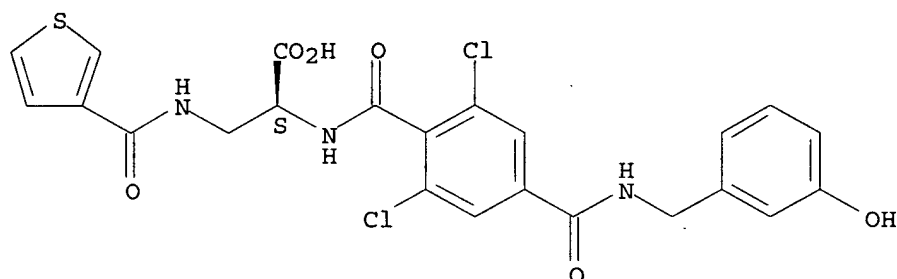




RN 264275-75-4 USPATFULL

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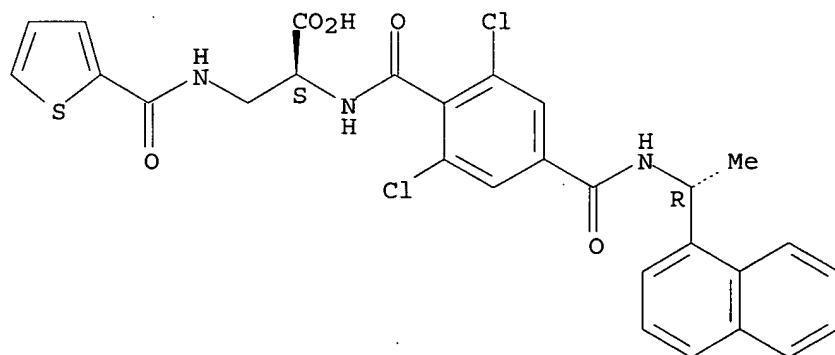
Absolute stereochemistry.



RN 264275-78-7 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

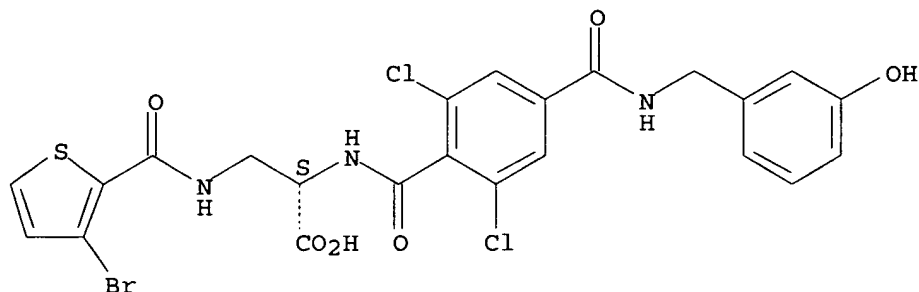
Absolute stereochemistry.



RN 264275-85-6 USPATFULL

CN L-Alanine, 3-[[[(3-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]]- (9CI) (CA INDEX NAME)

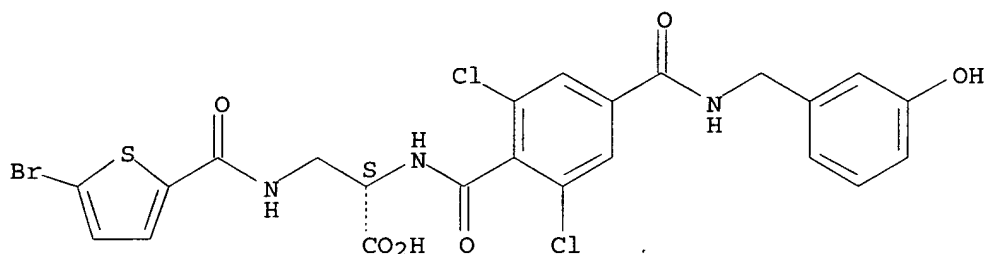
Absolute stereochemistry.



RN 264275-86-7 USPATFULL

CN L-Alanine, 3-[[[(5-bromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

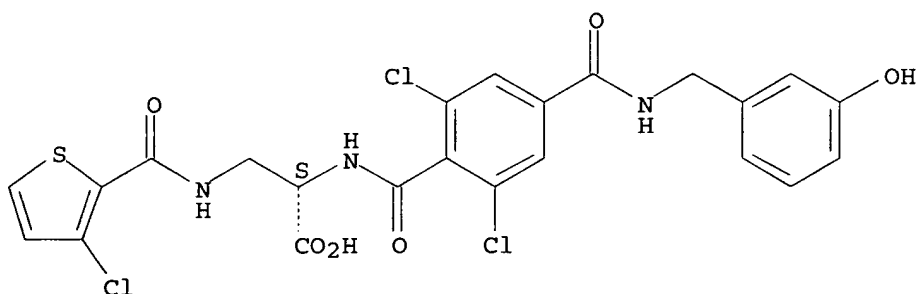
Absolute stereochemistry.



RN 264275-87-8 USPATFULL

CN L-Alanine, 3-[[[(3-chloro-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

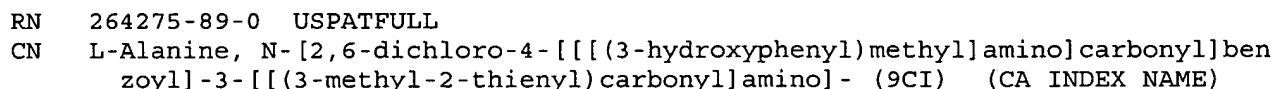
Absolute stereochemistry.



RN 264275-88-9 USPATFULL

CN L-Alanine, 3-[[[(4,5-dibromo-2-thienyl)carbonyl]amino]-N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Cc1ccsc1C(=O)NCCS(=O)(=O)NC(=O)c2cc(Cl)cc(Cl)c2C(=O)NCCc3ccc(O)cc3

```
RN      264275-90-3  USPATFULL
CN      L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]ben
        zoyl]-3-[[[(5-methyl-2-thienyl)carbonyl]amino]- (9CI)  (CA INDEX NAME)
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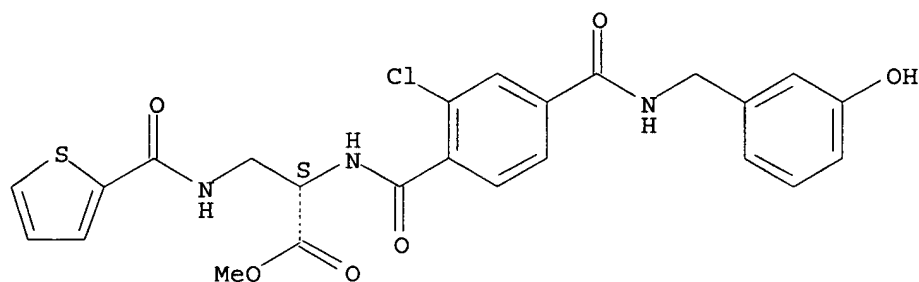
Cc1cc(C(=O)NCCSC(=O)NCC(=O)c2cc(Cl)c(C(=O)NCCc3ccc(O)cc3)cc2Cl)sc1

(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264276-44-0 USPATFULL  
CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl

] -3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

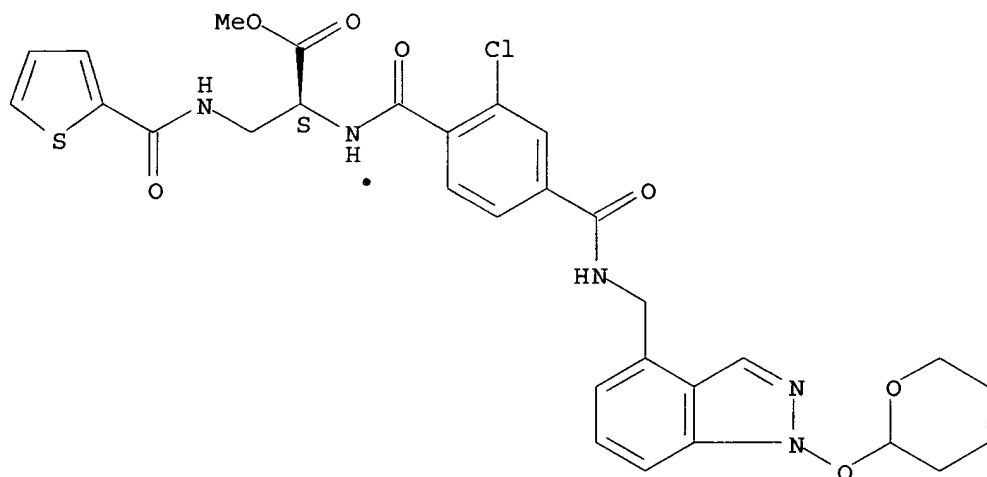
Absolute stereochemistry.



RN 264276-49-5 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

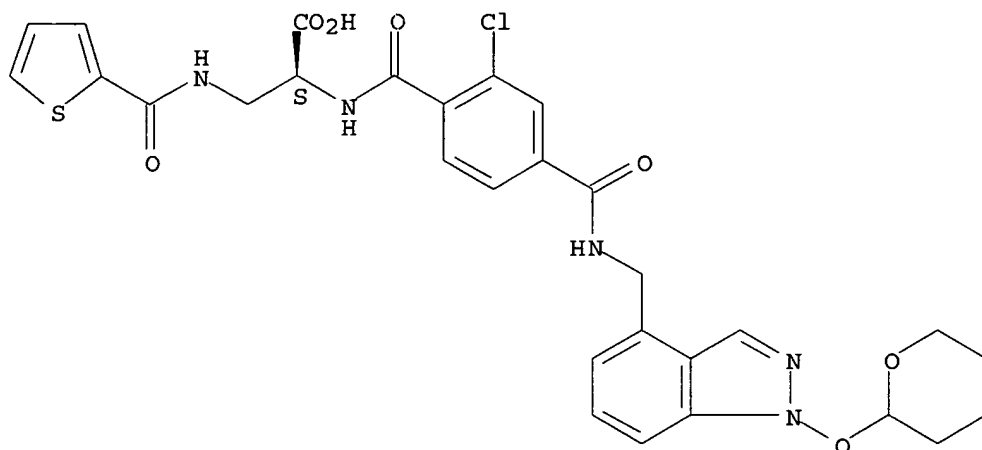
Absolute stereochemistry.



RN 264276-50-8 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[1-[(tetrahydro-2H-pyran-2-yl)oxy]-1H-indazol-4-yl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]- (9CI) (CA INDEX NAME)

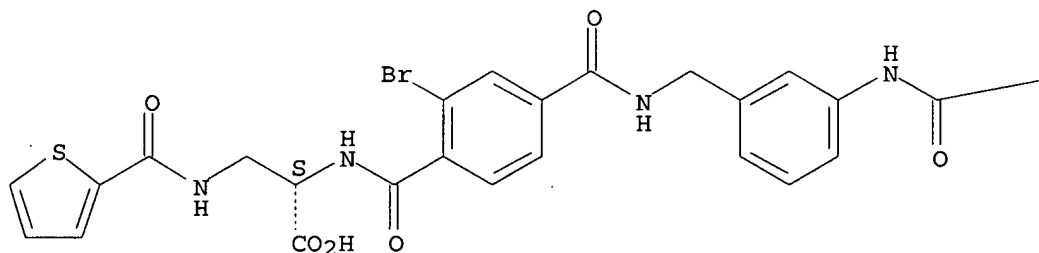
Absolute stereochemistry.



RN 264276-51-9 USPATFULL  
 CN L-Alanine, N-[2-bromo-4-[[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

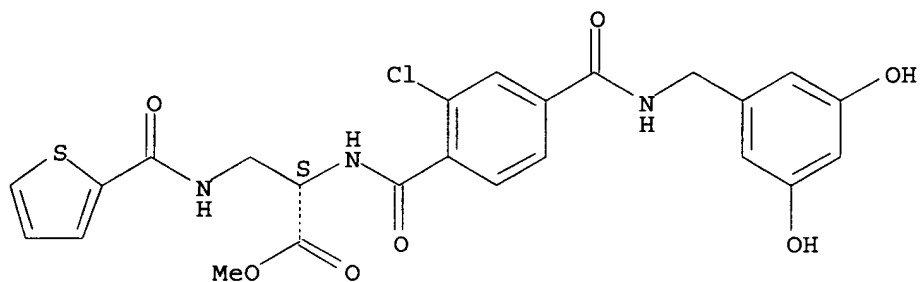


PAGE 1-B

—OBu-t

RN 264276-54-2 USPATFULL  
 CN L-Alanine, N-[2-chloro-4-[[[3-[[[(3,5-dihydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

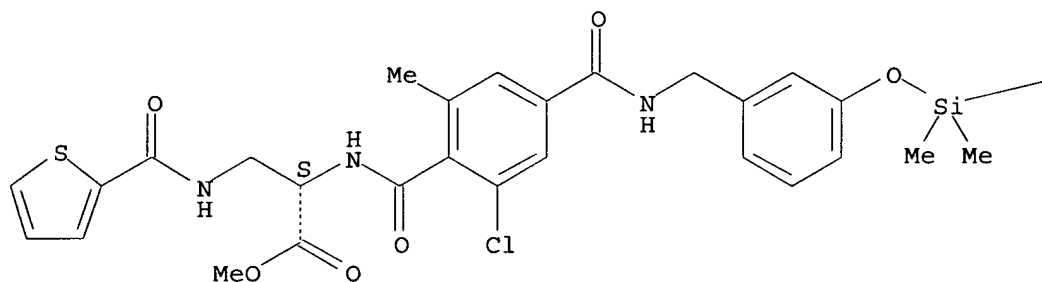


RN 264276-55-3 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



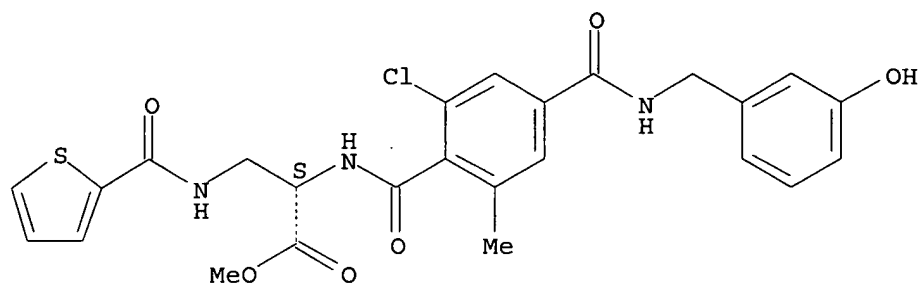
PAGE 1-B

—Bu-t

RN 264276-56-4 USPATFULL

CN L-Alanine, N-[2-chloro-4-[[[3-(3-hydroxyphenyl)methyl]amino]carbonyl]-6-methylbenzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

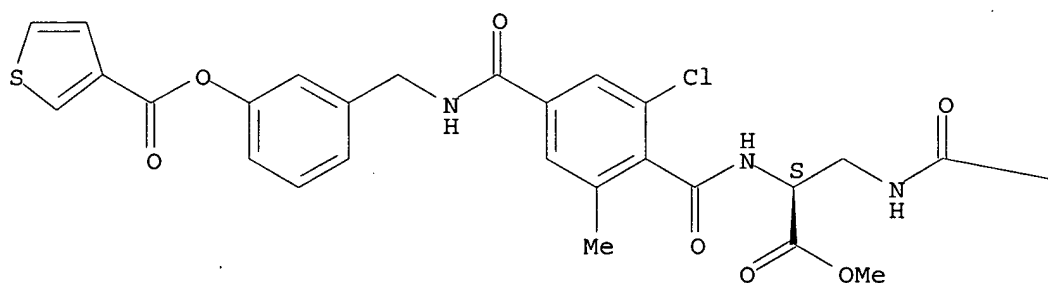


RN 264276-57-5 USPATFULL

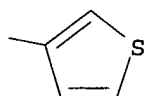
CN 3-Thiophenecarboxylic acid, 3-[[[3-chloro-4-[[[(1S)-2-methoxy-2-oxo-1-[[3-thienylcarbonyl)amino]methyl]ethyl]amino]carbonyl]-5-methylbenzoyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

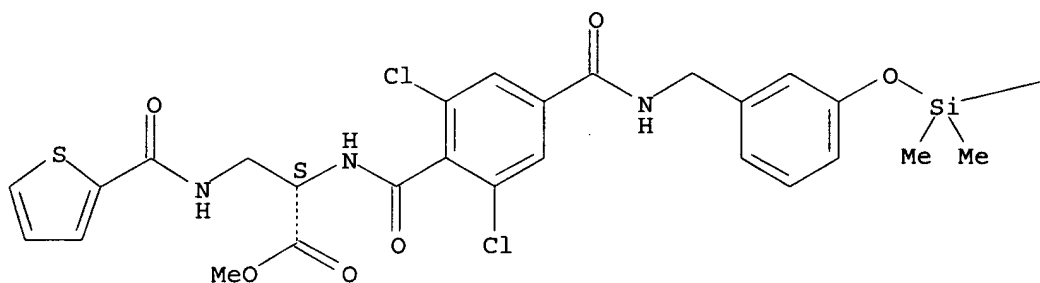


RN 264276-61-1 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



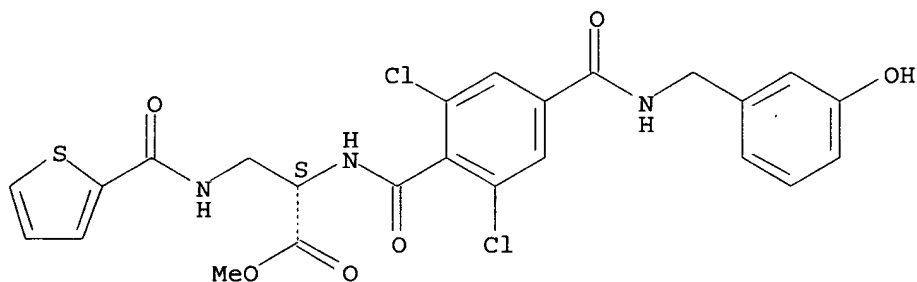
PAGE 1-B

~~—~~ Bu-t

RN 264276-62-2 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



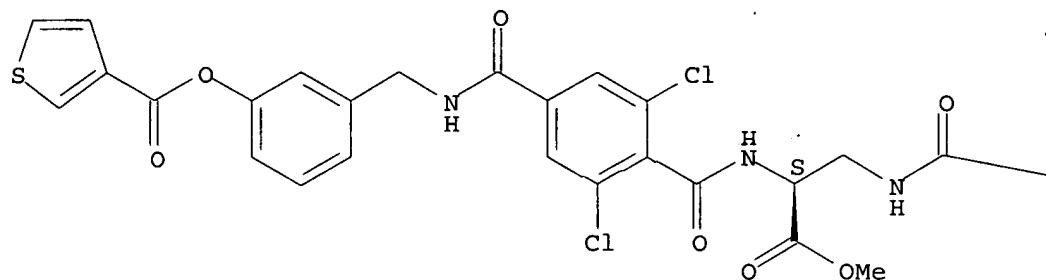
RN 264276-63-3 USPATFULL

CN 3-Thiophenecarboxylic acid, 3-[[[3,5-dichloro-4-[[[(1S)-2-methoxy-2-oxo-1-  
[[3-thienylcarbonyl]amino]methyl]ethyl]amino]carbonyl]benzoyl]amino]met  
hyll]phenyl ester (9CI) (CA INDEX NAME)

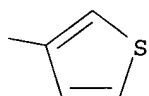
Absolute stereochemistry.



PAGE 1-A



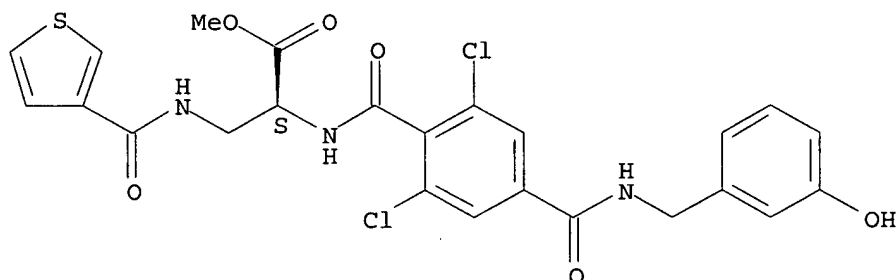
PAGE 1-B



RN 264276-64-4 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[(3-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

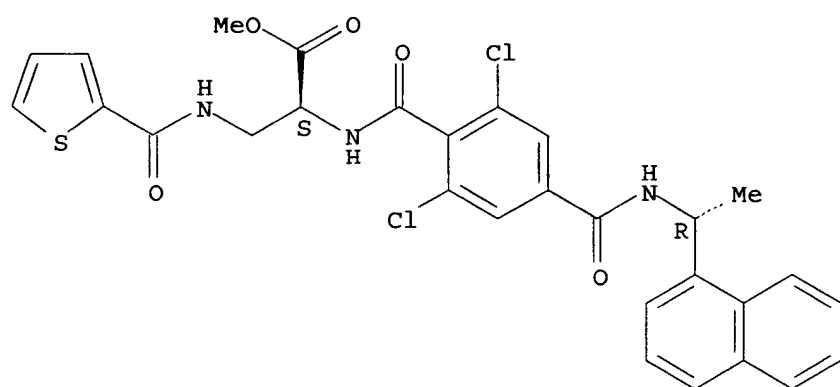
Absolute stereochemistry.



RN 264276-71-3 USPATFULL

CN L-Alanine, N-[2,6-dichloro-4-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]benzoyl]-3-[(2-thienylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y

L71 ANSWER 1 OF 5 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 137:125395 MARPAT

TITLE: Preparation of amino acid derivatives as LFA-1 antagonists

INVENTOR(S): Burdick, Daniel J.; Gadek, Thomas R.; Marsters, James C., Jr.; Oare, David; Reynolds, Mark E.; Stanley, Mark S.

PATENT ASSIGNEE(S): Genentech, Inc., USA

SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

INT. PATENT CLASSIF.:

MAIN: C07D405-12

SECONDARY: C07D417-12; C07D207-16; A61P037-06; A61K031-34;  
A61K031-425; A61K031-40; C07D405-12; C07D307-00;  
C07D207-00; C07D417-12; C07D307-00; C07D277-00

CLASSIFICATION: 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

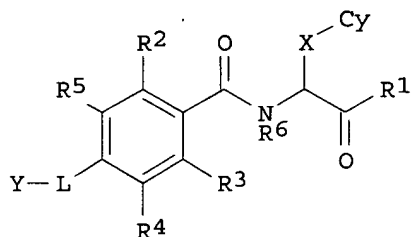
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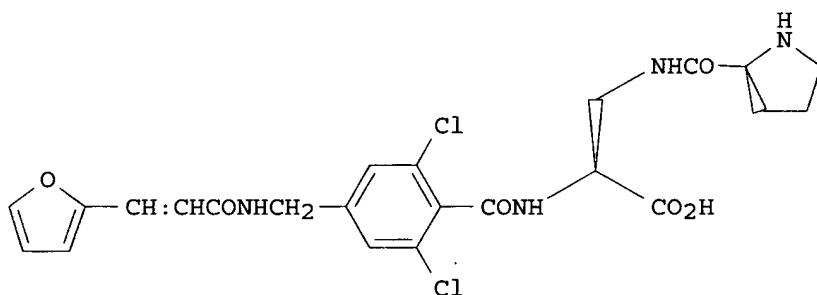
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WO 2002059114	C2	20021017		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2429353	AA	20020801	CA 2001-2429353	20011126
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US 6667318	B2	20031223		
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HU 200402305	A2	20050228	HU 2004-2305	20011126
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ZA 2003003357	A	20040430	ZA 2003-3357	20030430
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WO 2001-US44203 20011126  
 US 2003-618178 20030711

GRAPHIC IMAGE:



I



II

ABSTRACT:

The invention relates to novel compds. I [Cy is an optionally substituted non-aromatic carbocycle or heterocycle; X is an optionally substituted divalent hydrocarbon chain; Y is an optionally substituted carbocycle or heterocycle; L is a bond or an optionally substituted divalent hydrocarbon chain; R1 = H, OH, amino, O-carbocycle or alkoxy optionally substituted with amino, a carbocycle or heterocycle; R2-R5 = H, hydroxy, mercapto, halo, cyano, amino, amidine, guanidine, nitro, or alkoxy; or R3 and R4 together form a fused carbocycle or heterocycle which may be substituted; R6 is H or a hydrocarbon chain optionally substituted with a carbocycle or a heterocycle (with the proviso that when Y is Ph, R2, R4 and R5 are H, R3 is Cl and R1 is OH, then X is other than cyclohexyl)] which bind CD11/CD18 adhesion receptors such as lymphocyte function-associated antigen-1 (LFA-1) and are therefore useful for treating disorders mediated by LFA-1, e.g, inflammation. The syntheses of compds. I is described in 10 schemes and biol. test data are tabulated for 20 compds. Compound II showed IC50 = 0.004  $\mu$ M in the LFA-1 PLM2 assay and 98.3% plasma protein binding.

SUPPL. TERM: furylacrylamidomethylchlorobenzoyl amino acid prepn LFA1 antagonist; propanoic acid diamino  
 furylacrylamidomethylchlorobenzoyl prepn LFA1 antagonist  
 INDEX TERM: Intestine, disease  
 (inflammatory; preparation of furylacrylamidomethylchlorobenzoyl amino acid derivs. as LFA-1 antagonists)  
 INDEX TERM: Antiarthritics

Antiasthmatics  
 Arthritis  
 Asthma  
 Human  
 Psoriasis

(preparation of furylacrylamidomethylchlorobenzoyl amino  
 acid derivs. as LFA-1 antagonists)

INDEX TERM:

Amino acids, preparation

ROLE: PAC (Pharmacological activity); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)

(preparation of furylacrylamidomethylchlorobenzoyl amino  
 acid derivs. as LFA-1 antagonists)

INDEX TERM:

Transplant and Transplantation

(rejection; preparation of furylacrylamidomethylchlorobenzoyl  
 amino acid derivs. as LFA-1 antagonists)

INDEX TERM:

444169-77-1P 444169-78-2P 444169-79-3P 444169-80-6P  
 444169-81-7P 444169-82-8P 444169-83-9P 444169-84-0P  
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 444169-93-1P 444169-94-2P 444169-95-3P 444169-96-4P

ROLE: PAC (Pharmacological activity); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)

(preparation of furylacrylamidomethylchlorobenzoyl amino  
 acid derivs. as LFA-1 antagonists)

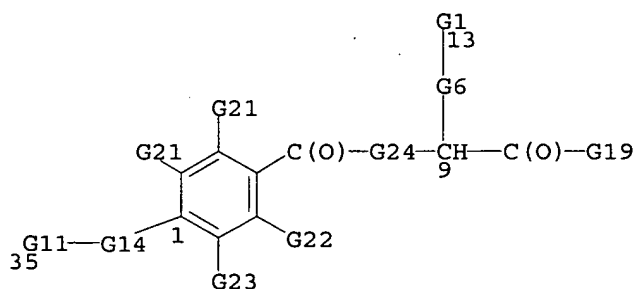
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2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
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REFERENCE(S):

(1) Abbott Lab; WO 0039081 A 2000 CAPLUS  
 (2) Zheng, Z; WO 9804247 A 1998 CAPLUS

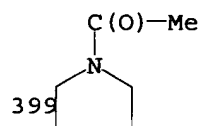
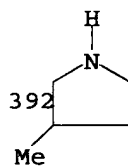
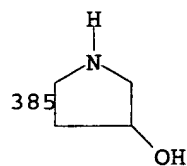
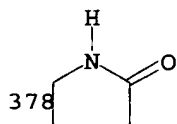
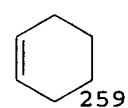
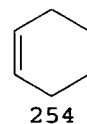
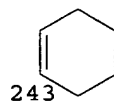
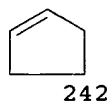
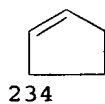
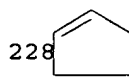
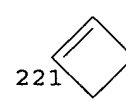
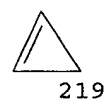
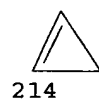
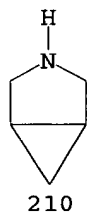
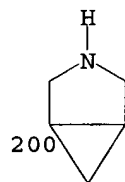
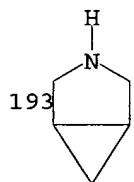
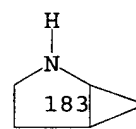
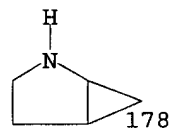
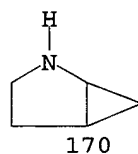
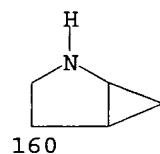
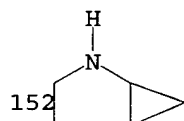
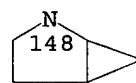
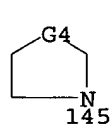
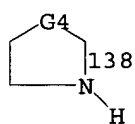
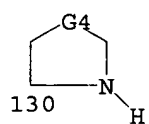
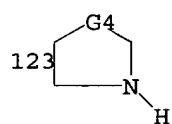
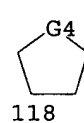
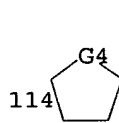
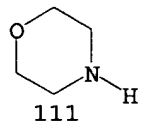
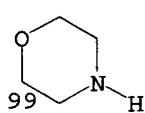
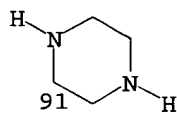
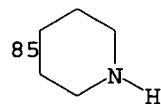
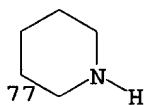
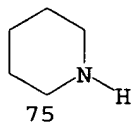
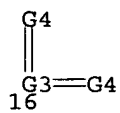
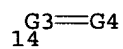
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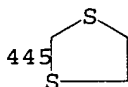
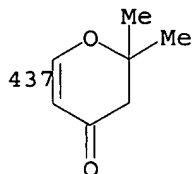
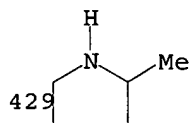
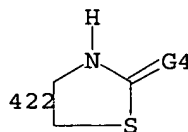
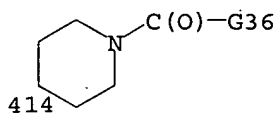
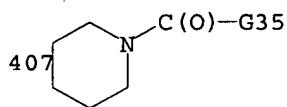


G1 = carbocycle <containing 4-16 C, non-aromatic,  
 1-3 rings> (opt. substd. by 1 or more G2) /  
 heterocycle <containing 5-16 atoms, zero or more N,  
 zero or more O, zero or more S, non-aromatic, 1-3 rings>  
 (opt. substd. by 1 or more G2) / 14 / 16 /  
 (Specifically claimed: piperidino / 75 / 77 / 85 /  
 piperazino / 91 / morpholino / 99 / 111 / 114 / 118 / 123 /  
 130 / 138 / 145 / 148 / 152 / 160 / 170 / 178 / 183 / 189 /  
 193 / 200 / 210 / cyclopropyl / 214 / 219 / cyclobutyl /  
 221 / 226 / cyclopentyl / 228 / 234 / 242 / cyclohexyl /  
 243 / 254 / 259) / (Examples: 378 / 385 / 392 / 399 / 407 /

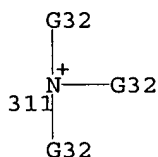
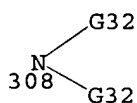
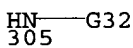
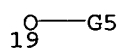
414 / 422 / 429 / 437 / 445)

1





G2 = OH / SH / alkylthio / F / Cl / Br / I / NH<sub>2</sub> / 305 / 308 / 311 / alkylamino / C(NH)NH<sub>2</sub> / NHC(NH)NH<sub>2</sub> / NO<sub>2</sub> / alkyl / 19 / acyl / (Specifically claimed: alkylcarbonyl)

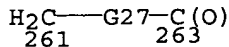
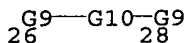
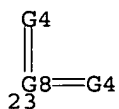
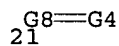


G3 = carbocycle <containing 4-16 C, non-aromatic, 1-3 rings> (opt. substd. by 1 or more G2) / heterocycle <containing 5-16 atoms, zero or more N, zero or more O, zero or more S, non-aromatic, 1-3 rings> (opt. substd. by 1 or more G2)

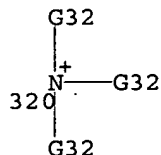
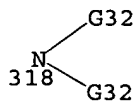
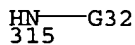
G4 = O / S

G5 = alkyl / alkenyl / alkynyl

G6 = carbon chain <0 or more double bonds, 0 or more triple bonds> (opt. substd. by 1 or more G7) / 21 / 23 / 26-9 28-13 / (Specifically claimed: 261-9 263-13 )

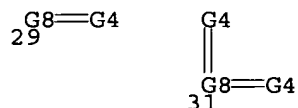


G7 = OH / SH / F / Cl / Br / I / NH<sub>2</sub> / 315 / 318 / 320 / alkylamino / NO<sub>2</sub>

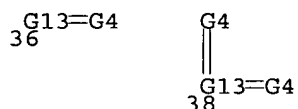


G8 = carbon chain <0 or more double bonds,

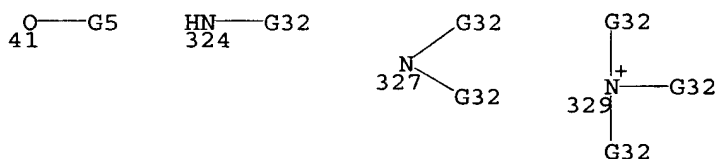
G9 = 0 or more triple bonds> (opt. substd. by 1 or more G7)  
 = carbon chain <0 or more double bonds,  
 0 or more triple bonds> (opt. substd. by 1 or more G7) / 29 /  
 31



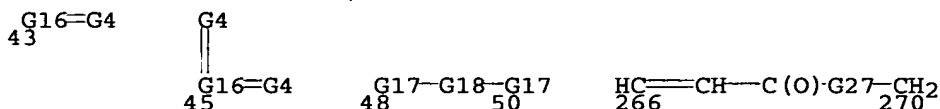
G10 = NH (opt. substd.) / O / S / S(O) / SO2  
 G11 = carbocycle <containing 4-16 C, 1-3 rings>  
 (opt. substd. by 1 or more G12) /  
 heterocycle <containing 5-16 atoms, zero or more N,  
 zero or more O, zero or more S, 1-3 rings>  
 (opt. substd. by 1 or more G12) / 36 / 38 /  
 (Specifically claimed: 2-furyl / 2-thienyl /  
Ph (opt. substd. by 1 or more G29)))



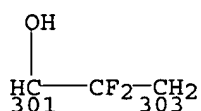
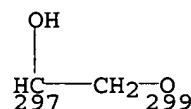
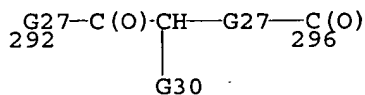
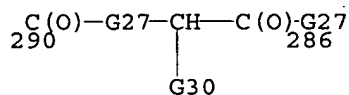
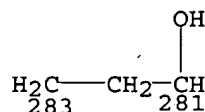
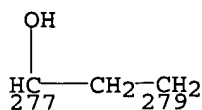
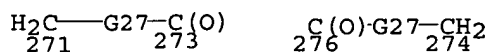
G12 = OH / SH / alkylthio / F / Cl / Br / I / NH2 / 324 /  
 327 / 329 / alkylamino / carbocycle <containing 4-16 C,  
 1-3 rings> (opt. substd.) / heterocycle <containing 5-16  
 atoms, zero or more N, zero or more O, zero or more S,  
 1-3 rings> (opt. substd.) / hydrocarbyl (opt. substd. by 1  
 or more G34) / C(NH)NH2 / NHC(NH)NH2 / NO2 / CN / 41 / acyl



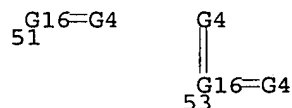
G13 = carbocycle <containing 4-16 C, 1-3 rings>  
 (opt. substd. by 1 or more G12) /  
 heterocycle <containing 5-16 atoms, zero or more N,  
 zero or more O, zero or more S, 1-3 rings>  
 (opt. substd. by 1 or more G12)  
 G14 = bond / carbon chain <0 or more double bonds,  
 0 or more triple bonds> (opt. substd. by 1 or more G15) /  
 43 / 45 / 48-35 50-1 / (Specifically claimed: 266-35 270-1  
 / 271-35 273-1 / 276-35 274-1 / 277-35 279-1 /  
 283-35 281-1 / CH2CH2CH2 / 290-35 286-1 / 292-35 296-1 /  
 297-35 299-1 / 301-35 303-1 )



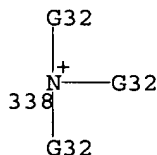
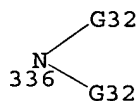
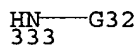
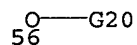




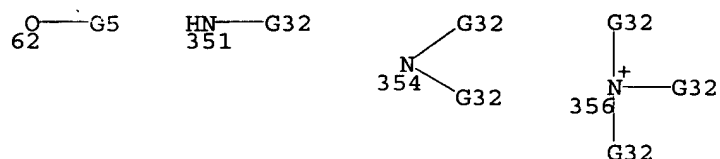
- G15 = OH / F / Cl / Br / I  
 G16 = carbon chain <0 or more double bonds,  
 0 or more triple bonds> (opt. substd. by 1 or more G15)  
 G17 = carbon chain <0 or more double bonds,  
 0 or more triple bonds> (opt. substd. by 1 or more G15) /  
 51 / 53



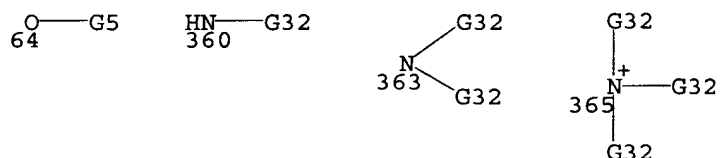
- G18 = NH (opt. substd.) / O / S / S(O) / SO2 /  
 R <"amino acid residue">  
 G19 = H / OH / NH2 / 333 / 336 / 338 / 56



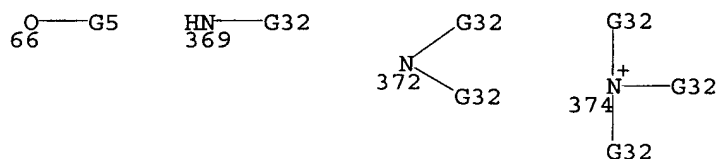
- G20 = carbocycle <containing 4-16 C, 1-3 rings>  
 (opt. substd.) / alkyl (opt. substd. by G31) /  
 alkenyl (opt. substd. by G31) /  
 alkynyl (opt. substd. by G31)  
 G21 = H / OH / SH / F / Cl / Br / I / CN / NH2 / 351 /  
 354 / 356 / C(NH)NH2 / NHC(NH)NH2 / NO2 / 62



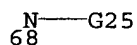
G22 = H / OH / SH / F / Cl / Br / I / CN / NH2 / 360 /  
363 / 365 / C(NH)NH2 / NHC(NH)NH2 / NO2 / 64



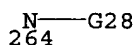
G23 = H / OH / SH / F / Cl / Br / I / CN / NH2 / 369 /  
372 / 374 / C(NH)NH2 / NHC(NH)NH2 / NO2 / 66



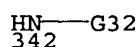
G24 = NH / 68



G25 = carbon chain <0 or more double bonds,  
0 or more triple bonds> (opt. substd. by G26)  
G26 = carbocycle <containing 4-16 C, 1-3 rings>  
(opt. substd.) / heterocycle <containing 5-16 atoms,  
zero or more N, zero or more O, zero or more S, 1-3 rings>  
(opt. substd.)  
G27 = NH / 264



G28 = alkyl / (Example: Me)  
G29 = OH / F / Cl / Br / I  
G30 = H / R <"amino acid side chain">  
G31 = NH2 / 342 / 345 / 347 /  
carbocycle <containing 4-16 C, 1-3 rings> (opt. substd.) /  
heterocycle <containing 5-16 atoms, zero or more N,  
zero or more O, zero or more S, 1-3 rings> (opt. substd.)



G32 = carbon chain <0 or more double bonds,  
0 or more triple bonds> (opt. substd. by G33) / OH /  
carbocycle <containing 4-16 C, 1-3 rings> (opt. substd.) /  
heterocycle <containing 5-16 atoms, zero or more N,  
zero or more O, zero or more S, 1-3 rings> (opt. substd.)

G33 = carbocycle <containing 4-16 C, 1-3 rings>  
(opt. substd.) / heterocycle <containing 5-16 atoms,  
zero or more N, zero or more O, zero or more S, 1-3 rings>  
(opt. substd.)

G34 = F / Cl / Br / I

G35 = Me / Et

G36 = Me / Et / Pr-n

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G22+G23= R <"group to form ring">
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Patent location: claim 1

Note: and salts, solvates and hydrates

Note: substitution is restricted

=> d ibib ab fhit 171 2-5

YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y

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ACCESSION NUMBER: 132:294010 MARPAT

TITLE: Preparation of diaminopropionic acid derivatives as intracellular adhesion molecule-1 (ICAM-1) binding inhibitors

INVENTOR(S) : Fotouhi, Nader; Gillespie, Paul; Guthrie, Robert  
William; Pietranico-Cole, Sherrie Lynn; Yun, Weiya

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000021920	A1	20000420	WO 1999-EP7620	19991012

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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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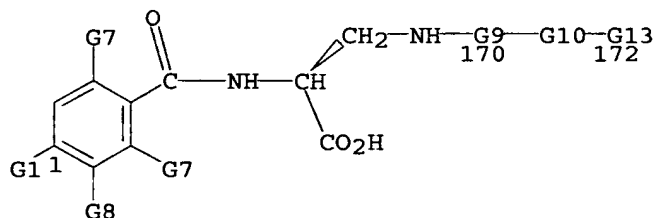
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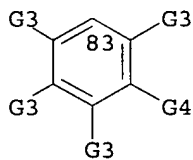
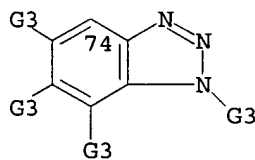
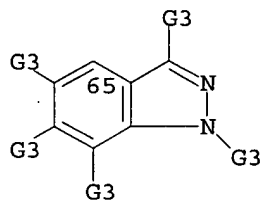
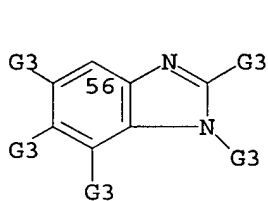
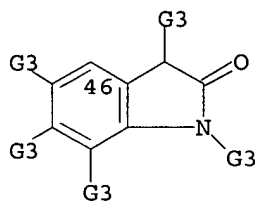
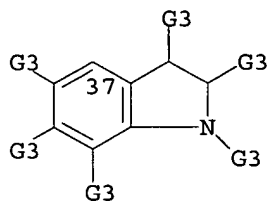
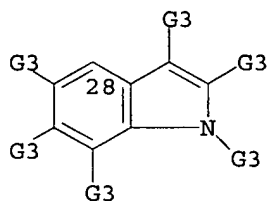
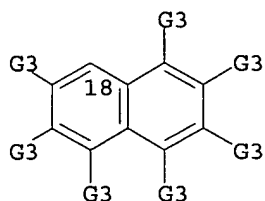
US 1998-104120P	19981013
US 1999-407534	19990929
WO 1999-EP7620	19991012
US 2001-879700	20010612
US 2003-349289	20030122

AB Diaminopropionic acid derivs. I [R1 = substituted 1-naphthyl, 4-indolyl, 4-benzimidazolyl, 4-benzodiazolyl, 4-benzotriazolyl, or phenyl; R2 = CHR3NHCO (R3 = H, carboxy, alkyl), CH2CH2CO, 1,2-cyclopropanediylcarbonyl, OCH2CO, CH:CHCHR3, CH2CH2CH(OH), CONHCHR3, or CH2NH-5,1-tetrazolediyl; U, V, W = H, halo, alkyl provided that U and V are not both hydrogen; X = CO, phenylalkylene, sulfonyl; Y = alkylene which may be substituted by amino or cycloalkyl, alkenylene, alkylenethio; Z = H, alkylthio, CO2H, CONH2, 1-adamantyl, diphenylmethyl, 3-[[[5-chloro-2-pyridinyl]amino]carbonyl]-2-pyrazinyl, hydroxy, phenylmethoxy, 2-chloro-4-[[[3-hydroxyphenyl)methyl]amino]carbonyl]phenyl, [(2,6-dichlorophenyl)methoxy], Ph, (un)substituted cycloalkyl or aryl or fused ring system which may contain 0-3 heteroatoms; m, n = 0, 1] or their pharmaceutically acceptable salts or esters were prepared and are useful for treating rheumatoid arthritis, psoriasis, multiple sclerosis, Crohn's disease, ulcerative colitis, atherosclerosis, restenosis, pancreatitis, transplant rejection, delayed graft function and diseases of ischemia reperfusion injury, including acute myocardial infarction and stroke. Thus, N-[2-chloro-4-[[[3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-(3-methoxybenzoylamino)-L-alanine was prepared by the solid-phase method and showed IC50 = 1.2 nM in the LFA-1 (lymphocyte function-associated antigen-1)/ICAM-1 protein-protein assay.

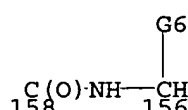
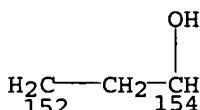
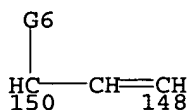
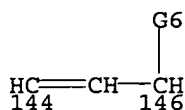
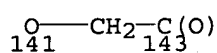
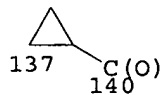
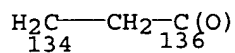
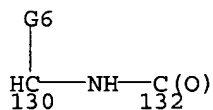
**MSTR 1**G1 = H / 14

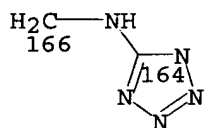
G2—G5  
15 14

G2 = 18 / 28 / 37 / 46 / 56 / 65 / 74 / 83

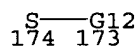


G3 = NH<sub>2</sub> / CO<sub>2</sub>H / H / OH / CN / CF<sub>3</sub> / F / Cl / Br / I /  
alkyl <containing 1-10 C> / alkoxy <containing 1-10 C>  
G4 = H / OH / NH<sub>2</sub> / F / Cl / Br / I  
G5 = 130-15 132-1 / 134-15 136-1 / 137-15 140-1 /  
141-15 143-1 / 144-15 146-1 / 150-15 148-1 /  
152-15 154-1 / 158-15 156-1 / 166-15 164-1

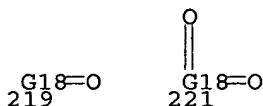
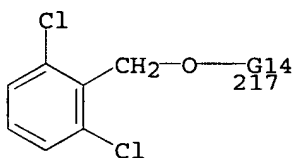
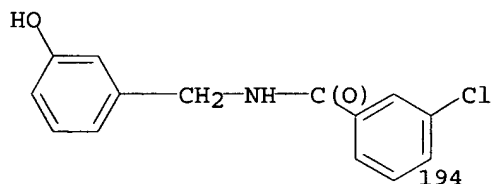
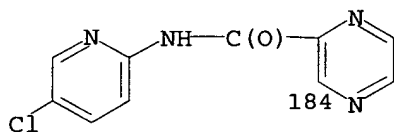




- G6 = H / CO<sub>2</sub>H / alkyl <containing 1-10 C>  
 G7 = (up to 1) H / F / Cl / Br / I /  
       alkyl <containing 1-10 C>  
 G8 = H / F / Cl / Br / I / alkyl <containing 1-10 C>  
 G9 = C(O) / alkylene <containing 1-10 C>  
       (substd. by Ph) / SO<sub>2</sub>  
 G10 = alkylene <containing 1-10 C> (opt. substd. by G11) /  
       174-170 173-172 / bond

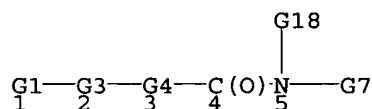


- G11 = NH<sub>2</sub> (opt. substd.) / cycloalkyl <containing 3-10 C>  
 G12 = alkylene <containing 1-10 C>  
 G13 = H / alkylthio <containing 1-10 C> / CO<sub>2</sub>H / CONH<sub>2</sub> /  
       NH<sub>2</sub> / 1-adamantyl / CHPh<sub>2</sub> / 184 / OH / OCH<sub>2</sub>Ph / 194 / 217 /  
       cycloalkyl (opt. substd. by 1 or more G15) /  
       aryl (opt. substd. by 1 or more G15) /  
       heteroaryl <containing 1-3 C, 5- or 6-membered rings only>  
       (opt. substd. by 1 or more G15) / 219 / 221 /  
       (Specifically claimed: Ph (opt. substd. by G17) / thienyl)

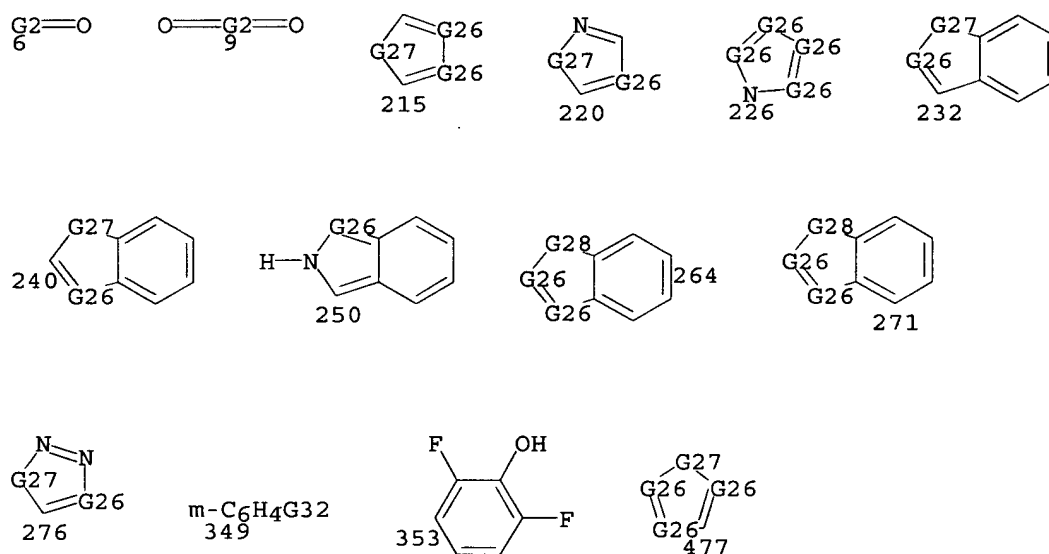


- G14 = phenylene  
 G15 = F / Cl / Br / I / CN / NH<sub>2</sub> / SO<sub>2</sub>NH<sub>2</sub> / NO<sub>2</sub> / OH /  
       aryl / aryloxy / alkoxy <containing 1-10 C> /  
       alkylsulfinyl <containing 1-10 C> /  
       alkylthio <containing 1-10 C> / COMe / CONH<sub>2</sub> / NHNH<sub>2</sub> / CO<sub>2</sub>H /  
       alkoxycarbonyl <containing 1-10 C> / OCOMe /  
       alkyl <containing 1-10 C> (opt. substd. by 1 or more G16)  
 G16 = F / Cl / Br / I / NH<sub>2</sub> / alkoxy <containing 1-10 C>  
 G17 = F / OH  
 G18 = carbocycle (opt. substd.) /  
       heterocycle <aromatic> (opt. substd.)



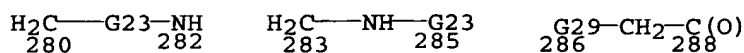


G1 = any ring <containing 0-4 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 1-3 rings, 5-, 6- or 7-membered rings only> (opt. substd.) / 6 / 9 / (Specifically claimed: 215 / 220 / 226 / 232 / 240 / 250 / 264 / 271 / Ph / pyridyl / 276 / 477 / indolyl) / (Examples: 349 / 353)

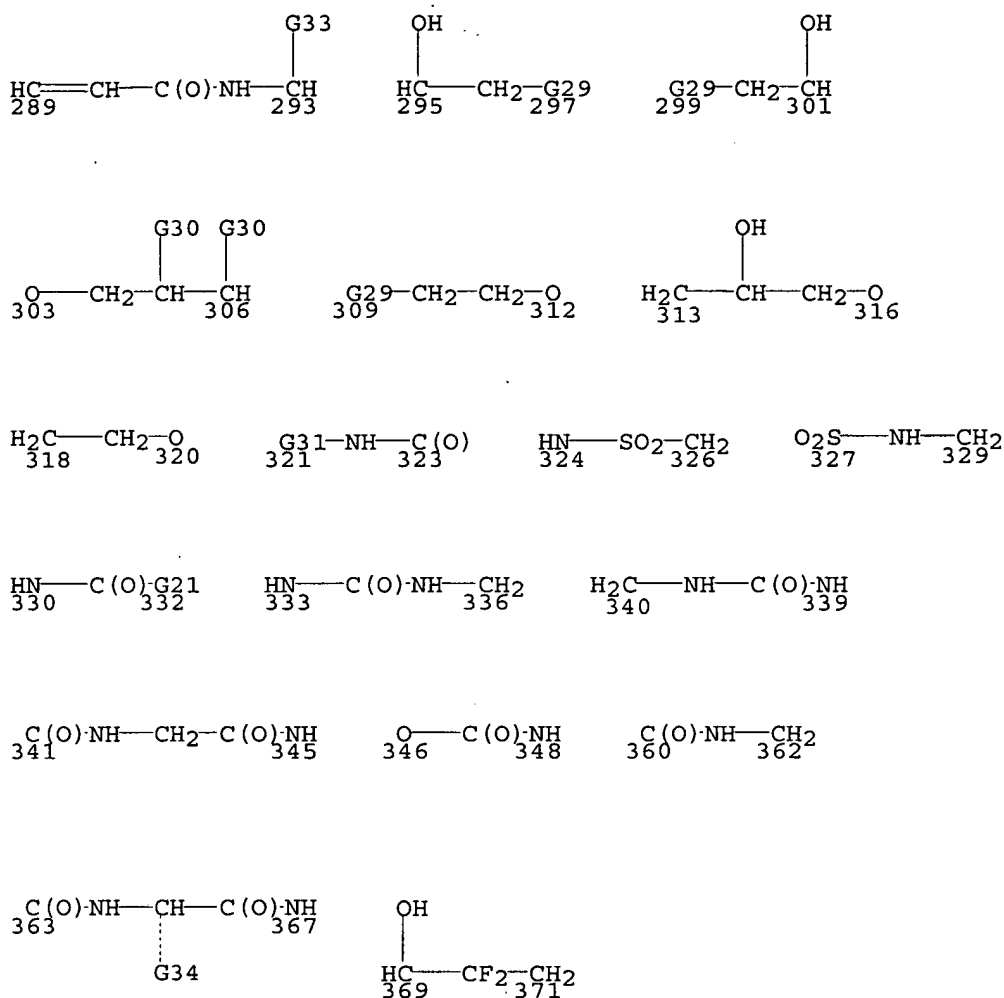


G2 = any ring <containing 0-4 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 1-3 rings, 5-, 6- or 7-membered rings only> (opt. substd.)

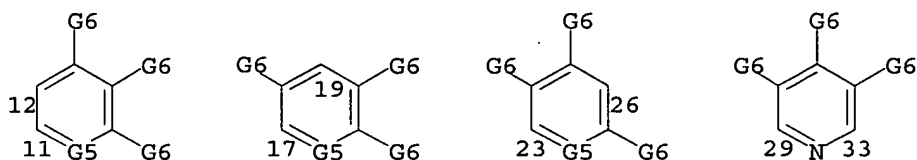
G3 = R <"bivalent linking group"> / (Specifically claimed: alkylene <containing 3-5 C> / alkenylene <containing 3-5 C> / 280-1 282-3 / 283-1 285-3 / 286-1 288-3 / 289-1 293-3 / 295-1 297-3 / 299-1 301-3 / 303-1 306-3 / 309-1 312-3 / 313-1 316-3 / 318-1 320-3 / 321-1 323-3 / 324-1 326-3 / 327-1 329-3 / 330-1 332-3 / 333-1 336-3 / 340-1 339-3 / 341-1 345-3 / 346-1 348-3 ) / (Examples: 360-1 362-3 / CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub> / 363-1 367-3 / 369-1 371-3 )

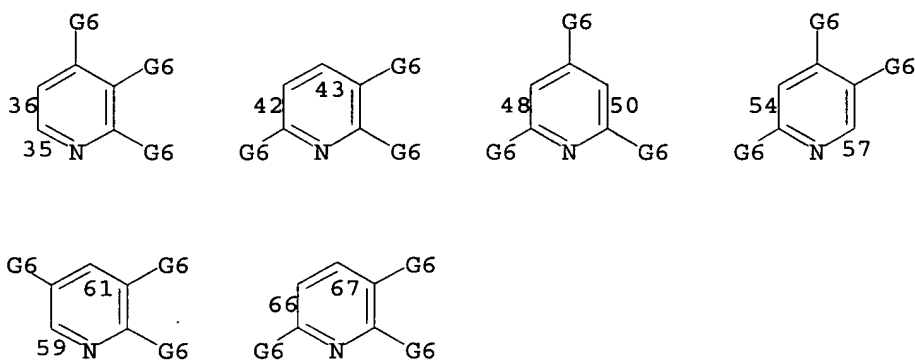




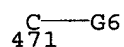


G4 =  $\frac{11-2}{36-2} \frac{12-4}{35-4} / \frac{17-2}{42-2} \frac{19-4}{43-4} / \frac{23-2}{48-2} \frac{26-4}{50-4} / \frac{29-2}{54-2} \frac{33-4}{57-4} /$   
 $\frac{61-2}{67-2} \frac{59-4}{66-4} /$  any ring <containing 0-3  
 heteroatoms, zero or more N, zero or more O,  
 zero or more S (no other heteroatoms), aromatic,  
 6 or more normalized bonds, bicyclic, (0-1) 5-membered,  
 1 or more 6-membered, (0-1) 7-membered rings only>  
 (opt. substd.)



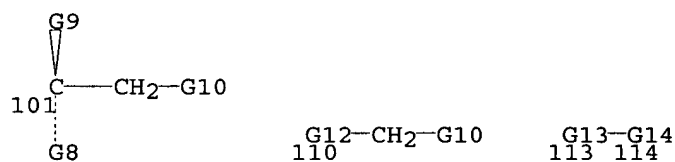


G5 = 471 / N



G6 = H / R / (Examples: Cl / Me / F)

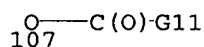
G7 = 101 / 110 / 113



G8 = H / alkyl <containing 1-6 C>

G9 = H / R

G10 = OH / 107

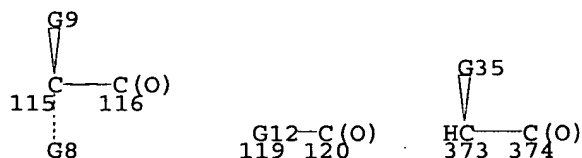


G11 = alkyl <containing 1-6 C>

G12 = cycloalkylene <containing 3-6 C> (opt. substd.)

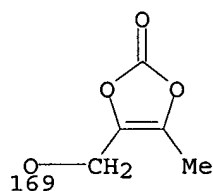
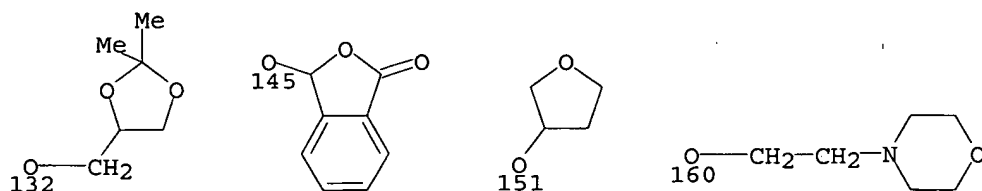
G13 = 115-5 116-114 / 119-5 120-114 /

(Example: 373-5 374-114 )

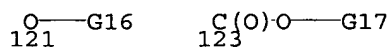


G14 = OH / alkoxy <containing 1-11 C> /  
 cycloalkyloxy <containing 3-12 C> /  
 alkoxy <containing 1-6 C> (substd. by 1 or more aryl  
 <containing 6-11 C>) / cycloalkyloxy <containing 3-6 C>  
 (substd. by aryl <containing 6-9 C>) /  
 aryloxy <containing 6-10 C> / alkoxy <containing 1-8 C>

```
(substd. by G15) / alkoxy <containing 1-10 C>
(substd. by NH2 (opt. substd.)) / 132 / 145 / 151 / 160 /
169 / H
```



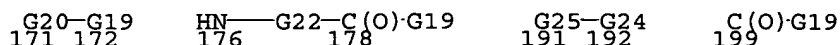
G15 = 121 / 123



G16 = alkylcarbonyl <containing 1-8 C> /  
alkoxycarbonyl <containing 1-8 C> /  
cycloalkylcarbonyl <containing 3-8 C> /  
cycloalkyloxy carbonyl <containing 3-8 C> /  
aryloxy carbonyl <containing 6-10 C> /  
arylcabonyl <containing 6-10 C> /  
alkylcarbonyl <containing 1-7 C>  
(substd. by alkoxy <containing 1-7 C>)

G17 = alkyl <containing 1-8 C> /  
cycloalkyl <containing 3-8 C> / aryl <containing 6-10 C>

G18 = H / alkyl <containing 1-10 C> /  
alkenyl <containing 2-10 C> / alkynyl <containing 2-10 C> /  
cycloalkyl <containing 3-11 C> /  
cycloalkenyl <containing 3-10 C> /  
aryl <containing 6-12 C> (substd. by alkyl <containing 1-6 C>  
) / alkyl <containing 1-6 C> (substd. by aryl <containing  
6-12 C>) / heterocycle (substd. by alkyl <containing 1-6 C>  
) / alkyl <containing 1-6 C> (substd. by heterocycle) /  
aryl <containing 6-12 C> / heterocycle / 171 / 176 / 191 /  
199 / 201



G23-NH-C(O)-G19  
201

G19 = H / alkyl <containing 1-10 C> /  
alkenyl <containing 2-10 C> / alkynyl <containing 2-10 C> /  
cycloalkyl <containing 3-11 C> /  
cycloalkenyl <containing 3-10 C> /  
aryl <containing 6-12 C> (substd. by alkyl <containing 1-6 C>  
) / alkyl <containing 1-6 C> (substd. by aryl <containing  
6-12 C>) / heterocycle (substd. by alkyl <containing 1-6 C>  
) / alkyl <containing 1-6 C> (substd. by heterocycle) /  
aryl <containing 6-12 C> / heterocycle  
G20 = 173-5 175-172 / 182-5 183-172 / 184-5 187-172 /  
188-5 189-172

HN-C(O)-G21      C(O)-G21      G23-NH-C(O)-O      G19  
173    175    182 183    184    187      188 189

G21 = O / NH  
G22 = bond / 180-176 181-178

O<sub>2</sub>S-NH  
180 181

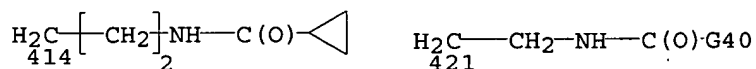
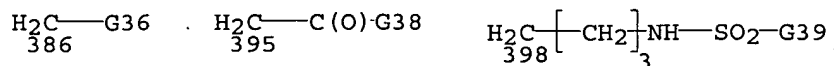
G23 = C(O) / SO<sub>2</sub>  
G24 = alkyl <containing 1-8 C> /  
alkenyl <containing 2-8 C> / alkynyl <containing 2-8 C> /  
cycloalkyl <containing 3-8 C> /  
cycloalkenyl <containing 3-6 C> /  
Ph (opt. substd. by alkyl <containing 1-6 C>) /  
alkyl <containing 1-6 C> (substd. by Ph) /  
heterocycle (opt. substd. by alkyl <containing 1-6 C>) /  
alkyl <containing 1-6 C> (substd. by heterocycle)  
G25 = 193-5 194-192 / 195-5 198-192 / 205-5 207-192 /  
208-5 211-192 / SO<sub>2</sub> / 212-5 213-192

HN-SO<sub>2</sub>      HN-C(O)-NH-SO<sub>2</sub>      C(O)-NH-SO<sub>2</sub>      C(O)-NH-SO<sub>2</sub>-NH  
193 194    195    198    205    207    208    211

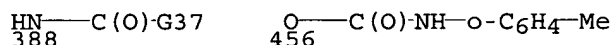
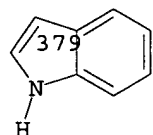
O<sub>2</sub>S-O  
212 213

G26 = CH / N  
G27 = S / NH / O  
G28 = S / O  
G29 = O / CH<sub>2</sub>  
G30 = H / (1) OH  
G31 = CHMe / C(O)

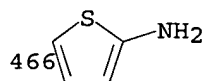
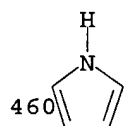
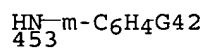
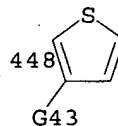
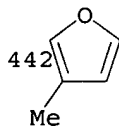
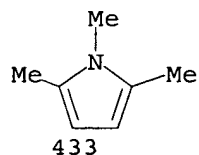
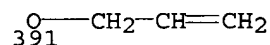
G32 = OH / Cl  
 G33 = H / Me  
 G34 = Ph / CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>  
 G35 = 386 / 395 / 398 / 414 / 421



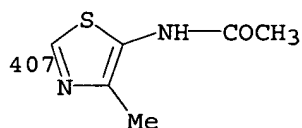
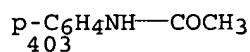
G36 = 379 / H / 388 / 456



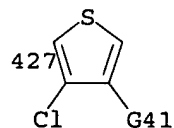
G37 = 391 / 453 / 433 / 442 / 448 / Ph / 460 / 466



G38 = NH<sub>2</sub> / NHPh  
 G39 = 403 / 2-thienyl / 407



G40 = 427 / cyclohexyl



G41 = SO<sub>2</sub>Me / H  
 G42 = H / CN  
 G43 = Br / H

Derivative: and pharmaceutically acceptable salts  
 Patent location: claim 1  
 Note: substitution is restricted  
 Note: additional substitution and ring formation also claimed

L71 ANSWER 4 OF 5 MARPAT COPYRIGHT 2006 ACS on STN

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 129:25142 MARPAT  
 TITLE: Magnetic resonance blood pool agents  
 INVENTOR(S): Woulfe, Steven R.  
 PATENT ASSIGNEE(S): Mallinckrodt Medical, Inc., USA  
 SOURCE: PCT Int. Appl., 23 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

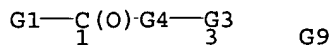
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9820908	A1	19980522	WO 1997-US20381	19971112
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5888476	A	19990330	US 1996-748302	19961113
CA 2271735	AA	19980522	CA 1997-2271735	19971112
AU 9871782	A1	19980603	AU 1998-71782	19971112
AU 726467	B2	20001109		
EP 948361	A1	19991013	EP 1997-949385	19971112
EP 948361	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2001504120	T2	20010327	JP 1998-522702	19971112
AT 287733	E	20050215	AT 1997-949385	19971112
ES 2235260	T3	20050701	ES 1997-949385	19971112
US 5961953	A	19991005	US 1998-8529	19980116
PRIORITY APPLN. INFO.:			US 1996-748302	19961113
			WO 1997-US20381	19971112

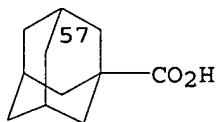
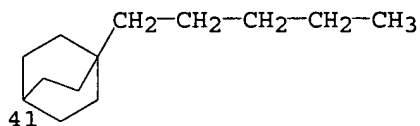
AB Compns. comprising contrast agents capable of binding non-covalently to blood proteins are provided. These compns. provide increased residence time of contrast agents in the vasculature, thus provide effective blood pool contrast agents. Thus, 4-pentylbicyclo[2.2.2]octane-1-carboxylic acid 1.7 g was reacted with N-hydroxysuccinimide 863 mg in the presence of dicyclohexylcarbodiimide, then with 4-aminobutyl-diethylenetriaminepentaacetic acid penta-t-Bu ester 5.6 g to give 3.0 g 4-pentylbicyclo[2.2.2]octane-1-carboxy-4-aminobutyl-diethylenetriaminepentaacetic acid penta-t-Bu ester, 2.4 g of which was reacted with 10 mL of 12 N HCl to give 4-pentylbicyclo[2.2.2]octane-1-carboxy-4-aminobutyl-diethylenetriaminepentaacetic acid trihydrochloride

salt, 382 mg of which was reacted with 800 mg gadolinium oxide to give gadolinium (III)-4-pentylbicyclo[2.2.2]octane-1-carboxy-4-aminobutyl-diethylenetriaminepentaacetic acid.

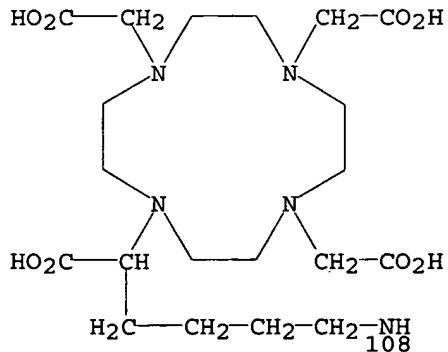
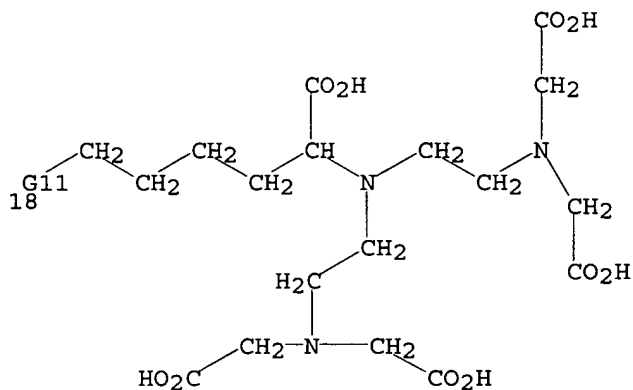
**MSTR 1A**



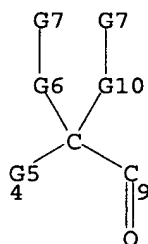
G1 = cycloalkyl <containing 6-20 C, mono- or polycyclic>  
(opt. substd. by 1 or more G2) / (Specifically claimed: 41 / 57)



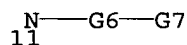
G2 = NH2 / CO2H / SO3H / PO3H2  
G3 = R <"chelating agent"> / (Specifically claimed: 18 / 108)



G4 = (0-2) 4-1 9-3



G5 = NH / 11 / (Specifically claimed: NMe)



G6 = bond / alkylene <containing 1-6 C, unbranched>

G7 = H / NH<sub>2</sub> / CO<sub>2</sub>H / SO<sub>3</sub>H / PO<sub>3</sub>H<sub>2</sub>

G9 = Ti / V / Cr / Mn / Fe / Co / Ni / Cu / Mo / Tc /  
Ru / Ce / Pr / Nd / Pm / Sm / Eu / Gd / Tb / Dy / Ho / Er /  
Tm / Yb

G10 = bond / alkylene <containing 1-6 C, unbranched> /  
(Specifically claimed: CH<sub>2</sub>)

G11 = NH / NMe

Patent location: claim 1

Note: also incorporates claim 7

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 5 OF 5 MARPAT COPYRIGHT 2006 ACS on STN

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 120:245602 MARPAT

TITLE: Preparation of 17-ethers and thioethers of  
4-aza-steroids as steroid reductase inhibitors

INVENTOR(S): Witzel, Bruce E.; Tolman, Richard L.; Rasmusson, Gary  
H.; Bakshi, Raman K.; Yang, Shu Shu

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323040	A1	19931125	WO 1993-US4746	19930519
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342521	A1	19931213	AU 1993-42521	19930519
AU 668180	B2	19960426		
EP 641204	A1	19950308	EP 1993-911358	19930519
EP 641204	B1	20000816		



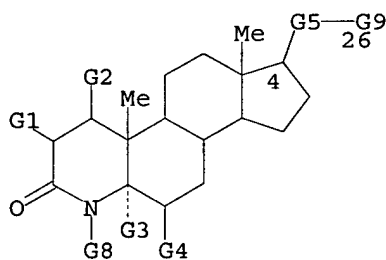
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

JP 07508038	T2	19950907	JP 1993-503831	19930519
AT 195530	E	20000915	AT 1993-911358	19930519
ES 2148229	T3	20001016	ES 1993-911358	19930519
US 5536727	A	19960716	US 1994-338572	19941117

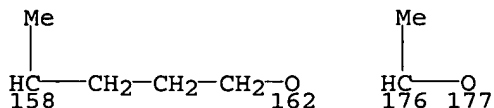
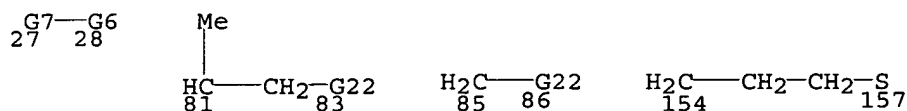
PRIORITY APPLN. INFO.:

US 1992-886031	19920520
WO 1993-US4746	19930519

AB Title compds. [I; a, b both = single bonds, and R2 = H; or a = double bond, b = single bond, and R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, (aryl)alkyl; R3 = H, Me, Et, OH, NH2, SMe; R4 = (substituted) alkyl, aryl, heterocyclyl; Z = XR4, (CHR1)nXR4; X = O, S, SO, SO2], were prepared as inhibitors of steroid 5 $\alpha$ -reductase enzymes 1 and 2 (no data). The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp. Thus, 17-hydroxymethyl-4-methyl-5 $\alpha$ -4-azaandrostan-3-one and diphenyldiazomethane in CH<sub>2</sub>Cl<sub>2</sub> were treated dropwise with BF<sub>3</sub>.Et<sub>2</sub>O to give 17-diphenylmethoxymethyl-4-methyl-5 $\alpha$ -4-azaandrostan-3-one.

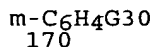
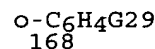
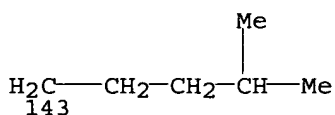
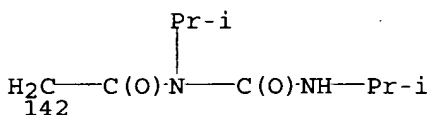
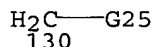
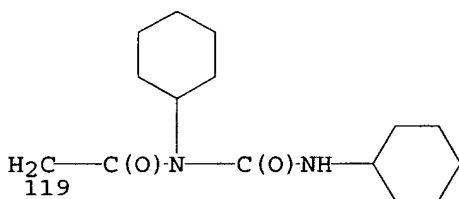
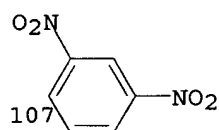
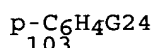
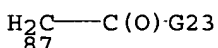
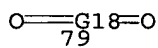
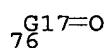
MSTR 1      ITERATION INCOMPLETE

G1 = H  
 G2 = H  
 G3 = H  
 G4 = H  
 G5 = O / S / S(O) / SO<sub>2</sub> / 27-4 28-26 /  
 (Specifically claimed: 81-4 83-26 / 85-4 86-26 /  
 154-4 157-26 / 158-4 162-26 / 176-4 177-26 )

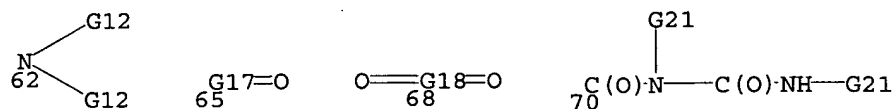
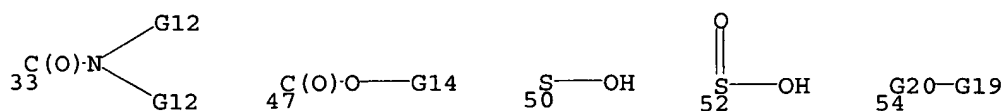


G6 = O / S / S(O) / SO<sub>2</sub>  
 G7 = alkylene <containing 1 or more C>  
 (opt. substd. by 1 or more G11)  
 G8 = H / Me / Et / OH / NH<sub>2</sub> / SMe

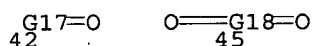
G9 = alkyl <containing 1-20 C> (opt. substd. by 1 or more G10) / Ph (opt. substd.) / naphthyl (opt. substd.) / heterocycle <containing 1-3 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 5- to 7-membered monocyclic ring> (opt. substd.) / 76 / 79 / heterocycle <containing 1-3 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 6 or more C, aromatic, 6 or more normalized bonds, bicyclic, 0 or more 5-membered, 1 or more 6-membered, 0 or more 7-membered rings only> (opt. substd.) / cycloalkyl <containing 3-10 C> (opt. substd.) / (Specifically claimed: Me / 87 / Et / CHPh2 / Pr-i / 103 / 107 / 119 / 3-pyridyl / 130 / 142 / 143 / hexyl / Pr-n / undecyl / CH2CH=CH2 / CH2CH2CHMe2 / CH2C(Me)=CH2 / 168 / 170)



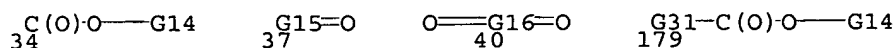
G10 = OH / F / Cl / Br / I / alkoxy <containing 1-8 C> / alkenyl <containing 2-10 C> / 33 / 47 / SH / 50 / 52 / 54 / 62 / Ph (opt. substd.) / naphthyl (opt. substd.) / heterocycle <containing 1-3 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 5- to 7-membered monocyclic ring> (opt. substd.) / 65 / 68 / heterocycle <containing 1-3 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 6 or more C, aromatic, 6 or more normalized bonds, bicyclic, 0 or more 5-membered, 1 or more 6-membered, 0 or more 7-membered rings only> (opt. substd.) / cycloalkyl <containing 3-10 C> (opt. substd.) / 70



G11 = Ph / naphthyl  
 G12 = H / alkyl <containing 1-8 C>  
 (opt. substd. by 1 or more G13) / Ph (opt. substd.) /  
 naphthyl (opt. substd.) / heterocycle <containing 1-3  
 heteroatoms, zero or more N, zero or more O,  
 zero or more S (no other heteroatoms),  
 5- to 7-membered monocyclic ring> (opt. substd.) / 42 / 45 /  
 heterocycle <containing 1-3 heteroatoms, zero or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 6 or more C, aromatic, 6 or more normalized bonds, bicyclic,  
 0 or more 5-membered, 1 or more 6-membered,  
 0 or more 7-membered rings only> (opt. substd.)

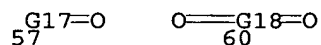


G13 = OH / alkoxy <containing 1-3 C> / CN / 34 / 179 /  
 NO2 / F / Cl / Br / I / NH2 / alkylamino <containing 1-4 C> /  
 dialkylamino <each alkyl containing 1-4 C> /  
 Ph (opt. substd.) / naphthyl (opt. substd.) /  
 heterocycle <containing 1-3 heteroatoms, zero or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 5- to 7-membered monocyclic ring> / 37 / 40 /  
 heterocycle <containing 1-3 heteroatoms, zero or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 6 or more C, aromatic, 6 or more normalized bonds, bicyclic,  
 0 or more 5-membered, 1 or more 6-membered,  
 0 or more 7-membered rings only>



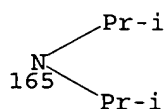
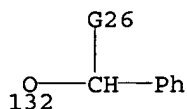
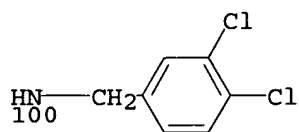
G14 = H / alkyl <containing 1-8 C> (opt. substd.) /  
 Ph (opt. substd.) / naphthyl (opt. substd.)  
 G15 = heterocycle <containing 1-3 heteroatoms,  
 zero or more N, zero or more O,  
 zero or more S (no other heteroatoms),  
 5- to 7-membered monocyclic ring> /  
 heterocycle <containing 1-3 heteroatoms, zero or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 6 or more C, aromatic, 6 or more normalized bonds, bicyclic,

- 0 or more 5-membered, 1 or more 6-membered,  
0 or more 7-membered rings only>
- G16 = heterocycle <containing 1-3 heteroatoms,  
zero or more N, zero or more O,  
1 or more S (no other heteroatoms),  
attached through 1 or more S, 5- to 7-membered monocyclic  
ring> / heterocycle <containing 1-3 heteroatoms,  
zero or more N, zero or more O,  
1 or more S (no other heteroatoms), 6 or more C,  
attached through 1 or more S, aromatic,  
6 or more normalized bonds, bicyclic, 0 or more 5-membered,  
1 or more 6-membered, 0 or more 7-membered rings only>
- G17 = heterocycle <containing 1-3 heteroatoms,  
zero or more N, zero or more O,  
zero or more S (no other heteroatoms),  
5- to 7-membered monocyclic ring> (opt. substd.) /  
heterocycle <containing 1-3 heteroatoms, zero or more N,  
zero or more O, zero or more S (no other heteroatoms),  
6 or more C, aromatic, 6 or more normalized bonds, bicyclic,  
0 or more 5-membered, 1 or more 6-membered,  
0 or more 7-membered rings only> (opt. substd.)
- G18 = heterocycle <containing 1-3 heteroatoms,  
zero or more N, zero or more O,  
1 or more S (no other heteroatoms),  
attached through 1 or more S, 5- to 7-membered monocyclic  
ring> (opt. substd.) / heterocycle <containing 1-3  
heteroatoms, zero or more N, zero or more O,  
1 or more S (no other heteroatoms), 6 or more C,  
attached through 1 or more S, aromatic,  
6 or more normalized bonds, bicyclic, 0 or more 5-membered,  
1 or more 6-membered, 0 or more 7-membered rings only>  
(opt. substd.)
- G19 = alkyl <containing 1-8 C>  
(opt. substd. by 1 or more G13) / Ph (opt. substd.) /  
naphthyl (opt. substd.) / heterocycle <containing 1-3  
heteroatoms, zero or more N, zero or more O,  
zero or more S (no other heteroatoms),  
5- to 7-membered monocyclic ring> (opt. substd.) / 57 / 60 /  
heterocycle <containing 1-3 heteroatoms, zero or more N,  
zero or more O, zero or more S (no other heteroatoms),  
6 or more C, aromatic, 6 or more normalized bonds, bicyclic,  
0 or more 5-membered, 1 or more 6-membered,  
0 or more 7-membered rings only> (opt. substd.)

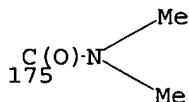
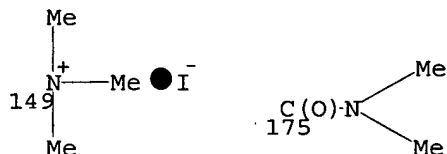


- G20 = S / S(O) / SO<sub>2</sub>
- G21 = H / alkyl <containing 1-8 C> / CH<sub>2</sub>Ph / cyclohexyl
- G22 = O / S
- G23 = 132 / 90 / OH / OEt / 100 / NHPh / NH<sub>2</sub> / 165

HN—G28  
90



G24 = Ph / NO<sub>2</sub> / NH<sub>2</sub> / NHCOMe / CN / CONH<sub>2</sub> / NMe<sub>2</sub> / 149 /  
OMe / 175



G25 = 2-pyridyl / Ph  
G26 = H / Ph  
G27 = COMe / CH(OH)Me / Bu-t  
G28 = 91 / 1-adamantyl / Bu-i / CH<sub>2</sub>CH<sub>2</sub>OH

p-C<sub>6</sub>H<sub>4</sub>G27  
91

G29 = CN / NO<sub>2</sub> / CONH<sub>2</sub>  
G30 = CN / CONH<sub>2</sub>  
G31 = alkylene <containing 1-8 C>  
G1 +G2 = bond  
G3 +G4 = bond

Derivative:

Patent location:

Note:

or pharmaceutically acceptable salts or esters  
claim 1  
substitution is restricted

=> d que nos 162

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L10      STR
L12      61 SEA FILE=REGISTRY SSS FUL L10
L18      QUE ABB=ON PLU=ON BURDICK, D?/AU
L19      QUE ABB=ON PLU=ON GADEK, T?/AU
L20      QUE ABB=ON PLU=ON MCDOWELL, R?/AU
L21      QUE ABB=ON PLU=ON MC DOWELL, R?/AU
L22      QUE ABB=ON PLU=ON MARSTERS, J?/AU
L23      QUE ABB=ON PLU=ON OARE, D?/AU
L24      QUE ABB=ON PLU=ON REYNOLDS, M?/AU
L25      QUE ABB=ON PLU=ON STANLEY, M?/AU
L26      QUE ABB=ON PLU=ON WEESE, K?/AU
L27      QUE ABB=ON PLU=ON GENENTECH/PA,CS,SO
L28      QUE ABB=ON PLU=ON MCDOWELL, B?/AU
L29      QUE ABB=ON PLU=ON MC DOWELL, B?/AU
L32      2 SEA FILE=HCAPLUS ABB=ON PLU=ON L12
L34      1 SEA FILE=HCAPLUS ABB=ON PLU=ON L32 AND (L18 OR L19 OR L20 OR
L36      QUE ABB=ON PLU=ON ?PEPTIDOMIM? OR (?PEPTIDO(W)MIME?)
L37      QUE ABB=ON PLU=ON CD OR CD11 OR CD18
L38      15 SEA FILE=HCAPLUS ABB=ON PLU=ON ((L18 OR L19 OR L20 OR L21 OR
L39      1 SEA FILE=HCAPLUS ABB=ON PLU=ON L38 AND L37
L40      7 SEA FILE=HCAPLUS ABB=ON PLU=ON L38 AND L27
L41      1 SEA FILE=HCAPLUS ABB=ON PLU=ON L27 AND L36 AND L37
L42      7 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 OR L39 OR L40 OR L41

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=> d que 167

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L18      QUE ABB=ON PLU=ON BURDICK, D?/AU
L19      QUE ABB=ON PLU=ON GADEK, T?/AU
L20      QUE ABB=ON PLU=ON MCDOWELL, R?/AU
L21      QUE ABB=ON PLU=ON MC DOWELL, R?/AU
L22      QUE ABB=ON PLU=ON MARSTERS, J?/AU
L23      QUE ABB=ON PLU=ON OARE, D?/AU
L24      QUE ABB=ON PLU=ON REYNOLDS, M?/AU
L25      QUE ABB=ON PLU=ON STANLEY, M?/AU
L26      QUE ABB=ON PLU=ON WEESE, K?/AU
L27      QUE ABB=ON PLU=ON GENENTECH/PA,CS,SO
L28      QUE ABB=ON PLU=ON MCDOWELL, B?/AU
L29      QUE ABB=ON PLU=ON MC DOWELL, B?/AU
L36      QUE ABB=ON PLU=ON ?PEPTIDOMIM? OR (?PEPTIDO(W)MIME?)
L37      QUE ABB=ON PLU=ON CD OR CD11 OR CD18
L64      51 SEA FILE=WPIX ABB=ON PLU=ON L37 AND (L18 OR L19 OR L20 OR
L65      0 SEA FILE=WPIX ABB=ON PLU=ON L64 AND L36
L66      5 SEA FILE=WPIX ABB=ON PLU=ON L64 AND ((L18 OR L19 OR L20 OR
L67      5 SEA FILE=WPIX ABB=ON PLU=ON L65 OR L66

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=> d his 174

(FILE 'HCAPLUS, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JICST-EPLUS, JAPIO, LIFESCI, BIOENG, BIOTECHNO, BIOTECHDS, DRUGU, DRUGB, VETU, VETB, TOXCENTER, SCISEARCH, CONFSCI, DISSABS' ENTERED AT 09:42:57 ON 01 DEC 2006)

L74 5 S L73 AND L36

=> d que 174

L18 QUE ABB=ON PLU=ON BURDICK, D?/AU  
 L19 QUE ABB=ON PLU=ON GADEK, T?/AU  
 L20 QUE ABB=ON PLU=ON MCDOWELL, R?/AU  
 L21 QUE ABB=ON PLU=ON MC DOWELL, R?/AU  
 L22 QUE ABB=ON PLU=ON MARSTERS, J?/AU  
 L23 QUE ABB=ON PLU=ON OARE, D?/AU  
 L24 QUE ABB=ON PLU=ON REYNOLDS, M?/AU  
 L25 QUE ABB=ON PLU=ON STANLEY, M?/AU  
 L26 QUE ABB=ON PLU=ON WEESE, K?/AU  
 L27 QUE ABB=ON PLU=ON GENENTECH/PA,CS,SO  
 L28 QUE ABB=ON PLU=ON MCDOWELL, B?/AU  
 L29 QUE ABB=ON PLU=ON MC DOWELL, B?/AU  
 L36 QUE ABB=ON PLU=ON ?PEPTIDOMIM? OR (?PEPTIDO(W)MIME?)  
 L37 QUE ABB=ON PLU=ON CD OR CD11 OR CD18  
 L73 620 SEA (L18 OR L19 OR L20 OR L21 OR L22 OR L23 OR L24 OR L25 OR  
 L26 OR L27 OR L28 OR L29) AND L37  
 L74 5 SEA L73 AND L36

=> dup rem 142 167 174

FILE 'HCAPLUS' ENTERED AT 09:58:18 ON 01 DEC 2006  
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PROCESSING COMPLETED FOR L42  
 PROCESSING COMPLETED FOR L67  
 PROCESSING COMPLETED FOR L74

L77 15 DUP REM L42 L67 L74 (2 DUPLICATES REMOVED)  
 ANSWERS '1-7' FROM FILE HCAPLUS  
 ANSWERS '8-11' FROM FILE WPIX  
 ANSWERS '12-14' FROM FILE BIOSIS  
 ANSWER '15' FROM FILE DRUGU

=> file stnguide

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FILE CONTAINS CURRENT INFORMATION.  
 LAST RELOADED: Nov 24, 2006 (20061124/UP).

=&gt; d ibib ed ab 1-15

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, WPIX, BIOSIS, DRUGU' - CONTINUE? (Y)/N:y

L77 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1  
 ACCESSION NUMBER: 1999:640697 HCAPLUS  
 DOCUMENT NUMBER: 131:267045  
 TITLE: Peptidomimetic antagonists for treatment of  
CD11/CD18 adhesion receptor-mediated  
 disorders  
 INVENTOR(S): Burdick, Daniel J.  
 PATENT ASSIGNEE(S): Genentech, Inc., USA  
 SOURCE: PCT Int. Appl., 230 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9949856	A2	19991007	WO 1999-US6410	19990324
WO 9949856	A3	19991118		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2325986	AA	19991007	CA 1999-2325986	19990324
AU 9931137	A1	19991018	AU 1999-31137	19990324
AU 764524	B2	20030821		
EP 1063982	A2	20010103	EP 1999-912869	19990324
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
HU 200101587	A2	20010828	HU 2001-1587	19990324
BR 9909418	A	20010925	BR 1999-9418	19990324
NZ 506779	A	20030829	NZ 1999-506779	19990324
ZA 2000004653	A	20011211	ZA 2000-4653	20000905
NO 2000004800	A	20001124	NO 2000-4800	20000926
US 2005203135	A1	20050915	US 2003-649762	20030826
PRIORITY APPLN. INFO.:			US 1998-79732P	P 19980327
			WO 1999-US6410	W 19990324
			US 2000-646330	B1 20000914

OTHER SOURCE(S): MARPAT 131:267045  
 ED Entered STN: 08 Oct 1999  
 AB Peptidomimetic compds. (Markush included) that are useful for  
 treating Mac-1- or LFA-1-mediated disorders, e.g. inflammatory disorders,  
 allergies, and autoimmune diseases, are provided.

L77 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2006:1030459 HCAPLUS  
 DOCUMENT NUMBER: 145:397792  
 TITLE: Cdk2 inhibitors



INVENTOR(S): Castanedo, Georgette M.; Stanley, Mark S.;  
 Sutherlin, Daniel P.; Tsui, Vickie Hsiao-Wei  
 PATENT ASSIGNEE(S): Genentech, Inc., USA  
 SOURCE: PCT Int. Appl., 60pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006105386	A1	20061005	WO 2006-US11880	20060329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-667181P P 20050330

OTHER SOURCE(S): MARPAT 145:397792

ED Entered STN: 05 Oct 2006

AB Compds. I [X = halo or OH; Y = NR1 or CHR7; Z1, Z2 and Z3 = O or S; R1 = H or alkyl; R2 = H, (un)substituted alkyl and one or more carbon atom in alkyl group replaced with NR1, O, S, NR1CO, CONR1, NH(NH)NH, SO2NR1, NR1SO2, CONHCO; R3 = H, alkyl, (un)substituted cycloalkyl or R3 and R7 together form carbocycle; R4 = alkyl, carbocycle, heterocycle or UVWT; U = alkyl, carbocycle or bond; V = carbocycle or bond; W = alkylene, NR1, alkyleneNR1 or alkyleneNR1alkylene; T = amino, guanidino or (un)substituted heterocycle; R5 = H or R3 and R5 form a carbocycle or (un)substituted heterocycle; R6 = H or R2 and R6 form a carbocycle or (un)substituted heterocycle] were prepared as inhibitors of Cdk2 useful as therapeutic antitumor and antiviral agents. Thus, compound II was prepared via peptide coupling, guanidinylation and protection/deprotection procedure and exhibited Cdk2 inhibition in Cdk2 assay.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L77 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:450930 HCAPLUS

DOCUMENT NUMBER: 135:46196

TITLE: Preparation of (tetrahydropyrimidinylcarbamoylethyl)thienylalanine derivatives as inhibitors of cell adhesion

INVENTOR(S): Gadek, Thomas; Gourvest, Jean-Francois;  
 Peyman, Anuschirwan; Ruxer, Jean-Marie; Scheunemann,  
 Karl-Heinz

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany;

Genentech, Inc.

SOURCE: Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1108721	A1	20010620	EP 1999-124971	19991215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2394767	AA	20010621	CA 2000-2394767	20001212
WO 2001044237	A1	20010621	WO 2000-EP12877	20001212
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001026742	A5	20010625	AU 2001-26742	20001212
EP 1240161	A1	20020918	EP 2000-989987	20001212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003105080	A1	20030605	US 2002-169612	20020923
US 6762190	B2	20040713		

PRIORITY APPLN. INFO.: EP 1999-124971 A 19991215  
 WO 2000-EP12877 W 20001212

OTHER SOURCE(S): MARPAT 135:46196

ED Entered STN: 22 Jun 2001

AB Title compds. (I) [wherein A and B = independently H, alkyl, cycloalkyl(alkyl), aryl(alkyl), halo, CN, CF<sub>3</sub>, NO<sub>2</sub>, CO<sub>2</sub>H, alkoxy(alkyl), alkoxy carbonyl, (aryl)alkyl carbonyl, aryl carbonyl, alkylaminocarbonyl, alkoxyalkoxy, alkylaminocarbonyl, (di)alkylamino, alkylsulfonyl, or aminosulfonyl; or A and B together with the thiophene ring to which they are attached may form a fused ring system; X = alkanediyl, alkenediyl, or alkynediyl, where 1 C may be replaced by N, O, or S, etc.; Y = a bond, SO<sub>2</sub>, CO, CO<sub>2</sub>, SO<sub>2</sub>NR<sub>3</sub>', or CONR<sub>3</sub>'; R<sub>1</sub> = (un)substituted alkyl, cycloalkyl(alkyl), or aryl(alkyl); R<sub>2</sub> = COR<sub>4</sub>, CSR<sub>4</sub>, SO<sub>2</sub>R<sub>4</sub>, POR<sub>4</sub>R<sub>4</sub>', or a heterocycle; R<sub>3</sub> and R<sub>3</sub>' = independently H, alkyl, cycloalkyl(alkyl), or aryl(alkyl); R<sub>4</sub> and R<sub>4</sub>' = independently OH, (aryl)alkoxy, (aryl)alkyl carbonyloxyalkoxy, or NR<sub>5</sub>NR<sub>5</sub>'; R<sub>5</sub> and R<sub>5</sub>' = independently H, alkyl, cycloalkyl(alkyl), or aryl(alkyl); or R<sub>5</sub> and R<sub>5</sub>' together with the N to which they are attached may form a heterocycle] were prepared as vitronectin receptor (VnR) antagonists. For example, coupling 5-[5-((2S)-2-amino-2-tert-butoxycarbonyl ethyl)thiophen-2-yl]pentanoic acid (6-step preparation given) and naphthalene-1-sulfonyl chloride to give the sulfonamide, followed by amidation with 1,4,5,6-tetrahydropyrimidin-2-ylamine and hydrolysis using TFA, gave (S)-II. II inhibited the binding of kistrin to human VnR  $\alpha v \beta 3$  and the binding of human embryonic kidney 293 cells to human vitronectin with IC<sub>50</sub> values of 0.023  $\mu$ M and 0.42  $\mu$ M, resp. As cell adhesion inhibitors, I are suitable for the therapy and prophylaxis of illnesses which are based on or influenced by the interaction between vitronectin receptors and their ligands in cell-cell or cell-matrix interaction processes, e.g. osteoporosis, angiogenesis, and proliferation of cells of the vascular smooth musculature (no data).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L77 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:796022 HCAPLUS  
 TITLE: Design of inhibitors of the VCAM/ $\alpha$ 4 $\beta$ 1 interaction from protein and peptide structure-function data.  
 AUTHOR(S): Artis, D. R.; Jackson, D.; Reynolds, M.; Quan, C.; Rawson, T.; Chiu, H.; Renz, M.; Clark, K.; Keating, S.; Beresini, M.; Fong, S.  
 CORPORATE SOURCE: Genentech, Inc, South San Francisco, CA, 94080, USA  
 SOURCE: Abstracts of Papers, 220th ACS National Meeting, Washington, DC, United States, August 20-24, 2000 (2000) MEDI-025  
 CODEN: 69FZC3  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal; Meeting Abstract  
 LANGUAGE: English

ED Entered STN: 14 Nov 2000

AB The localized binding epitope identified from structure-function studies has been used to design small peptide antagonists from the  $\alpha$ 4 $\beta$ 1 ligand VCAM. An alternative family of peptides has now been used in the design of novel peptidomimetic antagonists. In each case the critical binding determinants of the template mols. have been transferred intact to the designed mol.

L77 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:90470 HCAPLUS  
 DOCUMENT NUMBER: 132:322091  
 TITLE: Synthesis of 3-amino-1-carboxymethylbenzodiazepine (BZA) peptidomimetics  
 AUTHOR(S): Marsters, James C., Jr.; Rawson, Thomas E.  
 CORPORATE SOURCE: Genentech, Inc., Department of Bioorganic Chemistry, South San Francisco, CA, USA  
 SOURCE: Methods in Molecular Medicine (1999), 23(Peptidomimetics Protocols), 385-396.  
 CODEN: MMMEFN  
 PUBLISHER: Humana Press Inc.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English

ED Entered STN: 09 Feb 2000

AB A review with 14 refs. The synthesis of BZA and N-methyl-BZA scaffolds suitable for solid-phase and solution chemistries and methods for the preparation of peptidomimetic inhibitors of farnesyltransferase are described.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L77 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:473200 HCAPLUS  
 DOCUMENT NUMBER: 125:143328  
 TITLE: Preparation of low molecular weight peptide mimics as growth hormone release stimulators  
 INVENTOR(S): Somers, Todd C.; Elias, Kathleen A.; Clark, Ross G.; Mcdowell, Robert S.; Stanley, Mark S.

; Burnier, John P.; Rawson, Thomas E.  
 PATENT ASSIGNEE(S): Genentech, Inc., USA  
 SOURCE: PCT Int. Appl., 217 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615148	A2	19960523	WO 1995-US14968	19951116
WO 9615148	A3	19961114		
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5798337	A	19980825	US 1994-340767	19941116
AU 9641644	A1	19960606	AU 1996-41644	19951016
AU 698676	B2	19981105		
IL 115994	A1	20000928	IL 1995-115994	19951114
CA 2203375	AA	19960523	CA 1995-2203375	19951116
ZA 9509757	A	19970516	ZA 1995-9757	19951116
EP 792289	A1	19970903	EP 1995-940028	19951116
EP 792289	B1	20000712		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10509152	T2	19980908	JP 1995-516347	19951116
EP 999220	A2	20000510	EP 1999-123413	19951116
EP 999220	A3	20020130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 194626	E	20000715	AT 1995-940028	19951116
ES 2150018	T3	20001116	ES 1995-940028	19951116
PT 792289	T	20010131	PT 1995-940028	19951116
US 6034216	A	20000307	US 1998-57074	19980408
GR 3034348	T3	20001229	GR 2000-402036	20000906
PRIORITY APPLN. INFO.:				
			US 1994-340767	A 19941116
			EP 1995-940028	A3 19951116
			WO 1995-US14968	W 19951116

OTHER SOURCE(S): MARPAT 125:143328

ED Entered STN: 10 Aug 1996

AB The present invention comprises growth hormone releasing peptides/  
peptidomimetics (GHRP) capable of causing release of growth hormone from the pituitary. Compns. containing the GHRP's of this invention are used to promote growth in mammals either alone or in combination with other growth promoting compds., especially insulin-like growth factor-1 (IGF-1).

In a method of this invention GHRP's in combination with IGF-1 are used to treat type II diabetes. Thus, I.CF3CO2H was prepared by standard solid-phase methods on an aminomethyl resin using 9-fluorenylmethoxycarbonyl (Fmoc) N $\alpha$  protection. I induced significant body weight and organ weight gain in rats.

L77 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1995:328914 HCAPLUS

DOCUMENT NUMBER: 122:281406  
 TITLE: Benzodiazepine peptidomimetic inhibitors of farnesyltransferase  
 AUTHOR(S): Marsters, James C., Jr.; McDowell, Robert S.; Reynolds, Mark E.; Oare, David A.; Somers, Todd C.; Stanley, Mark S.; Rawson, Thomas E.; Struble, Martin E.; Burdick, Daniel J.; et al.  
 CORPORATE SOURCE: Department Bioorganic Chemistry, Genentech Inc., South San Francisco, CA, 94080, USA  
 SOURCE: Bioorganic & Medicinal Chemistry (1994), 2(9), 949-57  
 CODEN: BMECEP; ISSN: 0968-0896  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

ED Entered STN: 02 Feb 1995

AB A structural survey of protein Zn<sup>2+</sup> binding geometries was instigated based upon the functional requirements of Ras farnesyltransferase for Zn<sup>2+</sup>. The Cys-X-X-Cys motif found in Zn<sup>2+</sup>-binding proteins such as aspartate transcarbamoylase was used as a template to devise a bidentate-coordination model for Cys-A1-A2-X peptide inhibitors. Accordingly, replacement of the central dipeptide with the hydrophobic scaffold 3-amino-1-carboxymethyl-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one (BZA) yielded a peptidomimetic inhibitor, Cys(BZA)Met, of moderate potency (IC<sub>50</sub> = 400 nM). N-Methylation of the cysteine amide improved potency almost 1000-fold (IC<sub>50</sub> = 0.3-1 nM). The increased affinity presumably correlates with a preferred conformation of the inhibitor which maximizes a hydrophobic interaction between the scaffold and the enzyme, and the proper presentation of cysteine and methionine to allow bidentate coordination at Zn<sup>2+</sup>. These non-peptide inhibitors have been shown to block farnesylation of the Ras protein in intact cells and provide lead compds. for the development of new cancer therapeutic agents.

L77 ANSWER 8 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2002-608436 [65] WPIX  
 DOC. NO. CPI: C2005-224204 [76]  
 TITLE: New lymphocyte function associated antigen antagonist compound useful for treating, e.g. arthritis, psoriasis, meningitis, encephalitis, autoimmune diseases, central nervous system inflammatory disorder or atherosclerosis  
 DERWENT CLASS: B03; B05  
 INVENTOR: BURDICK D J; GADEK T R; MARSTERS J C; MARSTERS JAMES C; OARE D; REYNOLDS M E; STANLEY M S  
 PATENT ASSIGNEE: (GETH-C) GENENTECH INC  
 COUNTRY COUNT: 97

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2002059114	A1	20020801	(200265)*	EN	122[0]	
US 20020119994	A1	20020829	(200265)	EN		
NO 2003002382	A	20030709	(200353)	NO		
EP 1347968	A1	20031001	(200365)	EN		
KR 2003051882	A	20030625	(200373)	KO		
CZ 2003001380	A3	20031015	(200374)	CS		

US 6667313	B2	20031223	(200408)	EN
US 20040058968	A1	20040325	(200422) #	EN
AU 2002248142	A1	20020806	(200427)	EN
JP 2004517928	W	20040617	(200440)	JA 310
MX 2003004527	A1	20031001	(200466)	ES
ZA 2003003357	A	20040728	(200466)	EN 126
US 6872735	B2	20050329	(200522) #	EN
HU 2004002305	A2	20050228	(200523)	HU
CN 1592746	A	20050309	(200542)	ZH
US 20050148588	A1	20050707	(200547)	EN
NZ 525573	A	20050826	(200560)	EN

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2002059114	A1	WO 2001-US44203	20011126
US 20020119994	A1 Provisional	US 2000-253682P	20001128
US 6667318	B2 Provisional	US 2000-253682P	20001128
US 20050148588	A1 Provisional	US 2000-253682P	20001128
US 6872735	B2 Provisional	US 2000-253682P	20001128
CN 1592746	A	CN 2001-821797	20011126
EP 1347968	A1	EP 2001-997016	20011126
NZ 525573	A	NZ 2001-525573	20011126
US 20020119994	A1	US 2001-994546	20011126
US 6667318	B2	US 2001-994546	20011126
US 20050148588	A1 Cont of	US 2001-994546	20011126
US 20040058968	A1 Cont of	US 2001-994546	20011126
US 6872735	B2 Cont of	US 2001-994546	20011126
NO 2003002382	A	WO 2001-US44203	20011126
EP 1347968	A1	WO 2001-US44203	20011126
CZ 2003001380	A3	WO 2001-US44203	20011126
JP 2004517928	W	WO 2001-US44203	20011126
MX 2003004527	A1	WO 2001-US44203	20011126
HU 2004002305	A2	WO 2001-US44203	20011126
NZ 525573	A	WO 2001-US44203	20011126
AU 2002248142	A1	AU 2002-248142	20011126
JP 2004517928	W	JP 2002-559416	20011126
CZ 2003001380	A3	CZ 2003-1380	20011126
ZA 2003003357	A	ZA 2003-3357	20030430
MX 2003004527	A1	MX 2003-4527	20030522
NO 2003002382	A	NO 2003-2382	20030527
KR 2003051882	A	KR 2003-707132	20030528
US 20050148588	A1 Cont of	US 2003-618178	20030711
US 20040058968	A1	US 2003-618178	20030711
US 6872735	B2	US 2003-618178	20030711
HU 2004002305	A2	HU 2004-2305	20011126
US 20050148588	A1	US 2005-40639	20050121

FILING DETAILS:

PATENT NO	KIND	PATENT NO
US 20050148588	A1 Cont of	US 6667318 B
US 20040058968	A1 Cont of	US 6667318 B
US 6872735	B2 Cont of	US 6667318 B
US 20050148588	A1 Cont of	US 6872735 B

EP 1347968 A1	Based on	WO 2002059114 A
CZ 2003001380 A3	Based on	WO 2002059114 A
AU 2002248142 A1	Based on	WO 2002059114 A
JP 2004517928 W	Based on	WO 2002059114 A
MX 2003004527 A1	Based on	WO 2002059114 A
HU 2004002305 A2	Based on	WO 2002059114 A
NZ 525573 A	Based on	WO 2002059114 A

PRIORITY APPLN. INFO: US 2000-253682P 20001128  
 US 2001-994546 20011126  
 US 2003-618178 20030711  
 US 2005-40639 20050121

ED 20050526

AB WO 2002059114 A1 UPAB: 20060202

NOVELTY - Lymphocyte function associated antigen (LFA-1) antagonist compound (I) is new.

DETAILED DESCRIPTION - Lymphocyte function associated antigen (LFA-1) antagonist compound of formula (I), its salt, solvate or hydrate is new.

Cy = non-aromatic carbocycle or heterocycle (optionally substituted by hydroxyl, mercapto, thioalkyl, halo, oxo, thio, amino, aminoalkyl, amidine, guanidine, nitro, alkyl, alkoxy, or acyl);

X = divalent hydrocarbon chain (optionally substituted by hydroxyl, mercapto/halo, amino, aminoalkyl, nitro, oxo or thio optionally interrupted with N, O, S SO or SO<sub>2</sub>);

Y = carbocycle or heterocycle (optionally substituted by OH, mercapto, halo, oxo, thio, thioalkyl, amino, aminoalkyl, carbocycle or heterocycle), hydrocarbon, halo-substituted hydrocarbon, amino, amidine, guanidine, cyano, nitro, alkoxy or acyl);

L = divalent hydrocarbon chain (optionally substituted by OH, halo, oxo, or thio and optionally interrupted by N, O, S, SO or SO<sub>2</sub>), an amino acid residue (less than 3 or 5 atoms) or bond;

R1 = alkoxy (optionally substituted by amino, carbocycle or heterocycle), H, OH, amino or O-carbocycle;

R2-R5 = H, OH, mercapto, halo, cyano, amino, amidine, guanidine, nitro or alkoxy; or

R2+R4 = fused carbocycle or heterocycle (optionally substituted by OH, halo, oxo, thio, amino, amidine, guanidine, or alkoxy); and

R6 = H or hydrocarbon chain (optionally substituted by carbocycle or heterocycle).

provided that when Y = phenyl, R2, R4 and R5 = H, R3 = Cl and R1 = OH, then X is other than cyclohexyl.

An INDEPENDENT CLAIM is also included for inhibiting binding of a LFA-1 to a protein ligand involving contacting LFA-1 with (I).

ACTIVITY - Antiasthmatic; Antiinflammatory; Antiarthritic; Antipsoriatic; Antirheumatic; Immunosuppressive; Antiulcer; Dermatological; Antibacterial; Antiallergic; Neuroprotective; Ophthalmological; Antiartherosclerotic; Antidiabetic; Vasotropic; Antithyroid; Antianemic; Anti-HIV; Virucide; Cytostatic; Gynecological; Cardiant; Cerebroprotective; Tranquilizer; Vulnerary; Nephrotropic.

No biological data available.

MECHANISM OF ACTION - Lymphocyte function associated antigen (LFA) antagonist.

A non-function blocking monoclonal antibody against human CD18, PLM-2 (described in Hildreth, et al., Molecular Immunology, Volume 26, No.9, pp. 883-895, 1989) was diluted to 5 microg/ml in PBS and 96-well flat-bottomed plates were coated with 100 microl/well overnight at

4 degrees C. The plates were blocked with BSA (0.5%) in assay buffer Hepes (0.02 M), sodium chloride (NaCl) (0.15 M) and manganese chloride (MnCl<sub>2</sub>) (1 mM) for one hour at room temperature. Plates were washed with Tris (50 mM) pH 7.5, NaCl (0.1 M), Tween 20 and MnCl<sub>2</sub> (1 mM). Purified full-length recombinant human LFA-1 protein was diluted to 2 microg/ml in assay buffer and 100 microl/well was added to plates and incubated for one hour at 37 degrees C. 2-(2,6-Dichloro-4-((3-furan-2-yl-acryloylamino)-methyl)-benzoylamino)-3-((4-hydroxy-pyrrolidine-2-carbonyl)-amino)-propionic acid (A) (50 microl/well) was diluted in assay buffer and added to a 2X final concentration and incubated for 30 minutes at 37 degrees C. 50 microl/well of purified recombinant human 5 domain ICAM-Ig, diluted to 161 ng/ml in assay buffer, was added and incubated for 2 hours at 37 degrees C. Plates were washed and bound ICAM-Ig was detected with Goat anti-HulG(Fc)-HRP for 1 hour at room temperature. Colorimetric development was stopped with H<sub>3</sub>PO<sub>4</sub> (100 microl/well).

IC50 value of (A) was found to be 0.02 microM.

USE - (I) is used for inhibiting binding of LFA-1 to a protein ligand or treating a disease or condition mediated by LFA-1, e.g. arthritis, psoriasis, organ transplant rejection, asthma and inflammatory bowel disease (all claimed) (e.g. Crohn's disease and ulcerative colitis), dermatitis, meningitis, encephalitis, uveitis, allergic conditions (e.g. eczema and asthma), conditions involving infiltration of T-cells and chronic inflammatory responses, skin hypersensitivity reactions (including poison ivy and poison oak), atherosclerosis, autoimmune diseases (e.g. rheumatoid arthritis, systemic lupus erythematosus (SLE), diabetes mellitus, multiple sclerosis, Reynaud's syndrome, autoimmune thyroiditis, experimental autoimmune encephalomyelitis, Sjogren's syndrome, juvenile onset diabetes and immune responses associated with delayed hypersensitivity mediated by cytokines and T-lymphocytes typically found in tuberculosis, sarcoidosis, polymyositis; granulomatosis and vasculitis, pernicious anemia diseases involving leukocyte diapedesis, CNS inflammatory disorder, multiple organ injury syndrome secondary to septicemia or trauma; autoimmune hemolytic anemia, myasthenia gravis, antigen-antibody complex mediated diseases; all types of transplantations including graft vs. host or host vs. graft disease, HIV and rhinovirus I infection, pulmonary fibrosis, alopecia, scleroderma, endometriosis, vitiligo, ischemic reperfusion injury mediated by neutrophils (e.g. acute myocardial infarction, restenosis following, PTCA); invasive procedures (e.g. cardiopulmonary bypass surgery, cerebral edema, stroke, traumatic brain injury, hemorrhagic shock, burns, ischemic kidney disease, multi organ failure, wound healing and scar formation).

ADVANTAGE - (I) exhibits high oral bioavailability and provides a low serum plasma protein binding affinity.

L77 ANSWER 9 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN  
ACCESSION NUMBER: 2001-080508 [09] WPIX  
CROSS REFERENCE: 2001-032207  
DOC. NO. NON-CPI: N2001-061319 [09]  
TITLE: Token shaper for traffic shaping in network switch, has shape ID processing block to process token to control output schedule of cell descriptors  
DERWENT CLASS: W01  
INVENTOR: LEMYRE R; LOWPENSKY T; LOWPENSKY T L; REYNOLDS M  
; REYNOLDS S M  
PATENT ASSIGNEE: (NETW-N) NETWORK EQUIP TECHNOLOGIES INC  
COUNTRY COUNT: 92



## PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2000074321	A1	20001207	(200109)*	EN	46	[27]
AU 2000055898	A	20001218	(200118)	EN		
EP 1183833	A1	20020306	(200224)	EN		
JP 2003501885	W	20030114	(200306)	JA	52	
US 6768717	B1	20040727	(200449)	EN		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000074321	A1	WO 2000-US14549	20000526
US 6768717	B1 Provisional	US 1999-136953P	19990528
AU 2000055898	A	AU 2000-55898	20000526
EP 1183833	A1	EP 2000-941149	20000526
US 6768717	B1	US 2000-580222	20000526
EP 1183833	A1	WO 2000-US14549	20000526
JP 2003501885	W	WO 2000-US14549	20000526
JP 2003501885	W	JP 2001-500501	20000526

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2000055898	A Based on	WO 2000074321 A
EP 1183833	A1 Based on	WO 2000074321 A
JP 2003501885	W Based on	WO 2000074321 A

PRIORITY APPLN. INFO: US 1999-136953P 19990528  
US 2000-580222 20000526

ED 20050524

AB WO 2000074321 A1 UPAB: 20050524

NOVELTY - In a shaper unit, a cell descriptor (CD) (70) processing block outputs a token to the shape ID processing block (72) corresponding to each CD. The shape ID processing block processes the token to control the output schedule of CDs.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

- (a) network switch;
- (b) method for shaping cell traffic in network switch;
- (c) communication system

USE - For traffic shaping in a network switch of ATM network,

BISDN.

ADVANTAGE - Use of now and later lists with per-connection shape ID provides priority within a virtual connection (VC) and a virtual path (VP), respectively. This effectively preserves the relative priority for connections being shaped with VP. Complexity of a virtual finishing time (VFT) calculation is reduced by the use of a calendar queue. Complexity of the per-connection scheduling is reduced by the use of an active list.

DESCRIPTION OF DRAWINGS - The figure shows the functional blocks of a shaper.

Cell descriptor (70)

Shape ID processing block (72)

L77 ANSWER 10 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN  
ACCESSION NUMBER: 1999-009494 [01] WPIX  
CROSS REFERENCE: 1993-386203; 1993-386599; 1994-048853; 1995-090896;  
1995-115447; 1995-178815; 1995-194097; 1995-194099;  
1995-263860; 1995-351090; 1996-010927; 1996-300653;  
1997-259017; 1998-427942; 1998-437449; 2000-062023;  
2000-062028; 2001-244406; 2001-424906; 2001-607195;  
2001-616242; 2002-215899; 2002-617759; 2003-058513;  
2003-140439; 2003-140484; 2003-201244; 2003-229207;  
2003-340953; 2003-587106; 2003-671816; 2003-679850;  
2003-679873; 2003-679876; 2003-679877; 2003-679889;  
2003-679891; 2003-689777; 2003-689778; 2003-689784;  
2003-689785; 2003-689788; 2003-689980; 2003-689983;  
2003-697557; 2003-697604; 2003-697605; 2003-697606;  
2003-697608; 2003-697609; 2003-697611; 2003-697612;  
2003-697624; 2003-712607; 2003-712612; 2003-712615;  
2003-712622; 2003-721687; 2003-721691; 2003-731546;  
2003-731605; 2003-731676; 2003-801249; 2003-854127;  
2003-901032; 2004-031273; 2004-032029; 2004-053455;  
2004-247781; 2004-440369; 2004-766879; 2005-012649;  
2005-040107; 2005-090672; 2005-091819; 2005-112870;  
2005-112874; 2005-140365; 2005-142281; 2005-163247;  
2005-213083; 2005-254128; 2005-254129; 2005-261673;  
2005-306364; 2005-331064; 2005-331166; 2005-333508;  
2005-345053; 2005-347065; 2005-356234; 2005-356235;  
2005-356236; 2005-366846; 2005-417025; 2005-417026;  
2005-417027; 2005-456992; 2005-457526; 2005-457527;  
2005-457799; 2005-466131; 2005-494869; 2005-494870;  
2005-496358; 2005-496858; 2005-505468; 2005-505469;  
2005-512269; 2005-521407; 2005-532291; 2005-541386;  
2005-554284; 2005-581759; 2005-581760; 2005-581761;  
2005-604644; 2005-604645; 2005-604649; 2005-638520;  
2005-648281; 2005-664178; 2005-712679; 2005-746882;  
2005-746889; 2005-746891; 2005-746892; 2005-746893;  
2005-748252; 2005-768338; 2005-769550; 2006-009410;  
2006-037938; 2006-037965; 2006-046432; 2006-055966;  
2006-056068; 2006-134230; 2006-134231; 2006-135499;  
2006-190836; 2006-444248; 2006-471381; 2006-471382;  
2006-477945; 2006-477947; 2006-501746; 2006-529690;  
2006-635422; 2006-647706; 2006-668665; 2006-668796;  
2006-668797; 2006-668798  
DOC. NO. CPI: C1999-003293 [01]  
TITLE: Identifying new catalytic nucleic acid that modulates  
selected processes - especially ribozymes that cleave  
Raf RNA for treating cancer, restenosis, and also new  
ribozymes and modified nucleoside triphosphates used as  
antiviral agents and synthons  
DERWENT CLASS: B04; D16  
INVENTOR: BEAUDRY A; BEIGELMAN L; BELLON L; BURGIN A; JARVIS T;  
KARPEISKY A; KISICH K; LAURENT B; MATULIC-ADAMIC J;  
MCSWIGGEN J A; PARRY T; REYNOLDS M; SWEEDLER D;  
THOMPSON J; THOMPSON J D; WORKMAN C T; ZINNEN S; WORKMAN  
C  
PATENT ASSIGNEE: (BEIG-I) BEIGELMAN L; (BELL-I) BELLON L; (BURG-I) BURGIN  
A; (RIBO-N) RIBOZYME PHARM INC; (SIRN-N) SIRNA  
THERAPEUTICS INC; (ZINN-I) ZINNEN S  
COUNTRY COUNT: 81

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9850530	A2	19981112	(199901)*	EN	258 [44]	
AU 9872905	A	19981127	(199915)	EN		
EP 980424	A2	20000223	(200015)	EN		
US 6054576	A	20000425	(200027)	EN		
US 6127535	A	20001003	(200050)	EN		
US 6162909	A	20001219	(200102)	EN		
US 6183959	B1	20010206	(200109)	EN		
US 6280936	B1	20010828	(200151)	EN		
US 6303773	B1	20011016	(200164)	EN		
US 6316612	B1	20011113	(200176)	EN		
JP 2001525667	W	20011211	(200204)	JA	259	
US 20020028919	A1	20020307	(200221)	EN		
US 20020103366	A1	20020801	(200253)	EN		
AU 749561	B	20020627	(200254)	EN		
US 6448009	B1	20020910	(200263)	EN		
US 6489465	B2	20021203	(200301)	EN		
US 20020192685	A1	20021219	(200303)	EN		
US 6509460	B1	20030121	(200309)	EN		
US 6548657	B1	20030415	(200329)	EN		
EP 1321521	A1	20030625	(200341)	EN		
US 20030125291	A1	20030703	(200345)	EN		
US 20030144489	A1	20030731	(200354)	EN		
US 20030170644	A1	20030911	(200367)	EN		
US 6673918	B2	20040106	(200411)	EN		
US 20040147735	A1	20040729	(200450)	EN		
US 6797815	B2	20040928	(200464)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9850530	A2	WO 1998-US9249	19980505
US 6280936	B1 Provisional	US 1997-49002P	19970609
US 6548657	B1 Provisional	US 1997-49002P	19970609
US 20030144489	A1 Provisional	US 1997-49002P	19970609
US 20030170644	A1 Provisional	US 1997-49002P	19970609
US 6183959	B1 Provisional	US 1997-51718P	19970703
US 6448009	B1 Provisional	US 1997-51718P	19970703
US 20020192685	A1 Provisional	US 1997-51718P	19970703
US 6316612	B1 Provisional	US 1997-56808P	19970822
US 20020028919	A1 Provisional	US 1997-56808P	19970822
US 6489465	B2 Provisional	US 1997-56808P	19970822
US 20030125291	A1 Provisional	US 1997-56808P	19970822
US 6797815	B2 Provisional	US 1997-56808P	19970822
US 6054576	A Provisional	US 1997-61321P	19971002
US 6162909	A Provisional	US 1997-61321P	19971002
US 6303773	B1 Provisional	US 1997-61321P	19971002
US 20020103366	A1 Provisional	US 1997-61321P	19971002
US 6673918	B2 Provisional	US 1997-61321P	19971002
US 20040147735	A1 Provisional	US 1997-61321P	19971002
US 6127535	A Provisional	US 1997-64866P	19971105
US 6509460	B1 Provisional	US 1997-64866P	19971105

US 6548657 B1 Provisional	US 1997-68212P 19971219
US 20030144489 A1 Provisional	US 1997-68212P 19971219
US 20030170644 A1 Provisional	US 1997-68212P 19971219
AU 9872905 A	AU 1998-72905 19980505
AU 749561 B	AU 1998-72905 19980505
EP 980424 A2	EP 1998-920299 19980505
JP 2001525667 W	JP 1998-548448 19980505
EP 980424 A2	WO 1998-US9249 19980505
JP 2001525667 W	WO 1998-US9249 19980505
US 6280936 B1	US 1998-94381 19980609
US 6548657 B1 CIP of	US 1998-94381 19980609
US 20030144489 A1 Div Ex	US 1998-94381 19980609
US 20030170644 A1 Div Ex	US 1998-94381 19980609
US 6183959 B1 CIP of	US 1998-108087 19980630
US 6448009 B1 CIP of	US 1998-108087 19980630
US 20020192685 A1 CIP of	US 1998-108087 19980630
US 6183959 B1	US 1998-112086 19980708
US 6448009 B1 Cont of	US 1998-112086 19980708
US 20020192685 A1 Cont of	US 1998-112086 19980708
US 6316612 B1	US 1998-135964 19980818
US 20020028919 A1 Cont of	US 1998-135964 19980818
US 6489465 B2 Cont of	US 1998-135964 19980818
US 20030125291 A1 Cont of	US 1998-135964 19980818
US 6797815 B2 Cont of	US 1998-135964 19980818
US 6054576 A	US 1998-164964 19981001
US 6162909 A Cont of	US 1998-164964 19981001
US 6303773 B1 Cont of	US 1998-164964 19981001
US 20020103366 A1 Cont of	US 1998-164964 19981001
US 6673918 B2 Cont of	US 1998-164964 19981001
US 20040147735 A1 Cont of	US 1998-164964 19981001
US 6127535 A	US 1998-186675 19981104
US 6509460 B1 Cont of	US 1998-186675 19981104
US 6548657 B1	US 1998-216584 19981218
US 20030144489 A1 Div Ex	US 1998-216584 19981218
US 20030170644 A1 Div Ex	US 1998-216584 19981218
US 6162909 A	US 1999-326154 19990604
US 6303773 B1 Cont of	US 1999-326154 19990604
US 20020103366 A1 Cont of	US 1999-326154 19990604
US 6673918 B2 Cont of	US 1999-326154 19990604
US 20040147735 A1 Cont of	US 1999-326154 19990604
US 6303773 B1	US 2000-644962 20000823
US 20020103366 A1 Cont of	US 2000-644962 20000823
US 6673918 B2 Cont of	US 2000-644962 20000823
US 20040147735 A1 Cont of	US 2000-644962 20000823
US 6509460 B1	US 2000-644966 20000823
US 6448009 B1	US 2000-676807 20000929
US 20020192685 A1 Cont of	US 2000-676807 20000929
US 20020103366 A1	US 2001-957841 20010921
US 6673918 B2	US 2001-957841 20010921
US 20040147735 A1 Cont of	US 2001-957841 20010921
US 20020028919 A1	US 2001-960192 20010921
US 6489465 B2	US 2001-960192 20010921
US 20030125291 A1 Cont of	US 2001-960192 20010921
US 6797815 B2 Cont of	US 2001-960192 20010921
US 20020192685 A1	US 2002-103480 20020321
US 20030144489 A1 CIP of	US 2002-112814 20020329
US 20030170644 A1	US 2002-112814 20020329

US 20030144489 A1  
 US 20030125291 A1  
 US 6797815 B2  
 US 20040147735 A1  
 EP 1321521 A1 Div Ex  
 EP 1321521 A1

US 2002-156433 20020528  
 US 2002-277263 20021022  
 US 2002-277263 20021022  
 US 2004-752415 20040106  
 EP 1998-920299 19980505  
 EP 2003-2270 19980505

## FILING DETAILS:

PATENT NO	KIND		PATENT NO	
AU 749561	B	Previous Publ	AU 9872905	A
US 6162909	A	Cont of	US 6054576	A
US 6303773	B1	Cont of	US 6054576	A
US 20020103366	A1	Cont of	US 6054576	A
US 6673918	B2	Cont of	US 6054576	A
US 20040147735	A1	Cont of	US 6054576	A
US 6509460	B1	Cont of	US 6127535	A
US 6303773	B1	Cont of	US 6162909	A
US 20020103366	A1	Cont of	US 6162909	A
US 6673918	B2	Cont of	US 6162909	A
US 20040147735	A1	Cont of	US 6162909	A
US 20020028919	A1	Cont of	US 6316612	A
US 6448009	B1	Cont of	US 6183959	B
US 20020192685	A1	Cont of	US 6183959	B
US 6548657	B1	CIP of	US 6280936	B
US 20030144489	A1	Div ex	US 6280936	B
US 20030170644	A1	Div ex	US 6280936	B
US 20020103366	A1	Cont of	US 6303773	B
US 6673918	B2	Cont of	US 6303773	B
US 20040147735	A1	Cont of	US 6303773	B
US 6489465	B2	Cont of	US 6316612	B
US 20030125291	A1	Cont of	US 6316612	B
US 6797815	B2	Cont of	US 6316612	B
US 20030125291	A1	Cont of	US 6489465	B
US 6797815	B2	Cont of	US 6489465	B
US 20030170644	A1	Div ex	US 6548657	B
US 20040147735	A1	Cont of	US 6673918	B
AU 9872905	A	Based on	WO 9850530	A
EP 980424	A2	Based on	WO 9850530	A
JP 2001525667	W	Based on	WO 9850530	A
AU 749561	B	Based on	WO 9850530	A
EP 1321521	A1	Div ex	EP 980424	A

PRIORITY APPLN. INFO: US 1997-68212P 19971219  
 US 1997-46059P 19970509  
 US 1997-49002P 19970609  
 US 1997-51718P 19970703  
 US 1997-56808P 19970822  
 US 1997-61324P 19971002  
 US 1997-61321P 19971002  
 US 1997-64866P 19971105  
 US 1998-94381 19980609  
 US 1998-108087 19980630  
 US 1998-112086 19980708  
 US 1998-135964 19980818  
 US 1998-164964 19981001

US 1998-186675 19981104  
 US 1998-216584 19981218  
 US 1999-326154 19990604  
 US 2000-644962 20000823  
 US 2000-644966 20000823  
 US 2000-676807 20000929  
 US 2001-957841 20010921  
 US 2001-960192 20010921  
 US 2002-103480 20020321  
 US 2002-112814 20020329  
 US 2002-156433 20020528  
 US 2002-277263 20021022  
 US 2004-752415 20040106

ED 20050520

AB WO 1998050530 A2 UPAB: 20060201

Identification of a nucleic acid (A) able to modulate a process in a biological system comprises: (a) introducing into the system a random library of nucleic acid catalysts (NAC) having a substrate binding domain (SBD), comprising a random sequence, and a catalytic domain (CD), and (b) identifying NAC in systems where modulation has occurred and/or determining the sequence of at least part of SBD in such systems. Also new are: (1) nucleic acid with endonuclease activity of formula (III): 3'-M-A-A-A-G-C-No-L-Nn-G-A--G-N7-A-G-C4-U3-Q-5' (III) N = (non-)nucleotide (nt) linker; and if nt they may interact through hydrogen bonds; M and Q = oligonucleotides long enough for stable interaction with target nucleic acid; o and n = 1 or more, and L = optional (non-)nt linker, single or double stranded; (2) nucleic acids of formula (IV): 3'-M-A-A-A-G-C-No-L-Nn-G-A-G-Z7-A-G-Z4-Z3-Q-5' (IV) Z3 = 2'-methylthiomethyl-uridine; Z4 = 2'-C-allyl-uridine or 2'-methylthiomethyl-cytidine (MTMC) and then Z7 = 6-methyl-uridine, or Z4 = (MTMC) and then Z7 = 2'-C-allyl-uridine or pyridin-4-one; (3) cells containing (III) or (IV); (4) expression vectors containing nucleic acid that encodes (III) or (IV); (5) cells containing this vector; (6) method for identifying variants of NAC; (7) method for identifying new (I) in biological systems; (8) compounds of formula (I) [(O)2P(O)]3-R (I) R = 2'-O-methyl-2,6-diaminopurine riboside; 2'-deoxy-2'-amino-2,6-diaminopurine riboside; 2'-(N-alanyl)amino-2'-deoxy-uridine; 2'-(N-phenylalanyl)amino-2'-deoxy-uridine; 2'-deoxy-2'-(N-β-alanyl, histidyl or lysyl)amino-uridine; 2'-C-allyl-uridine; 2'-O-amino-uridine or adenosine; 2'-O-methylthiomethyl-adenosine, -cytidine, -guanosine or -uridine; 2'-deoxy-2'-amino-5-methyl-cytidine; 2'-(N-β-carboxamidino-β-alanyl) amino-2'-deoxy-uridine and 2'-deoxy-2'-(N-β-alanyl)-guanosine; (9) production of a pyrimidine nucleotide triphosphate (PyTP) by monophosphatation with a mixture of phosphorylating reagent, trialkylphosphate and dimethylaminopyridine, then reacting monophosphate with pyrophosphorylating agent; (10) nucleotides of formula (II): R1 = hydroxy, OR3, CR3, halo, NHR4, ONHR5 or ON=R6; B = nucleotide base, its analogue or hydrogen; X = phosphorus-containing group; R2 = blocking group or as X; R3 = alkyl, alkenyl, (alk)aryl, carbocyclic or heterocyclic aryl, amide or ester; R4 = 1-22C alkyl or acyl, optionally substituted aryl or methylthiomethyl; R5 = H, aminoacyl, peptidyl, biotinyl, cholesteryl, residue or lipoic, retinoic, folic, ascorbic, nicotinic, 6-aminopenicillanic or 7-aminocephalosporanic acids, or as R3; R6 = residue of pyridoxal (or its 5-phosphate), 13- or 9-cis retinal, alkyl, alkenyl, alkynyl, or acrbocyclic or heterocyclic alkylaryl; (11) polynucleotides (B) containing at least one (II); (12) mammalian cells containing (II) or (B); (13) method for synthesis of xylo-ribonucleoside phosphoramidite (C); (14) one-pot method for

deprotection of RNA; (15) NAC with RNA-cleaving activity that modulates expression of the Raf gene, and (16) mammalian cells containing this NAC or vectors that encode it.

USE - (III) and (IV) are used to modulate gene expression in plant and mammalian cells and to cleave target nucleic acid, particularly for treating systemic diseases caused by specific RNA, e.g. cancer (to reduce metastasis, volume or progression), inflammation, psoriasis, non-hepatic ascites and infection. Specifically NAC of (15), or its vector, are used to treat cancer, restenosis, psoriasis or rheumatoid arthritis, or generally any condition associated with the level of c-raf. (III) and (IV) may also be used to detect genetic drift and mutations in diseased cells and to determine c-raf RNA. (B) are also used to modulate gene expression and (II) are used as antiviral and anticancer agents, also for production of (B). Method (7) is used to identify new (A) associated with differentiation, growth, cancer, angiogenesis, arthritis or (cardio)vascular disease.

ADVANTAGE - (A) have high specificity for their targets, particularly conserved regions of c-rat encoding RNAs. Introduction of sugar/phosphate modifications increases stability against nuclease and activity.

L77 ANSWER 11 OF 15 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN  
ACCESSION NUMBER: 1996-411467 [41] WPIX  
CROSS REFERENCE: 1986-184601; 1990-090410; 1990-260352; 1991-294949;  
1993-159116; 1995-005780; 1996-383620; 1997-257686  
DOC. NO. CPI: C1996-129680 [41]  
DOC. NO. NON-CPI: N1996-346376 [41]  
TITLE: New di:alkoxy-alkyl quat. ammonium cpds. - useful for  
production of cationic liposomes or lipid complexes  
DERWENT CLASS: B05; D13; D21; E19; P32  
INVENTOR: EPPSTEIN D A; FELGNER P L; GADEK T R; JONES G  
H; ROMAN R B  
PATENT ASSIGNEE: (SYNT-C) SYNTEX USA INC  
COUNTRY COUNT: 1

## PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
US 5550289	A	19960827	(199641)*	EN	34	[1]	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 5550289	A CIP of	US 1985-689407	19850107
US 5550289	A CIP of	US 1986-877916	19860624
US 5550289	A Div Ex	US 1987-114809	19871029
US 5550289	A Div Ex	US 1989-428815	19891027
US 5550289	A Div Ex	US 1990-524257	19900515
US 5550289	A Div Ex	US 1990-614412	19901116
US 5550289	A Div Ex	US 1993-15738	19930210
US 5550289	A Div Ex	US 1994-237807	19940504
US 5550289	A	US 1995-415963	19950403

FILING DETAILS:

PATENT NO	KIND	PATENT NO
US 5550289 A	Div ex	US 4897355 A
US 5550289 A	Div ex	US 4946787 A
US 5550289 A	Div ex	US 5049386 A
US 5550289 A	Div ex	US 5208036 A
US 5550289 A	Div ex	US 5366737 A

PRIORITY APPLN. INFO: US 1995-415963 19950403  
 US 1985-689407 19850107  
 US 1986-877916 19860624  
 US 1987-114809 19871029  
 US 1989-428815 19891027  
 US 1990-524257 19900515  
 US 1990-614412 19901116  
 US 1993-15738 19930210  
 US 1994-237807 19940504

ED 20050513

AB US 5550289 A UPAB: 20060111

Dialkoxyalkyl quat. ammonium cpds. of formula  $R1OCH_2-CH(OR_2)-(CH_2)_n-N^+(R_3)(R_5)-(R_4)^-X^-$  (I) are new: R1, R2 = 6-24C alkyl or alkenyl; R3-R5 = 1-8C alkyl, aryl or 7-11C aralkyl; or NR3R4, NR3R5 or NR4R5 = pyrrolidino, piperidino or morpholino; or NR3R4R5 = quinuclidino; n = 1-8; X = an anion.

USE - (I) are cationic lipids useful for production of liposomes or lipid complexes for industrial, pharmaceutical, cosmetic or food or feed applications. They are useful e.g. in make-ups, for application to the hair in e.g. shampoos or for transdermal, topical or ocular drug delivery. (I) may be used for introduction of nucleic acids or plasmids into cells in vitro or in vivo and are useful e.g. in transfection of cells and to obtain stable transfectants as part of cloning. (I) are useful in e.g. enzyme replacement therapy, hormone replacement therapy and replacement therapy for various blood disorders and can be used in the delivery of ''antisense'' RNA oligomers to selectively turn off expression of certain proteins and to deliver active materials across the blood brain barrier. (I) can be used to enhance a specific immune response and they can therefore be used as specific adjuvants for vaccines and antigen preparations.

ADVANTAGE - When used for drug delivery, formulations containing (I) may solubilise sparingly soluble drugs, allowing a higher drug concentration to be administered. (I) provide a less toxic and more efficient route for the delivery of polynucleotides to cells.

L77 ANSWER 12 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2006:30225 BIOSIS

DOCUMENT NUMBER: PREV200600030793

TITLE: Development of a stylobene-type photo-switchable beta-hairpin mimetic.

AUTHOR(S): Erdelyi, M. [Reprint Author]; Gogoll, A.

CORPORATE SOURCE: Uppsala Univ, Dept Organ Chem, S-75124 Uppsala, Sweden

SOURCE: Biopolymers, (2005) Vol. 80, No. 4, pp. 587.  
 Meeting Info.: 19th American Peptide Symposium. San Diego, CA, USA. June 18 -23, 2005. Amer Peptide Soc; AAPPTEC; Amer Peptide Co; Amer Hlth/GE Healthcare; Amgen Inc; BACHEM; BIOMOL Int; C S Bio Co; Cambridge Res Biochem; Chemico Int Inc; Chem Today; Eli Lilly & Co; ESCOM Sci Fdn;



**Genentech**; Hoffman-La Roche Inc; Merck Res Lab;  
Midwest Bio-Tech Inc; NeoMPS Inc; New England BioLabs Inc;  
Novo Nordisk A/S; Peptides Int Inc; PharmaChem; PolyPeptide  
Lab Inc; RSP Amino Acide LLC; Senn Chem USA; Sinopep  
Pharmaceut Inc; SynPep Corp; Synthetech Inc; UCB  
Bioproducts Inc.

CODEN: BIPMAA. ISSN: 0006-3525.

DOCUMENT TYPE: Conference; (Meeting)  
Conference; (Meeting Poster)  
LANGUAGE: English  
ENTRY DATE: Entered STN: 28 Dec 2005  
Last Updated on STN: 28 Dec 2005  
ED Entered STN: 28 Dec 2005  
Last Updated on STN: 28 Dec 2005

L77 ANSWER 13 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on  
STN

ACCESSION NUMBER: 2006:30020 BIOSIS

DOCUMENT NUMBER: PREV200600030588

TITLE: Oligourea foldamers as antimicrobial  
peptidomimetics.

AUTHOR(S): Violette, A. [Reprint Author]; Petit, M. C.; Rognan, D.;  
Monteil, H.; Guichard, G.

CORPORATE SOURCE: IBMC, UPR 9021 CNRS, ICT, Strasbourg, France

SOURCE: Biopolymers, (2005) Vol. 80, No. 4, pp. 544.  
Meeting Info.: 19th American Peptide Symposium. San Diego,  
CA, USA. June 18 -23, 2005. Amer Peptide Soc; AAPPTec; Amer  
Peptide Co; Amer Hlth/GE Healthcare; Amgen Inc; BACHEM;  
BIOMOL Int; C S Bio Co; Cambridge Res Biochem; Chemico Int  
Inc; Chem Today; Eli Lilly & Co; ESCOM Sci Fdn;  
**Genentech**; Hoffman-La Roche Inc; Merck Res Lab;  
Midwest Bio-Tech Inc; NeoMPS Inc; New England BioLabs Inc;  
Novo Nordisk A/S; Peptides Int Inc; PharmaChem; PolyPeptide  
Lab Inc; RSP Amino Acide LLC; Senn Chem USA; Sinopep  
Pharmaceut Inc; SynPep Corp; Synthetech Inc; UCB  
Bioproducts Inc.

CODEN: BIPMAA. ISSN: 0006-3525.

DOCUMENT TYPE: Conference; (Meeting)  
Conference; (Meeting Poster)  
LANGUAGE: English  
ENTRY DATE: Entered STN: 28 Dec 2005  
Last Updated on STN: 28 Dec 2005  
ED Entered STN: 28 Dec 2005  
Last Updated on STN: 28 Dec 2005

L77 ANSWER 14 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on  
STN

ACCESSION NUMBER: 2006:29884 BIOSIS

DOCUMENT NUMBER: PREV200600030452

TITLE: Oligourea foldamers as antimicrobial  
peptidomimetics.

AUTHOR(S): Violette, A. [Reprint Author]; Petit, M. C.; Rognan, D.;  
Monteil, H.; Guichard, G.

CORPORATE SOURCE: IBMC, ICT, UPR 9021 CNRS, Strasbourg, France

SOURCE: Biopolymers, (2005) Vol. 80, No. 4, pp. 516.  
Meeting Info.: 19th American Peptide Symposium. San Diego,  
CA, USA. June 18 -23, 2005. Amer Peptide Soc; AAPPTec; Amer

Peptide Co; Amer Hlth/GE Healthcare; Amgen Inc; BACHEM;  
BIOMOL Int; C S Bio Co; Cambridge Res Biochem; Chemico Int  
Inc; Chem Today; Eli Lilly & Co; ESCOM Sci Fdn;  
Genentech; Hoffman-La Roche Inc; Merck Res Lab;  
Midwest Bio-Tech Inc; NeoMPS Inc; New England BioLabs Inc;  
Novo Nordisk A/S; Peptides Int Inc; PharmaChem; PolyPeptide  
Lab Inc; RSP Amino Acide LLC; Senn Chem USA; Sinopep  
Pharmaceut Inc; SynPep Corp; Synthetech Inc; UCB  
Bioproducts Inc.

CODEN: BIPMAA. ISSN: 0006-3525.

DOCUMENT TYPE: Conference; (Meeting)  
Conference; (Meeting Poster)  
LANGUAGE: English  
ENTRY DATE: Entered STN: 28 Dec 2005  
Last Updated on STN: 28 Dec 2005  
ED Entered STN: 28 Dec 2005  
Last Updated on STN: 28 Dec 2005

L77 ANSWER 15 OF 15 DRUGU COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 1995-33015 DRUGU C P

TITLE: Atropisomeric GPIIb/IIIa antagonists.

AUTHOR: Blackburn B K; Lee A; Baier M

CORPORATE SOURCE: Genentech

LOCATION: San Francisco, Cal., USA

SOURCE: Abstr.Pap.Am.Chem.Soc. (209 Meet., Pt. 2, ORGN 280, 1995) 0

Fig. 0 Tab. 0 Ref.

CODEN: ACSRAL ISSN: 0065-7727

AVAIL. OF DOC.: Department of Bioorganic Chemistry, Genentech Inc.,  
460 Point San Bruno Blvd., S. San Francisco, CA 94080, U.S.A.

LANGUAGE: English

DOCUMENT TYPE: Journal

FIELD AVAIL.: AB; LA; CT

FILE SEGMENT: Literature

AB A class of peptidomimetics (2) based on the RGD-containing cyclic peptide, G4120 (1) were designed. These compounds (2) contained an element of asymmetry and can undergo rapid stereoinversion ( $T_c$  less than 100 deg;  $X = H$ ,  $R_1 = \text{alkyl}$ ,  $R_2 = H$ ). Structural comparisons of the possible atropisomers (2a and 2b) with (1) indicated that (2a) best resembled the fold and steric volume of the cyclic peptide. The synthesis and X-ray crystal structure of a pair of non-interconverting atropisomers was carried out in order to examine the effect on binding potency in this class of GPIIb/IIIa inhibitors. Compound (2a) ( $X = Cl$ ,  $R_1 = t\text{-Bu}$ ,  $R_2 = Me$ ) was found to be approximately 100 times more potent than (2b) in both GPIIb/IIIa/fibrinogen ELISA and ADP-induced human platelet aggregation assays. (conference abstract).

=> d his ful

(FILE 'HOME' ENTERED AT 08:11:54 ON 01 DEC 2006)

FILE 'ZCAPLUS' ENTERED AT 08:12:17 ON 01 DEC 2006  
E US2003-649762/APPS

L1 FILE 'HCAPLUS' ENTERED AT 08:12:35 ON 01 DEC 2006  
1 SEA ABB=ON PLU=ON US2003-649762/APPS  
SAVE TEMP L1 NAG762HCAAPP/A

FILE 'STNGUIDE' ENTERED AT 08:12:54 ON 01 DEC 2006  
D QUE

FILE 'HCAPLUS' ENTERED AT 08:13:16 ON 01 DEC 2006  
D IBIB ED AB IND

FILE 'STNGUIDE' ENTERED AT 08:13:17 ON 01 DEC 2006

L2 FILE 'WPIX' ENTERED AT 08:14:48 ON 01 DEC 2006  
1 SEA ABB=ON PLU=ON US2003-649762/APPS  
SAVE TEMP L2 NAG762WPIAPP/A

FILE 'STNGUIDE' ENTERED AT 08:15:07 ON 01 DEC 2006  
D QUE

FILE 'WPIX' ENTERED AT 08:15:19 ON 01 DEC 2006  
D IALL CODE

FILE 'STNGUIDE' ENTERED AT 08:15:21 ON 01 DEC 2006

FILE 'REGISTRY' ENTERED AT 08:16:10 ON 01 DEC 2006

L3 FILE 'HCAPLUS' ENTERED AT 08:16:14 ON 01 DEC 2006  
TRA PLU=ON L1 1- RN : 450 TERMS

L4 FILE 'REGISTRY' ENTERED AT 08:16:16 ON 01 DEC 2006  
450 SEA ABB=ON PLU=ON L3  
SAVE TEMP L4 NAG762REGAPP/A

FILE 'STNGUIDE' ENTERED AT 08:17:10 ON 01 DEC 2006

L5 FILE 'LREGISTRY' ENTERED AT 08:17:47 ON 01 DEC 2006  
STR

L6 FILE 'REGISTRY' ENTERED AT 08:23:26 ON 01 DEC 2006  
0 SEA SSS SAM L5

L7 FILE 'LREGISTRY' ENTERED AT 08:23:57 ON 01 DEC 2006  
STR L5

L8 FILE 'REGISTRY' ENTERED AT 08:29:00 ON 01 DEC 2006  
0 SEA SSS SAM L7

FILE 'STNGUIDE' ENTERED AT 08:29:11 ON 01 DEC 2006  
D QUE STAT

L9 FILE 'REGISTRY' ENTERED AT 08:29:39 ON 01 DEC 2006  
44 SEA ABB=ON PLU=ON L4 AND SC4/ES  
D SCAN

FILE 'STNGUIDE' ENTERED AT 08:31:52 ON 01 DEC 2006  
D QUE STAT  
D QUE STAT L8

L10 FILE 'LREGISTRY' ENTERED AT 08:33:33 ON 01 DEC 2006  
STR L7

L11 FILE 'REGISTRY' ENTERED AT 08:33:56 ON 01 DEC 2006  
1 SEA SSS SAM L10  
D SCAN

FILE 'STNGUIDE' ENTERED AT 08:34:07 ON 01 DEC 2006  
D QUE STAT

L12 FILE 'REGISTRY' ENTERED AT 08:36:12 ON 01 DEC 2006  
D QUE  
61 SEA SSS FUL L10  
SAVE TEMP L12 NAG762PSET1/A  
L13 6 SEA ABB=ON PLU=ON L12 AND L4  
L14 55 SEA ABB=ON PLU=ON L12 NOT L13  
D SCAN

FILE 'STNGUIDE' ENTERED AT 08:37:54 ON 01 DEC 2006

L15 FILE 'REGISTRY' ENTERED AT 08:39:10 ON 01 DEC 2006  
0 SEA SUB=L12 SSS SAM L5  
D QUE STAT

FILE 'STNGUIDE' ENTERED AT 08:39:25 ON 01 DEC 2006

L16 FILE 'REGISTRY' ENTERED AT 08:42:03 ON 01 DEC 2006  
0 SEA SUB=L12 SSS FUL L5  
SAVE TEMP L16 NAG762RSET1/A  
L17 ANALYZE PLU=ON L12 1- LC : 4 TERMS  
D 1-4

FILE 'STNGUIDE' ENTERED AT 08:43:04 ON 01 DEC 2006

L18 FILE 'ZCAPLUS' ENTERED AT 08:44:59 ON 01 DEC 2006  
L19 QUE ABB=ON PLU=ON BURDICK, D?/AU  
L20 QUE ABB=ON PLU=ON GADEK, T?/AU  
L21 QUE ABB=ON PLU=ON MCDOWELL, R?/AU  
L22 QUE ABB=ON PLU=ON MC DOWELL, R?/AU  
L23 QUE ABB=ON PLU=ON MARSTERS, J?/AU  
L24 QUE ABB=ON PLU=ON REYNOLDS, M?/AU  
L25 QUE ABB=ON PLU=ON STANLEY, M?/AU  
L26 QUE ABB=ON PLU=ON WEESE, K?/AU  
L27 QUE ABB=ON PLU=ON GENENTECH/PA,CS,SO  
L28 QUE ABB=ON PLU=ON MCDOWELL, B?/AU  
L29 QUE ABB=ON PLU=ON MC DOWELL, B?/AU  
L30 QUE ABB=ON PLU=ON AY<1999 OR PY<1999 OR PRY<1999 OR MY<1999  
OR REVIEW/DT

L31 QUE ABB=ON PLU=ON AY<1999 OR PY<1999 OR PRY<1999

FILE 'HCAPLUS' ENTERED AT 08:49:04 ON 01 DEC 2006

L32 2 SEA ABB=ON PLU=ON L12  
D SCAN

FILE 'STNGUIDE' ENTERED AT 08:49:24 ON 01 DEC 2006

FILE 'HCAPLUS' ENTERED AT 08:52:15 ON 01 DEC 2006

L33 2 SEA ABB=ON PLU=ON L32 AND L30  
SAVE TEMP L33 NAG762HCA1B/A

L34 1 SEA ABB=ON PLU=ON L32 AND (L18 OR L19 OR L20 OR L21 OR L22  
OR L23 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29)

L35 1 SEA ABB=ON PLU=ON L33 NOT L34  
D BIBI

FILE 'STNGUIDE' ENTERED AT 08:54:13 ON 01 DEC 2006

FILE 'ZCAPLUS' ENTERED AT 08:56:27 ON 01 DEC 2006

L36 QUE ABB=ON PLU=ON ?PEPTIDOMIM? OR (?PEPTIDO(W)MIME?)

L37 QUE ABB=ON PLU=ON CD OR CD11 OR CD18

FILE 'HCAPLUS' ENTERED AT 08:57:22 ON 01 DEC 2006

L38 15 SEA ABB=ON PLU=ON ((L18 OR L19 OR L20 OR L21 OR L22 OR L23  
OR L24 OR L25 OR L26) OR (L28 OR L29)) AND L36

L39 1 SEA ABB=ON PLU=ON L38 AND L37

L40 7 SEA ABB=ON PLU=ON L38 AND L27

L41 1 SEA ABB=ON PLU=ON L27 AND L36 AND L37

L42 7 SEA ABB=ON PLU=ON L34 OR L39 OR L40 OR L41  
SAVE TEMP L42 NAG762HCAINVA NAG762HCAINV/A

FILE 'STNGUIDE' ENTERED AT 08:59:10 ON 01 DEC 2006  
D L17 1-

FILE 'USPATFULL, USPAT2' ENTERED AT 09:00:27 ON 01 DEC 2006

L43 7 SEA ABB=ON PLU=ON L12

L44 6 SEA ABB=ON PLU=ON L43 AND L31  
SAVE TEMP L44 NAG762USPB/A

L45 1 SEA ABB=ON PLU=ON L43 NOT L44  
SAVE TEMP L45 NAG762USPA/A

FILE 'STNGUIDE' ENTERED AT 09:01:24 ON 01 DEC 2006

FILE 'BEILSTEIN' ENTERED AT 09:02:18 ON 01 DEC 2006  
D QUE L12

L46 0 SEA SSS FUL L10  
SAVE TEMP L46 NAG762BEIP/A

FILE 'CHEMINFORMRX' ENTERED AT 09:04:01 ON 01 DEC 2006

L47 0 SEA SSS SAM L10 ( 0 REACTIONS)  
D QUE

L48 0 SEA SSS FUL L10 ( 0 REACTIONS)  
SAVE TEMP L48 NAG762CHMP/A

FILE 'STNGUIDE' ENTERED AT 09:04:53 ON 01 DEC 2006

FILE 'WPIX' ENTERED AT 09:05:55 ON 01 DEC 2006

L49 0 SEA SSS SAM L10  
D QUE STAT

L50 7 SEA SSS FUL L10  
SAVE TEMP L50 NAG762WPIS/A  
D TRI 1-7  
SELECT L50 1- SDCN

L51 1 SEA ABB=ON PLU=ON (RA100A/DCN OR RA100C/DCN OR RA100D/DCN OR  
RA100F/DCN OR RA1007/DCN OR RA1008/DCN OR RA1009/DCN)

L52 1 SEA ABB=ON PLU=ON L50/DCR  
SELECT L2 1- DCR

L53 0 SEA ABB=ON PLU=ON (DCR-239659/DCSE OR DCR-239660/DCSE OR  
DCR-239661/DCSE OR DCR-239662/DCSE OR DCR-239663/DCSE OR  
DCR-239668/DCSE OR DCR-239669/DCSE OR DCR-239671/DCSE OR  
DCR-239672/DCSE OR DCR-239674/DCSE OR DCR-239677/DCSE OR  
DCR-239679/DCSE OR DCR-239684/DCSE OR DCR-239685/DCSE OR  
DCR-239690/DCSE OR DCR-239700/DCSE OR DCR-239701/DCSE OR  
DCR-239702/DCSE OR DCR-239703/DCSE OR DCR-239704/DCSE OR  
239659-K/DCSE OR 239659-T/DCSE OR 239659-U/DCSE OR 239660-K/DCS  
E OR 239660-T/DCSE OR 239660-U/DCSE OR 239661-K/DCSE OR  
239661-T/DCSE OR 239661-U/DCSE OR 239662-K/DCSE OR 239662-T/DCS  
E OR 239662-U/DCSE OR 239663-K/DCSE OR 239663-T/DCSE OR  
239663-U/DCSE OR 239668-K/DCSE OR 239668-T/DCSE OR 239668-U/DCS  
E OR 239669-K/DCSE OR 239669-T/DCSE OR 239669-U/DCSE OR  
239671-K/DCSE OR 239671-T/DCSE OR 239671-U/DCSE OR 239672-K/DCS  
E OR 239672-T/DCSE OR 239672-U/DCSE OR 239674-K/DCSE OR  
239674-T/DCSE OR 239674-U/DCSE OR 239677-K/DCSE OR 239677-T/DCS  
E OR 239677-U/DCSE OR 239679-K/DCSE OR 239679-T/DCSE OR  
239679-U/DCSE OR 239684-K/DCSE OR 239684-T/DCSE OR 239684-U/DCS  
E OR 239685-K/DCSE OR 239685-T/DCSE OR 239685-U/DCSE OR  
239690-K/DCSE OR 239690-T/DCSE OR 239690-U/DCSE OR 239700-K/DCS  
E OR 239700-T/DCSE OR 239700-U/DCSE OR 239701-K/DCSE OR  
239701-T/DCSE OR 239701-U/DCSE OR 239702-K/DCSE OR 239702-T/DCS  
E OR 239702-U/DCSE OR 239703-K/DCSE OR 239703-T/DCSE OR  
239703-U/DCSE OR 239704-K/DCSE OR 239704-T/DCSE OR 239704-U/DCS  
E)  
D SELECT

FILE 'STNGUIDE' ENTERED AT 09:08:31 ON 01 DEC 2006

FILE 'WPIX' ENTERED AT 09:11:59 ON 01 DEC 2006

L54 12 SEA ABB=ON PLU=ON (239704 OR 239703 OR 239702 OR 239701 OR  
239700 OR 239690 OR 239685 OR 239684 OR 239679 OR 239677 OR  
239674 OR 239672)/DCSE

L55 8 SEA ABB=ON PLU=ON (239671 OR 239669 OR 239668 OR 239663 OR  
239662 OR 239661 OR 239660 OR 239659)/DCSE

L56 20 SEA ABB=ON PLU=ON L54 OR L55  
D TRI 1-20

FILE 'STNGUIDE' ENTERED AT 09:14:40 ON 01 DEC 2006

FILE 'WPIX' ENTERED AT 09:16:02 ON 01 DEC 2006

L57 0 SEA ABB=ON PLU=ON L56 AND ?THIOPHEN?/CN  
SELECT L2 1- DCN

L58 20 SEA ABB=ON PLU=ON (RA0TQK/SDCN OR RA0TQL/SDCN OR RA0TQM/SDCN  
OR RA0TQN/SDCN OR RA0TQO/SDCN OR RA0TQT/SDCN OR RA0TQU/SDCN OR  
RA0TQV/SDCN OR RA0TQW/SDCN OR RA0TQY/SDCN OR RA0TRA/SDCN OR  
RA0TRF/SDCN OR RA0TRQ/SDCN OR RA0TRR/SDCN OR RA0TRS/SDCN OR

RA0TRT/SDCN OR RA0TRU/SDCN OR RA0TR1/SDCN OR RA0TR3/SDCN OR  
RA0TR9/SDCN)

L59 0 SEA ABB=ON PLU=ON L58 NOT (L54 OR L55)  
L60 1 SEA ABB=ON PLU=ON L51 OR L52  
L61 1 SEA ABB=ON PLU=ON L60 AND L31  
SAVE TEMP L61 NAG762WPIB/A

FILE 'STNGUIDE' ENTERED AT 09:18:47 ON 01 DEC 2006

FILE 'WPIX' ENTERED AT 09:19:21 ON 01 DEC 2006

L62 0 SEA ABB=ON PLU=ON L60 AND (L18 OR L19 OR L20 OR L21 OR L22  
OR L23 OR L24 OR L25 OR L26 OR L27)  
L63 0 SEA ABB=ON PLU=ON L60 AND (L18 OR L19 OR L20 OR L21 OR L22  
OR L23 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29)

FILE 'STNGUIDE' ENTERED AT 09:20:04 ON 01 DEC 2006

FILE 'WPIX' ENTERED AT 09:22:00 ON 01 DEC 2006

D BIB L61  
L64 51 SEA ABB=ON PLU=ON L37 AND (L18 OR L19 OR L20 OR L21 OR L22  
OR L23 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29)  
L65 0 SEA ABB=ON PLU=ON L64 AND L36  
L66 5 SEA ABB=ON PLU=ON L64 AND ((L18 OR L19 OR L20 OR L21 OR L22  
OR L23 OR L24 OR L25 OR L26) OR (L28 OR L29))  
L67 5 SEA ABB=ON PLU=ON L65 OR L66  
SAVE TEMP L67 NAG762WPIINV/A

FILE 'STNGUIDE' ENTERED AT 09:24:40 ON 01 DEC 2006

D QUE L10

FILE 'MARPAT' ENTERED AT 09:25:53 ON 01 DEC 2006

L68 0 SEA SSS SAM L10  
D QUE STAT

FILE 'STNGUIDE' ENTERED AT 09:26:38 ON 01 DEC 2006

FILE 'LREGISTRY' ENTERED AT 09:28:43 ON 01 DEC 2006

L69 STR L10

FILE 'MARPAT' ENTERED AT 09:29:56 ON 01 DEC 2006

L70 0 SEA SSS SAM L69  
D QUE STAT

FILE 'STNGUIDE' ENTERED AT 09:30:07 ON 01 DEC 2006

FILE 'STNGUIDE' ENTERED AT 09:32:30 ON 01 DEC 2006

FILE 'MARPAT' ENTERED AT 09:35:06 ON 01 DEC 2006

D QUE  
L71 5 SEA SSS FUL L69  
SAVE TEMP L71 NAG762MARPA/A  
D SCAN

FILE 'STNGUIDE' ENTERED AT 09:36:50 ON 01 DEC 2006

FILE 'MARPAT' ENTERED AT 09:38:20 ON 01 DEC 2006

L72 0 SEA ABB=ON PLU=ON L71 AND "LFA-1"/TI

FILE 'STNGUIDE' ENTERED AT 09:39:05 ON 01 DEC 2006

FILE 'HCAPLUS, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JICST-EPLUS, JAPIO, LIFESCI, BIOENG, BIOTECHNO, BIOTECHDS, DRUGU, DRUGB, VETU, VETB, TOXCENTER, SCISEARCH, CONFSCI, DISSABS' ENTERED AT 09:42:57 ON 01 DEC 2006

L73 620 SEA ABB=ON PLU=ON (L18 OR L19 OR L20 OR L21 OR L22 OR L23 OR  
L24 OR L25 OR L26 OR L27 OR L28 OR L29) AND L37  
L74 5 SEA ABB=ON PLU=ON L73 AND L36  
L75 566 SEA ABB=ON PLU=ON L73 AND L27  
D QUE  
SAVE TEMP L74 NAG762MULINV/A  
D QUE L74

FILE 'STNGUIDE' ENTERED AT 09:45:43 ON 01 DEC 2006

D QUE STAT L12  
D QUE NOS L17  
D L17 1-  
D QUE NOS L33  
D QUE NOS L44  
D QUE STAT L46  
D QUE STAT L48  
D QUE STAT L50  
D QUE NOS L61  
D QUE STAT L71  
D QUE NOS L45

FILE 'HCAPLUS, USPATFULL, USPAT2, WPIX' ENTERED AT 09:51:16 ON 01 DEC 2006

L76 8 DUP REM L33 L44 L46 L48 L61 (1 DUPLICATE REMOVED)  
ANSWERS '1-2' FROM FILE HCAPLUS  
ANSWERS '3-7' FROM FILE USPATFULL  
ANSWER '8' FROM FILE USPAT2

FILE 'STNGUIDE' ENTERED AT 09:51:22 ON 01 DEC 2006

FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 09:51:42 ON 01 DEC 2006  
D IBIB ED AB HITSTR

FILE 'STNGUIDE' ENTERED AT 09:51:47 ON 01 DEC 2006

FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 09:51:56 ON 01 DEC 2006  
D IBIB ED AB HITSTR 2

FILE 'STNGUIDE' ENTERED AT 09:51:57 ON 01 DEC 2006

FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 09:52:19 ON 01 DEC 2006  
D IBIB AB HITSTR 3-8

FILE 'STNGUIDE' ENTERED AT 09:52:41 ON 01 DEC 2006

FILE 'USPATFULL' ENTERED AT 09:53:21 ON 01 DEC 2006  
D IBIB AB HITSTR L45

FILE 'STNGUIDE' ENTERED AT 09:53:24 ON 01 DEC 2006

FILE 'MARPAT' ENTERED AT 09:53:45 ON 01 DEC 2006



FILE 'STNGUIDE' ENTERED AT 09:55:16 ON 01 DEC 2006

FILE 'MARPAT' ENTERED AT 09:55:33 ON 01 DEC 2006  
D IALL L71 1

FILE 'STNGUIDE' ENTERED AT 09:55:37 ON 01 DEC 2006

FILE 'MARPAT' ENTERED AT 09:56:25 ON 01 DEC 2006  
D IBIB AB FHIT L71 2-5

FILE 'STNGUIDE' ENTERED AT 09:56:35 ON 01 DEC 2006  
D QUE NOS L42  
D QUE L67  
D QUE L74

L77 FILE 'HCAPLUS, WPIX, BIOSIS, DRUGU' ENTERED AT 09:58:18 ON 01 DEC 2006  
15 DUP REM L42 L67 L74 (2 DUPLICATES REMOVED)  
ANSWERS '1-7' FROM FILE HCAPLUS  
ANSWERS '8-11' FROM FILE WPIX  
ANSWERS '12-14' FROM FILE BIOSIS  
ANSWER '15' FROM FILE DRUGU

FILE 'STNGUIDE' ENTERED AT 09:58:25 ON 01 DEC 2006

FILE 'HCAPLUS, WPIX, BIOSIS, DRUGU' ENTERED AT 09:58:38 ON 01 DEC 2006  
D IBIB ED AB 1-15

FILE 'STNGUIDE' ENTERED AT 09:58:45 ON 01 DEC 2006

FILE HOME

FILE ZCAPLUS

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FILE COVERS 1907 - 1 Dec 2006 VOL 145 ISS 23  
FILE LAST UPDATED: 29 Nov 2006 (20061129/ED)

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FILE HCAPLUS

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FILE COVERS 1907 - 1 Dec 2006 VOL 145 ISS 23  
FILE LAST UPDATED: 29 Nov 2006 (20061129/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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FILE STNGUIDE  
FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Nov 24, 2006 (20061124/UP).

FILE WPIX  
FILE LAST UPDATED: 27 NOV 2006 <20061127/UP>  
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200676 <200676/DW>  
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<

>>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX  
PLEASE VISIT:  
[http://www.stn-international.de/stndatabases/details/dwpi\\_r.html](http://www.stn-international.de/stndatabases/details/dwpi_r.html) <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,  
PLEASE VISIT:  
[http://www.stn-international.de/training\\_center/patents/stn\\_guide.pdf](http://www.stn-international.de/training_center/patents/stn_guide.pdf)

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE  
<http://scientific.thomson.com/support/patents/coverage/latestupdates/>

PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE  
[http://www.stn-international.de/stndatabases/details/ipc\\_reform.html](http://www.stn-international.de/stndatabases/details/ipc_reform.html) and  
<http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf>

>>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX  
PLEASE SEE  
[http://www.stn-international.de/stndatabases/details/dwpi\\_r.html](http://www.stn-international.de/stndatabases/details/dwpi_r.html) <<<

>>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<

FILE REGISTRY  
Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 29 NOV 2006 HIGHEST RN 914337-13-6  
DICTIONARY FILE UPDATES: 29 NOV 2006 HIGHEST RN 914337-13-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE LREGISTRY

LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 30 Nov 2006 (20061130/PD)

FILE LAST UPDATED: 30 Nov 2006 (20061130/ED)

HIGHEST GRANTED PATENT NUMBER: US7143445

HIGHEST APPLICATION PUBLICATION NUMBER: US2006272066

CA INDEXING IS CURRENT THROUGH 28 Nov 2006 (20061128/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 30 Nov 2006 (20061130/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2006

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 30 Nov 2006 (20061130/PD)

FILE LAST UPDATED: 30 Nov 2006 (20061130/ED)

HIGHEST GRANTED PATENT NUMBER: US2006233300

HIGHEST APPLICATION PUBLICATION NUMBER: US2006269403

CA INDEXING IS CURRENT THROUGH 28 Nov 2006 (20061128/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 30 Nov 2006 (20061130/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2006

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006

FILE BEILSTEIN

FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.

**FILE CONTAINS 9,606,495 SUBSTANCES**

>>>PLEASE NOTE: Reaction Data and substance data are stored in  
separate documents and can not be searched together in one query.  
Reaction data for BEILSTEIN compounds may be displayed  
immediately with the display codes PRE (preparations) and REA  
(reactions). A substance answer set retrieved after the search  
for a chemical name, a compounds with available reaction  
information by combining with PRE/FA, REA/FA or more generally  
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link  
between a BEILSTEIN compound and belonging reactions. For mo

detailed reaction searches BRNs can be searched as reaction  
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

\*\*\*\*\*  
\* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. \*  
\* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE \*  
\* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE \*  
\* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. \*  
\* FOR PRICE INFORMATION SEE HELP COST \*  
\*\*\*\*\*

NEW

\* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE  
SEARCHED, SELECTED AND TRANSFERRED.  
\* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,  
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A  
COMPOUND AT A GLANCE.

FILE CHEMINFORMRX

FILE LAST UPDATED: 19 SEP 2006 <20060919/UP>

>>> CAS Registry Numbers are available for  
substances prior to 1995 <<<

FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 145 ISS 21 (20061124/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	20060229481	12	OCT	2006
DE	102005016345	12	OCT	2006
EP	1710229	11	OCT	2006
JP	2006278260	12	OCT	2006
WO	2006065601	19	OCT	2006
GB	2424583	04	OCT	2006
FR	2883874	06	OCT	2006
RU	2284857	10	OCT	2006
CA	2500558	10	SEP	2006

Expanded G-group definition display now available.

FILE MEDLINE

FILE LAST UPDATED: 30 Nov 2006 (20061130/UP). FILE COVERS 1950 TO DATE.

In preparation for the annual MEDLINE reload, the National Library of Medicine (NLM) has suspended delivery of regular updates as of November 15, 2006. In-process and in-data-review records will resume delivery on November 21, 2006, and will continue to be added to MEDLINE until December 17, 2006.

On December 17, 2006, all regular MEDLINE updates from November 15 to December 16 will be added to MEDLINE, along with 2007 Medical Subject Headings (MeSH(R)) and 2007 tree numbers.

The annual reload will be available in early 2007.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNS) PRESENT

FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 29 November 2006 (20061129/ED)

FILE EMBASE

FILE COVERS 1974 TO 30 Nov 2006 (20061130/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE PASCAL

FILE LAST UPDATED: 27 NOV 2006 <20061127/UP>

FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE  
IN THE BASIC INDEX (/BI) FIELD <<<

FILE JICST-EPLUS

FILE COVERS 1985 TO 27 NOV 2006 (20061127/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE JAPIO

FILE LAST UPDATED: 20 NOV 2006 <20061120/UP>

FILE COVERS APRIL 1973 TO JULY 27, 2006

>>> GRAPHIC IMAGES AVAILABLE <<<

>>> NEW IPC8 DATA AND FUNCTIONALITY NOW AVAILABLE IN FILE JAPIO.  
SEE HELP CHANGE  
AND

[http://www.stn-international.de/stndatabases/details/ipc\\_reform.html](http://www.stn-international.de/stndatabases/details/ipc_reform.html) <<<

FILE LIFESCI

FILE COVERS 1978 TO 10 Nov 2006 (20061110/ED)

FILE BIOENG

FILE LAST UPDATED: 20 NOV 2006 <20061120/UP>

FILE COVERS 1982 TO DATE

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN

## THE BASIC INDEX &lt;&lt;&lt;

## FILE BIOTECHNO

FILE LAST UPDATED: 7 JAN 2004 <20040107/UP>  
FILE COVERS 1980 TO 2003.

&gt;&gt;&gt; BIOTECHNO IS NO LONGER BEING UPDATED AS OF 2004 &lt;&lt;&lt;

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN  
/CT AND BASIC INDEX <<<

## FILE BIOTECHDS

FILE LAST UPDATED: 30 NOV 2006 <20061130/UP>  
FILE COVERS 1982 TO DATE

&gt;&gt;&gt; USE OF THIS FILE IS LIMITED TO BIOTECH SUBSCRIBERS &lt;&lt;&lt;

## FILE DRUGU

FILE LAST UPDATED: 27 NOV 2006 <20061127/UP>  
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

&gt;&gt;&gt; FILE COVERS 1983 TO DATE &lt;&lt;&lt;

&gt;&gt;&gt; THESAURUS AVAILABLE IN /CT &lt;&lt;&lt;

## FILE DRUGB

&gt;&gt;&gt; FILE COVERS 1964 TO 1982 - CLOSED FILE &lt;&lt;&lt;

## FILE VETU

FILE LAST UPDATED: 02 JAN 2002 <20020102/UP>  
FILE COVERS 1983-2001

## FILE VETB

FILE LAST UPDATED: 25 SEP 94 <940925/UP>  
FILE COVERS 1968-1982

## FILE TOXCENTER

FILE COVERS 1907 TO 28 Nov 2006 (20061128/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The MEDLINE file segment has been updated with 2006 MEDLINE data and features. See HELP RLOAD for details.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

See <http://www.nlm.nih.gov/mesh/>[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_med\\_data\\_changes.html](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html)[http://www.nlm.nih.gov/pubs/techbull/nd05/nd05\\_2006\\_MeSH.html](http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html)

for a description of changes.

## FILE SCISEARCH

FILE COVERS 1974 TO 30 Nov 2006 (20061130/ED)

12/01/2006 Nagubandi 10/649,762.2/0 12/01/2006  
SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE CONFSCI  
FILE COVERS 1973 TO 14 Nov 2006 (20061114/ED)

CSA has resumed updates, see NEWS FILE

FILE DISSABS  
FILE COVERS 1861 TO 27 NOV 2006 (20061127/ED)

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